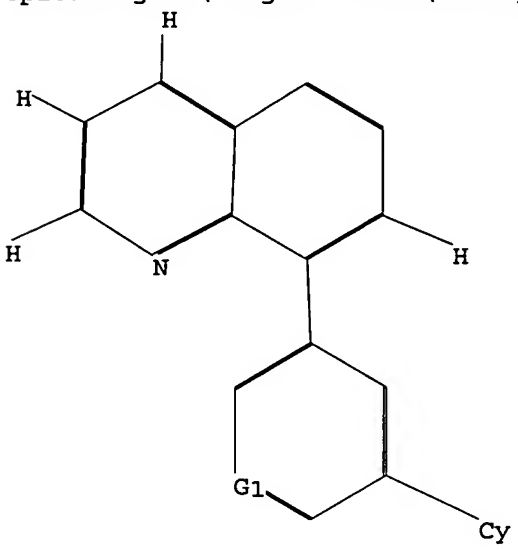
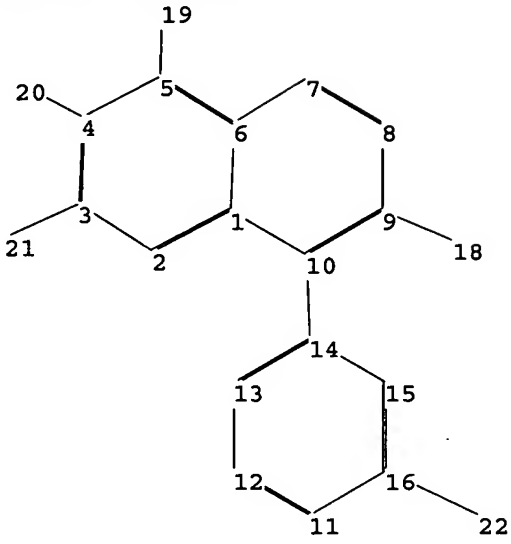
* * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 14:46:58 ON 08 MAR 2006

=> file reg

=>
Uploading C:\Program Files\Stnexp\Queries\10517416.str





chain nodes :
18 19 20 21 22
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16
chain bonds :
3-21 4-20 5-19 9-18 10-14 16-22
ring bonds :
1-2 1-6 1-10 2-3 3-4 4-5 5-6 6-7 7-8 8-9 9-10 11-12 11-16 12-13 13-14
14-15 15-16
exact/norm bonds :
3-21 4-20 5-19 9-18 10-14 11-12 11-16 12-13 13-14 14-15 15-16 16-22
normalized bonds :
1-2 1-6 1-10 2-3 3-4 4-5 5-6 6-7 7-8 8-9 9-10
isolated ring systems :
containing 1 : 11 :

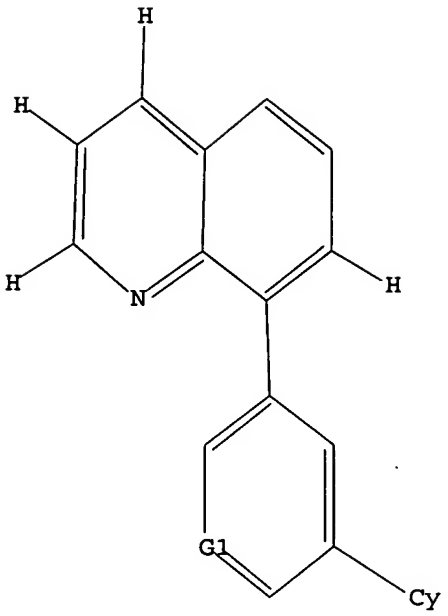
G1:C,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 18:CLASS 19:CLASS 20:CLASS
21:CLASS 22:Atom

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS

L1 STR



G1 C,N

Structure attributes must be viewed using STN Express query preparation.

```
=> s l1 full
L3          350 SEA SSS FUL L1

=> file ca

=> s l3
L4            8 L3

=> d ibib abs fhitstr 1-8
```

L4 ANSWER 1 OF 8 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 141:410960 CA
TITLE: Preparation of 8-(3-biaryl)phenylquinoline phosphodiesterase-4 inhibitors
INVENTOR(S): Dube, Daniel; Dube, Laurence; Gallant, Michel; Lacoste, Patrick; Deschenes, Denis; MacDonald, Dwight
PATENT ASSIGNEE(S): Merck Frosst Canada & Co., Can.
SOURCE: PCT Int. Appl., 129 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004096220	A1	20041111	WO 2004-CA622	20040427
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2523336	AA	20041111	CA 2004-2523336	20040427
PRIORITY APPLN. INFO.:			US 2003-466542P	P 20030430
			WO 2004-CA622	W 20040427

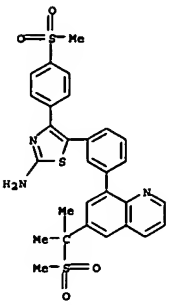
OTHER SOURCE(S): MARPAT 141:410960
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title 8-phenylquinolines I [S1-S3 = H, OH, halo, alkyl, etc.; R1 = CO2aryl, CONHaryl, CONHheteroaryl, etc.; Ar1, Ar2 = (hetero)aryl or an N-oxide thereof; R2 = H, aryl, haloaryl, heterocyclyl, etc.; R3 = H, alkyl, hydroxyalkyl, etc.; R4 = H, halo, CN, alkyl, etc.] which are PDE4 inhibitors, were prepared E.g., a multi-step synthesis of II (no characterization data given for intermediates), which showed IC50 of 0.155 μM in LPS and FMLP-induced TNF-α and LTB4 assays in human whole blood, was given. The pharmaceutical compns. comprising the compound I are claimed.

IT 791630-50-7P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

L4 ANSWER 1 OF 8 CA COPYRIGHT 2006 ACS on STN (Continued)
(prepn. of 8-(3-biaryl)phenylquinoline phosphodiesterase-4 inhibitors)
RN 791630-50-7 CA
CN 2-Thiazolamine, 5-[3-[6-[1-methyl-1-(methylsulfonyl)ethyl]-8-quinolinyl]phenyl]-4-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

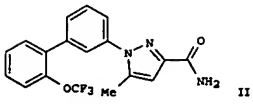


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 8 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 141:379921 CA
TITLE: Biaryl-substituted pyrazoles as sodium channel blockers, and their preparation, pharmaceutical compositions, and use in the treatment of pain
INVENTOR(S): Chakravarty, Prasun K.; Fisher, Michael H.; Parsons, William H.; Tyagarajan, Sriram; Zhou, Bishan
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 104 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004092140	A1	20041028	WO 2004-US9713	20040330
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2520804	AA	20041028	CA 2004-2520804	20040330
EP 1615895	A1	20060118	EP 2004-759062	20040330
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
PRIORITY APPLN. INFO.:			US 2003-460106P	P 20030403
			WO 2004-US9713	W 20040330

OTHER SOURCE(S): MARPAT 141:379921
GI



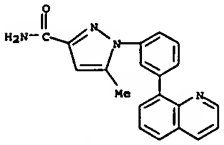
II

AB Biaryl-substituted pyrazole compds., which are sodium channel blockers, useful for the treatment of pain and other conditions, are disclosed. The compds. generally conform to the structure Ar1-Ar2-Ar3 [I; Ar1 = Ph with 0-3 selected substituents, typically H, Cl, CF3, OCF3, etc.; Ar2 = 1,3-phenylene, 3,5-, 2,4-, 2,6-, or 4,2-pyridinediyl, or 2,6-pyrazinediyl, all with 0-2 selected substituents, typically H, F, OCF3; Ar3 = pyrazol-1-yl or pyrazol-3(5)-yl, with 0-3 selected substituents,

L4 ANSWER 2 OF 8 CA COPYRIGHT 2006 ACS on STN (Continued)
H, CO2H, CONH2, CO2Me, CO2Et, Me, etc.; including pharmaceutically acceptable salts]. Pharmaceutical compns. comprise an effective amt. of I, either alone, or in combination with one or more therapeutically active compds., and a pharmaceutically acceptable carrier. Methods of treatment of conditions, including acute pain, chronic pain, visceral pain, inflammatory pain, and neuropathic pain, comprise administering an effective amt. of I, either alone, or in combination with one or more therapeutically active compds. I displayed sodium channel blocking activity at concns. ranging from about <0.1 μM to about <50 μM in several described in vitro assays, e.g., in an electrophysiol. assay using an HEK-293 cell line stably expressing the PN1 sodium channel subtype. Approx 300 specific invention compds. were prepd. and listed individually in examples and/or claims. Several prepns. are described in detail. For instance, invention compd. II was prepd in 4 steps. Thus, cyclocondensation of 3-BrC6H4NHNH2.HCl with Et 2,4-dioxovalerate in refluxing AcOH gave 84% Et 1-(3-bromophenyl)-5-methyl-1H-pyrazole-3-carboxylate. Alk. hydrolysis of this ester with 2N NaOH gave 89% of the corresponding acid, which was activated with 1,1-carbonyldiimidazole and amidated with NH4OAc to give 82% 1-(3-bromophenyl)-5-methyl-1H-pyrazole-3-carboxamide. Suzuki coupling of this bromide with 2-CF3OC6H4B(OH)2 (prepn. given) gave 88% II.

IT 784141-00-0P, 5-Methyl-1-[3-(quinolin-8-yl)phenyl]-1H-pyrazole-3-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of biaryl-substituted pyrazoles as sodium channel blockers, particularly as analgesics)

RN 784141-00-0 CA
CN 1H-Pyrazole-3-carboxamide, 5-methyl-1-[3-(8-quinolinyl)phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 8 CA COPYRIGHT 2006 ACS on STN

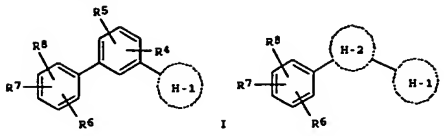
ACCESSION NUMBER: 141:332206 CA
TITLE: Preparation of biaryl substituted 6-membered heterocycles as sodium channel blockers
INVENTOR(S): Chakravarty, Prasun K.; Fisher, Michael H.; Parsons, William H.; Liang, Jun; Zhou, Bishan
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 125 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

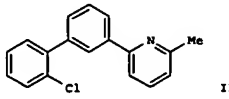
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004084824	A2	20041007	WO 2004-US8532	20040319
WO 2004084824	A3	20050331		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2519677	AA	20041007	CA 2004-2519677	20040319
EP 1608622	A2	20051228	EP 2004-757920	20040319
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				

PRIORITY APPLN. INFO.: US 2003-456312P P 20030324
WO 2004-US8532 W 20040319

OTHER SOURCE(S): MARPAT 141:332206
GI

L4 ANSWER 3 OF 8 CA COPYRIGHT 2006 ACS on STN (Continued)



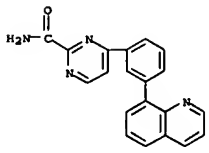


AB The title biaryl substituted pyridine, pyrimidine and pyrazine compds. [I or II; H-1 = (un)substituted pyridyl, pyrimidyl, pyrazinyl; H-2 = (un)substituted pyridyl, pyrimidyl, pyrazinyl; R4, R5 = H, alkyl, alkoxy, aryloxy, etc.; R6-R8 = H, alkyl, cycloalkyl, alkoxy, etc.] which are sodium channel blockers useful for the treatment of pain (no data), were prepared E.g., a 2-step synthesis of III, starting from 2-bromo-6-methylpyridine and 3-bromophenylboronic acid, was given. Claimed pharmaceutical compns. comprise an effective amount of the instant compds. I, either alone, or in combination with one or more therapeutically active compds., and a pharmaceutically acceptable carrier. Methods of treating conditions associated with, or caused by, sodium channel activity, including, for example, acute pain, chronic pain, visceral pain, inflammatory pain, neuropathic pain, epilepsy, irritable bowel syndrome, depression, anxiety, multiple sclerosis, and bipolar disorder, comprise administering an effective amount of the present compds., either alone, or in combination with one or more other therapeutically active compds.

IT 770724-90-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of biaryl substituted 6-membered heterocycles as sodium channel blockers for treatment or prevention of pain)

RN 770724-90-8 CA
CN 2-Pyrimidinecarboxamide, 4-[3-(8-quinolinyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 8 CA COPYRIGHT 2006 ACS on STN (Continued)



L4 ANSWER 4 OF 8 CA COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 140:59526 CA
TITLE: Preparation of 8-(biaryl)quinolines as PDE4 inhibitors
INVENTOR(S): Deschenes, Denis; Dube, Daniel; Dube, Laurence; Gallant, Michel; Girard, Yves; Lacombe, Patrick; MacDonald, Dwight
PATENT ASSIGNEE(S): Merck Frosst Canada & Co., Can.
SOURCE: PCT Int. Appl., 122 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004000814	A1	20031231	WO 2003-CA957	20030623
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2490043	AA	20031231	CA 2003-2490043	20030623
AU 2003243870	A1	20040106	AU 2003-243870	20030623
EP 1517895	A1	20050330	EP 2003-760540	20030623
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006502104	T2	20060119	JP 2004-514482	20030623
US 2005234238	A1	20051020	US 2004-517416	20041208

PRIORITY APPLN. INFO.: US 2002-391364P P 20020625
US 2002-428313P P 20021122
WO 2003-CA957 W 20030623

OTHER SOURCE(S): MARPAT 140:59526
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein A = C or N; X = Ph, pyridyl, pyrazinyl, thiophenyl, quinolinyl, benzofuranyl, oxadiazolyl, diazolylpyridinyl, imidazolylpyridinyl, oxadiazolylphenyl, benzodioxolyl; R1 = H, halo, or (un)substituted alkanoyl, cyclo/alkyl, alkenyl; R2, R3 = independently H, halo, OH, CN, NO2, or dialkenyl/dicycloalkyl/alkyl, alkenyl, wide variety of C-containing and heteroat. groups and/or functional groups optionally linked by C1-4alkyl; R2 optionally forms a double bond with an adjoining bond; R4 = H, halo; any ring nitrogen optionally forms N-oxide and N-chloride; and pharmaceutically acceptable salts thereof] were prepared as phosphodiesterase IV (PDE4) inhibitors. For example, II was prepared by

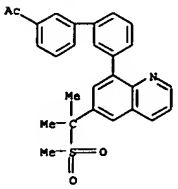
L4 ANSWER 4 OF 8 CA COPYRIGHT 2006 ACS on STN (Continued)

Suzuki cross-coupling of quinoline III with 2-bromo-3-chlorothiophene. One hundred fifty-five invention compds. suppressed PDE4 with IC50 values ranging from 36 μM to 0.005 μM in assays evaluating LPS- and FMLP-induced inhibition of tumor necrosis factor α (TNF-α) and leukotriene B4 (LTB4) in human whole blood. In a test measuring IgE-mediated allergic pulmonary inflammation induced by inhalation of antigen by sensitized guinea pigs, administration of I resulted in a significant redn. in the eosinophilia and the accumulation of other inflammatory leukocytes and effected less inflammatory lung damage. One hundred fifty-five invention compds. also inhibited the hydrolysis of cAMP to AMP by human recombinant phosphodiesterase IVa with IC50 values ranging from 160 nM to 0.086 nM. Thus, I and their pharmaceutical compns. are useful for the treatment or prevention of a variety of allergic, inflammatory, CNS, and other conditions (no data).

IT 638218-68-5P, 1-[3'-[6-[1-(Methylsulfonyl)-1-methylethyl]quinolin-8-yl]biphenyl-3-yl]ethanone
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(PDE4 inhibitor; preparation of 8-arylquinoline PDE4 inhibitors for treatment of a variety of allergic, inflammatory, CNS, and other conditions)

RN 638218-68-5 CA

CN Ethanone, 1-[3'-[6-[1-methyl-1-(methylsulfonyl)ethyl]-8-quinolinyl][1,1'-biphenyl]-3-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 8 CA COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 139:276903 CA

TITLE: Preparation of diaryltetrazoles as modulators of metabotropic glutamate receptor-5

INVENTOR(S): Smith, Nicholas D.; Cosford, Nicholas D. P.; Reger, Thomas R.; Roppe, Jeffrey R.; Poon, Steven F.; Huang, Dehua; Chen, Chixu; Eastman, Brian W.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 170 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

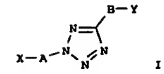
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003077918	A1	20030925	WO 2003-US7074	20030307
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2478799	AA	20030925	CA 2003-2478799	20030307
AU 2003213783	A1	20030929	AU 2003-213783	20030307
EP 1485093	A1	20041215	EP 2003-711474	20030307
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005153986	A1	20050714	US 2003-506479	20030307
JP 2005526081	T2	20050902	JP 2003-575971	20030307
PRIORITY APPLN. INFO.:			US 2002-363456P P	20020312
			WO 2003-US7074 W	20030307

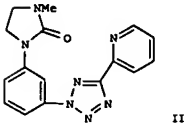
OTHER SOURCE(S): MARPAT 139:276903

GI

L4 ANSWER 5 OF 8 CA COPYRIGHT 2006 ACS on STN (Continued)



I



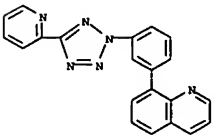
II

AB Tetrazoles I [A, B = alkylene, optionally interrupted by heteroatoms; X, Y = (un)substituted heteroaryl, at least one of which has N adjacent to the attachment to A or B] are mGluR5 modulators useful in the treatment of psychiatric and mood disorders such as, schizophrenia, anxiety, depression, panic, and bipolar disorder, as well as in the treatment of pain, Parkinson's disease, cognitive dysfunction, epilepsy, circadian rhythm disorders, drug addiction, drug abuse, drug withdrawal, obesity and other diseases. I IC50 ≤ 10 μM in the calcium flux assay and ≤ 100 μM in the phosphatidylinositol hydrolysis assay. Thus, 1-(3-aminophenyl)-3-methyl-2-imidazolidinone was diazotized and treated with 2-pyridinecarboxaldehyde and 4-MeC6H4SO2NHNH2 to give the tetrazole II.

IT 605648-35-9P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of diaryltetrazoles as inhibitors of metabotropic glutamate receptor-5)

RN 605648-35-9 CA

CN Quinoline, 8-[3-[5-(2-pyridinyl)-2H-tetrazol-2-yl]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

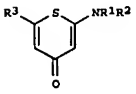
L4 ANSWER 5 OF 8 CA COPYRIGHT 2006 ACS on STN (Continued)

L4 ANSWER 6 OF 8 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 138:205066 CA
TITLE: Preparation of 2-morpholinothiopyran-4-ones as DNA protein kinase inhibitors
INVENTOR(S): Griffin, Roger John; Golding, Bernard Thomas; Newell, David Richard; Calvert, Hilary Alan; Curtin, Nicola Jane; Hardcastle, Ian Robert; Martin, Niall Morrison Barr; Smith, Graeme Cameron Murray; Rigoreau, Laurent Jean Martin; Workman, Paul; Raynaud, Florence Irene; Nutley, Bernard Paul
PATENT ASSIGNEE(S): Cancer Research Technology Limited, UK
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003015790	A1	20030227	WO 2002-GB3740	20020814
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1416936	A1	20040512	EP 2002-751427	20020814
EP 1416936	B1	20050601		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005502656	T2	20050127	JP 2003-520749	20020814
US 2005107367	A1	20050519	US 2003-486811	20020814
AT 296633	E	20050615	AT 2002-751427	20020814
ES 2243750	T3	20051201	ES 2002-2751427	20020814

PRIORITY APPLN. INFO.: GB 2001-19863 A 20010814
WO 2002-GB3740 W 20020814

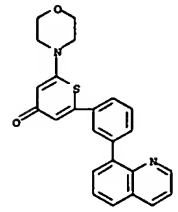
OTHER SOURCE(S): MARPAT 138:205066
GI



I

L4 ANSWER 6 OF 8 CA COPYRIGHT 2006 ACS on STN (Continued)

AB Title compds. [I; R1, R2 = H, (substituted) alkyl, heterocyclyl, aryl; NR1R2 = (substituted) heterocyclyl; R3 = (substituted) heterocyclyl, aryl], were prepared Thus, 2-morpholin-4-yl-6-phenylthiopyran-4-one (preparation outlined) inhibited DNA-PK with IC50 = 0.6 μM.
IT 500169-86-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-morpholinothiopyran-4-ones as DNA protein kinase inhibitors)
RN 500169-86-8 CA
CN 4H-Thiopyran-4-one, 2-(4-morpholinyl)-6-[3-(8-quinolinyl)phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 8 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 138:73184 CA
TITLE: Preparation of substituted 8-arylquinoline phosphodiesterase-4 (PDE4) inhibitors
INVENTOR(S): Dube, Daniel; Girard, Yves; MacDonald, Dwight; Mastracchio, Anthony; Gallant, Michel; Lacombe, Patrick; Deschenes, Denis
PATENT ASSIGNEE(S): Merck Frosst Canada & Co., Can.
SOURCE: PCT Int. Appl., 204 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003002118	A1	20030109	WO 2002-CA953	20020626
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2450686	AA	20030109	CA 2002-2450686	20020626
EP 1404330	A1	20040407	EP 2002-742600	20020626
EP 1404330	B1	20050601		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2005501822	T2	20050120	JP 2003-508357	20020626
AT 296630	E	20050615	AT 2002-742600	20020626
ES 2242036	T3	20051101	ES 2002-2742600	20020626
US 2004162314	A1	20040819	US 2003-478791	20031125
US 6919353	B2	20050719		

PRIORITY APPLN. INFO.: US 2001-301220P P 20010627
US 2001-303472P P 20010706
WO 2002-CA953 W 20020626

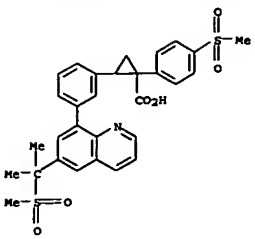
OTHER SOURCE(S): MARPAT 138:73184
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB 8-Arylquinolines (shown as I; variables defined below; e.g. both enantiomers of 4-hydroxy-1-[3-[6-(1-methanesulfonyl-1-methylethyl)quinolin-8-yl]phenyl]-2-(4-methanesulfonylphenyl)-4-methylpentan-3-one) wherein the aryl group at the 8-position contains a meta two atom bridge to an optionally substituted Ph or pyridyl group, are PDE4 inhibitors useful to treat asthma, chronic bronchitis, chronic obstructive pulmonary disease, arthritis, respiratory distress syndrome, allergic rhinitis, neurogenic

L4 ANSWER 7 OF 8 CA COPYRIGHT 2006 ACS on STN (Continued)
inflammation, pain, rheumatoid arthritis, and other diseases. R1-R7 and Ar are as in claim 1. For I: Ar is Ph, pyridinone, pyridyl, or pyridyl N-oxide, optionally substituted with 1-5 independent -C1-6-alkyl, -OH, -CN, halogen, -CF3, -(C0-6-alkyl)-SOn-(C1-6-alkyl), -(C0-6-alkyl)-SOn-NH-(C1-6-alkyl) or 5-membered heteroaryl ring contg. 1-4 heteroatoms = O, S or N, wherein the 5-membered-ring is optionally substituted. R1 is H, halogen; or a -C1-6-alkyl, -cycloC3-6alkyl, -C1-6-alkenyl, -C0-4alkyl-C(O)-C0-4alkyl, -C1-6-alkoxy, aryl, heteroaryl, -CN, -heterocycloC3-6-alkyl, -amino, -C1-6-alkylamino, -(C1-6-alkyl)(C1-6-alkyl)amino, -C1-6-alkyl(oxy)C1-6-alkyl, -C(O)NH(aryl), -C(O)NH(heteroaryl), -SOnNH(aryl), -SOnNH(heteroaryl), -SOnNH(C1-6-alkyl), -C(O)N(C0-6alkyl)(C0-6-alkyl), -NH-SOn-(C1-6-alkyl), -carbamoyl, -(C1-6-alkyl)-O-C(CN)dialkylamino, or -(C0-6-alkyl)-SOn-(C1-6-alkyl) group, wherein any of the groups is optionally substituted with = 1-5 substituents. R2, R3, R6, and R7 = H, halogen, hydroxy, -C1-6-alkyl, or -C1-6-alkoxy, wherein the alkyl and alkoxy are optionally substituted independently with 1-3 halogen or OH; R4 is H, halogen, -CN, Ph, oxadiazolyl, or -C(O)-O-C0-6alkyl, wherein the alkyl and latter three possibilities are optionally substituted. R5 is H, hydroxy, -CN; or a -C1-6-alkyl, -C(O)C1-6alkyl, -C(O)aryl, -C(O)pyridyl, -C(O)-O-C0-6-alkyl, -C(O)-C3-7-cycloalkyl, -C1-6-alkyl-C3-7cycloalkyl, -C1-6-alkyl(C3-7-cycloalkyl)2, -C1-6-alkylaryl, -C(O)-N(C0-6alkyl)2, -SOnaryl, -SOn-C1-6-alkyl, -SOn-C3-7-cycloalkyl, -SOn-N(C0-6-alkyl)2, -P(O)(C1-6-alkyl)2, -P(O)(C1-6-alkoxy)2, Ph, pyridyl, -SOnimidazolyl, -SOnthiazolyl, 5-membered heteroaryl ring contg. 1-4 heteroatoms = O, S or N or oxoisoxaphosphinanyl group, any of which group optionally substituted; or R5 and R6 form :O; or R6 and R3 form -CH2- or -O-; and n is 0-2. Although the methods of prepn. are not claimed, >100 example prepns. are included. The IC50 values for PDE4 inhibition of Examples 1-113 generally are 0.02-26 μM as measured using LPS and FMLP-induced TNF-α and LTB4 assays in human whole blood. I were tested for effects on an IgE-mediated allergic pulmonary inflammation induced by inhalation of antigen by sensitized guinea pigs;. Administration of I (0.001-10 mg/kg i.p. or p.o.), up to three times during the 48 h following antigen challenge, lead to a significant redn. in the eosinophilia and the accumulation of other inflammatory leukocytes. There was also less inflammatory damage in the lungs of animals treated with I. Compds. which inhibit the hydrolysis of cAMP to AMP by the type-IV cAMP-specific phosphodiesterases were screened in a 96-well plate format; IC50 values of I generally ranged 0.1-25 nM.
IT 481680-95-9P, 2-[3-[6-(1-Methanesulfonyl-1-methylethyl)quinolin-8-yl]phenyl]-1-(4-methanesulfonylphenyl)cyclopropanecarboxylic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of substituted 8-arylquinoline phosphodiesterase-4 (PDE4) inhibitors)
RN 481680-95-9 CA
CN Cyclopropanecarboxylic acid, 2-[3-[6-[1-methyl-1-(methylsulfonyl)ethyl]-8-quinolinyl]phenyl]-1-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 8 CA COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 8 OF 8 CA COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 125:33332 CA

TITLE: Benzoylguanidine derivatives as medicaments inhibiting cellular Na+/H+ exchange.

INVENTOR(S): Kuno, Atsushi; Mizuno, Hiroaki; Yamasaki, Kumi; Inoue, Yoshikazu

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 169 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9604241	A2	19960215	WO 1995-JP1479	19950725
WO 9604241	A3	19960620		
W: AU, CA, CN, FI, HU, JP, KR, MX, NO, NZ, RU, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9506119	A	19960306	ZA 1995-6119	19950721
CA 2196763	AA	19960215	CA 1995-2196763	19950725
AU 9529916	A1	19960304	AU 1995-29916	19950725
AU 697748	B2	19981015		
EP 773927	A2	19970521	EP 1995-926026	19950725
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CN 1158606	A	19970903	CN 1995-195299	19950725
CN 1070173	B	20010829		
JP 10503770	T2	19980407	JP 1995-506385	19950725
JP 3473023	B2	20031202	JP 1996-506385	19950725
TW 426658	B	20010321	TW 1995-84108031	19950802
BR 9502471	A	19960521	BR 1995-2471	19950804
US 5968985	A	19991019	US 1997-776385	19970203

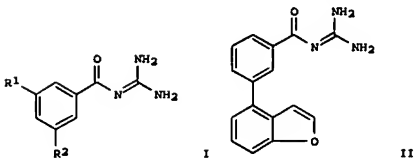
PRIORITY APPLN. INFO.:

GB 1994-15852	A	19940805
GB 1994-22830	A	19941011
GB 1995-5231	A	19950315
WO 1995-JP1479	W	19950725

OTHER SOURCE(S): MARPAT 125:33332

GI

L4 ANSWER 8 OF 8 CA COPYRIGHT 2006 ACS on STN (Continued)



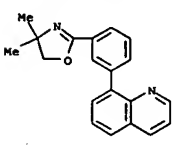
I II

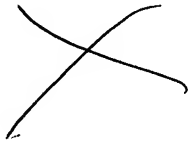
AB Guanidine derivs. I [R1 = H, hydroxyalkyl, protected hydroxyalkyl, acylalkoxy, acylalkenyl, acyl; R2 = aralkenyl; disubstituted aryl, (un)substituted indenyl, indanyl, dihydrobenzocycloheptenyl, di- to decahydronaphthyl, cyclopentenyl, dihydrothienyl, dihydrofuryl or heterobicyclyl, alkylthienyl, mono- or dihalothienyl, haloalkylthienyl, acylthienyl, halofuryl, haloalkylfuryl] and their pharmaceutically acceptable salts are claimed. The compds. are strong inhibitors of Na+/H+ exchange in cells, and are thus useful for the treatment and/or prevention of cardiovascular, cerebrovascular, and renal disease, arteriosclerosis, shock, etc. For example, condensation of guanidine-HCl with Me 3-(benzofuran-4-yl)benzoate in DMF in the presence of NaOMe, and workup and salification of the product, gave title compound II as its methanesulfonate salt. In a test for inhibition of Na propionate-induced swelling of thymocytes in vitro (measure of Na+/H+ exchanger activation), an exemplary compound had Ki of < 1.0 + 10-7.

IT 177733-74-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of benzoylguanidine derivs. as inhibitors of cellular Na+/H+ exchange)

RN 177733-74-3 CA

CN Quinoline, 8-[3-(4,5-dihydro-4,4-dimethyl-2-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)





```
=> file marpat

=> s l1 full
5           136 SEA SSS FUL L1

=> s l5 and pharm?
          31804 PHARM?
L6            67 L5 AND PHARM?

=> d ibib abs fqhit 1-67
```

L6 ANSWER 1 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 144:22712 MARPAT
TITLE: Triaryl compounds as PPAR modulators, their preparation, pharmaceutical compositions, and use in therapy
INVENTOR(S): Epple, Robert; Azimioara, Mihai
PATENT ASSIGNEE(S): Irm LLC, Bermuda
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005113506	A1	20051201	WO 2005-US16747	20050513

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

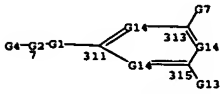
PRIORITY APPLN. INFO.: US 2004-571004P 20040514
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to aryl compds. of formula I, which are modulators of peroxisome proliferator-activated receptors (PPAR), particularly PPARδ. In compds. I, m is 0-3; X, Y, and Z are independently selected from CH and N; L is (un)substituted (CH2)nO(CH2)n or (CH2)nS(O)p(CH2)n, where each n is independently selected from 0-4 and p is 0-2; R1 and R2 are independently selected from (un)substituted C3-12 cycloalkyl-A-, (un)substituted C3-8 heterocyclyl-A-, (un)substituted C6-10 aryl-A-, and (un)substituted C5-13 heteroaryl-A-, where A is a bond, C1-6 alkylene, C2-6 alkenylene, or C2-6 alkynylene; R3 is selected from halo, C1-6 alkyl, C1-6 alkoxy, C1-6 hydroxyalkyl, C1-6 haloalkyl, C1-6 haloalkoxy, (un)substituted C6-10 aryl, (un)substituted C5-10 heteroaryl, (un)substituted C3-12 cycloalkyl, and (un)substituted C3-8 heterocyclyl; and R4 is selected from (CH2)nO(CH2)nCO2R5 and (CH2)nCO2R5, where n is as defined previously and R5 is H or C1-6 alkyl; including pharmaceutically acceptable salts, hydrates, solvates, isomers, and prodrugs thereof. The invention also relates to the preparation of I, pharmaceutical compns. comprising a therapeutically effective amount of compound I in combination

L6 ANSWER 1 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
with one or more pharmaceutically acceptable excipients, as well as to the use of the compns. to treat or prevent diseases or disorders assocd. with PPAR activity. Substitution of Me bromoacetate with 4-hydroxy-3-methylacetophenone followed by Baeyer-Villiger oxidn. and methanolysis gave phenoxyacetate II, which underwent substitution of 3,5-dibromobenzyl bromide to give dibromobenzyl ether III. Treatment of III with an excess of 4-trifluoromethylphenylboronic acid and ester hydrolysis resulted in the formation of terphenyl IV. Most preferred compds. of the invention express an EC50 value for PPARδ of less than 100 nM. The compds. of the invention are at least 100-fold selective for PPARδ over PPARγ.

MSTR 1



G7 = Ph (opt. substd. by (1-3) G12)
G13 = quinolinyl
G14 = CH
Patent location: claim 1
Note: and pharmaceutically acceptable salts, hydrates, solvates, and prodrugs
Stereochemistry: and isomers

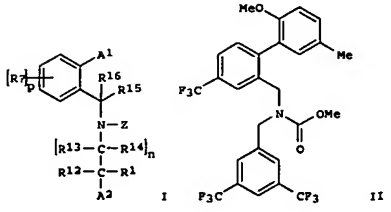
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 143:422129 MARPAT
TITLE: Preparation of [(2-biphenyl)methyl]carbamates as CETP inhibitors
INVENTOR(S): Ali, Amjad; Bohn, Joann; Deng, Qiaolin; Lu, Zhijian; Sinclair, Peter J.; Taylor, Gayle E.; Thompson, Christopher F.; Qureishi, Nazia
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 149 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005100298	A1	20051027	WO 2005-US12196	20050408

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

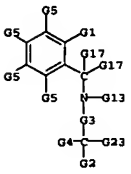
PRIORITY APPLN. INFO.: US 2004-561611P 20040413
GI



AB The title compds. I [A1, A2 = aryl such as Ph and naphthyl, 5-6-membered heterocyclic ring, aromatic ring fused to a heterocyclic ring, Ph ring fused to a heterocyclic ring, or cycloalkyl ring; Z = CHO, C(O)alkyl, (un)substituted CONH2, SO2NH2, etc.; R1, R12-R16 = H, OH, halo, alkyl, etc.; Ra = alkyl, cycloalkyl, alkoxy, etc.; n = 0-1; p = 0-4] which are

L6 ANSWER 2 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
CETP inhibitors, and are useful for raising HDL-cholesterol, reducing LDL-cholesterol, and for treating or preventing atherosclerosis, were prepd. E.g., a multi-step synthesis of II, starting from 4-amino-3-iodobenzotrifluoride, was given. The compds. I have an IC50 of ≤ 50 μM in CEPT assay. The pharmaceutical compns. comprising the compd. I alone or in combination with other therapeutic agent, are disclosed.

MSTR 1



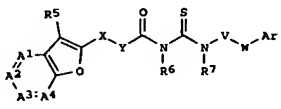
G1 = quinolinyl
G3 = bond
G5 = pyridyl
Patent location: claim 1
Note: or pharmaceutically acceptable salts

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

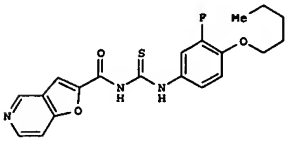
L6 ANSWER 3 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 143:172855 MARPAT
TITLE: Preparation of azabenzofuran substituted thioureas as inhibitors of viral replication
INVENTOR(S): Thurkauf, Andrew; Chen, Dawei; Phadke, Avinash; Li, Shuming; Deshpande, Milind
PATENT ASSIGNEE(S): Achillion Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005067900	A2	20050728	WO 2005-US339	20050105
WO 2005067900	A3	20050929		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005228013	A1	20051013	US 2005-29910	20050105
PRIORITY APPLN. INFO.:			US 2004-534839P	20040106

GI



I

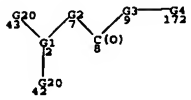


II

L6 ANSWER 3 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

AB The title compds. I [X, W = O, S, NR, or absent (wherein R = H, alkyl, arylalkyl); V = alkyl, alkenyl, cycloalkyl, or absent; Y = alkyl, alkyl substituted with cycloalkyl, alkenyl, cycloalkyl or absent; wherein when V is absent, W is absent; A1 = N, CR1; A2 = N, CR2; A3 = N, CR3; A4 = N, CR4; wherein 1 or 2 of A1-A4 = N; R1-R4, when present, = H, halo, OH, etc.; R5 = H, halo, OH, etc.; R6, R7 = H, alkyl, alkenyl, etc.; or R6 and R7 are joined to form (un)substituted 5-7 membered saturated or mono-unsatd. heterocyclic ring optionally containing one addnl. heteroatom chosen from N, S and O; Ar = (un)substituted (hetero)aryl] that are potent and/ or selective inhibitors of Hepatitis C virus replication, were prepared and formulated. E.g., a multi-step synthesis of II.HCl, starting from furylacrylic acid, was given. The representative compds. I were tested and found to inhibit replication of the HCV replicon with EC50 values of less than 10 μM. The invention also provides pharmaceutical compns. containing one or more compds. I, or a salt, solvate, or acylated prodrug of such compds., and one or more pharmaceutically acceptable carriers, excipients, or diluents. The invention further comprises methods of treating patients suffering from certain infectious diseases by administering to such patients an amount of a compound I effective to reduce signs or symptoms of the disease. These infectious diseases include viral infections, particularly HCV infections. The invention particularly includes methods of treating human patients suffering from an infectious disease, but also encompasses methods of treating other animals, including liveatock and domesticated companion animals, suffering from an infectious disease. Methods of treatment include administering the compound I as a single active agent or administering the compound I in combination with on or more other therapeutic agent.

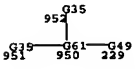
MSTR 1



G2 = bond
G3 = 24-8 27-172

L6 ANSWER 3 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

G4 = 950



G15 = R <"moiety necessary to form a ring">
G49 = quinolinyl
G61 = 197-9 201-229 202-951 200-952

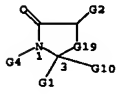
Patent location: claim 1
Note: or pharmaceutically acceptable salts
Note: additional substitution also claimed
Note: substitution is restricted
Note: additional oxo substitution also claimed

L6 ANSWER 4 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 142:457096 MARPAT
TITLE: Thiazolidinone, oxazolidinone, and imidazolone derivatives for treating noninflammatory gastrointestinal tract disorders
INVENTOR(S): Fraser, Matthew Oliver; Landau, Steven B.; Burgard, Edward C.
PATENT ASSIGNEE(S): Dynogen Pharmaceuticals, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 67 pp., Cont.-in-part of U.S. Ser. No. 865,225.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005113421	A1	20050526	US 2004-991051	20041117
US 2005026835	A1	20050203	US 2004-865225	20040610
PRIORITY APPLN. INFO.:			US 2003-478671P	20030613
			US 2004-865225	20040610

AB A method is provided for using Cav2.2 subunit calcium channel modulators, particularly thiazolidinone, oxazolidinone, and imidazolone derivs., to treat noninflammatory gastrointestinal tract disorders.

MSTR 1



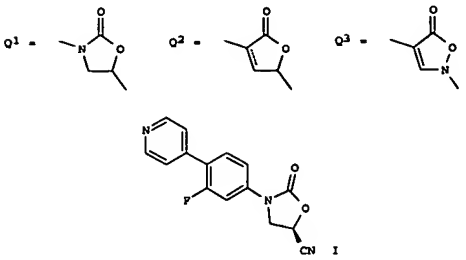
G3 = quinolinyl
G10 = 13

G19 = S
G35 = phenylene (opt. substd. by G36)
Patent location: claim 1
Note: or pharmaceutically acceptable salts, analogs, esters, amides, prodrugs, metabolites, or derivatives
Note: additional substitution also claimed
Stereochemistry: or enantiomers

L6 ANSWER 5 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 142:280196 MARPAT
TITLE: Preparation of N-aryl-2-cyanooxazolidinones as antibacterials.
INVENTOR(S): Gadwood, Robert Charles; Ochoada, Jason Matthew
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company LLC, USA
SOURCE: PCT Int. Appl., 90 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005019213	A1	20050303	WO 2004-IB2616	20040809
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005075382	A1	20050407	US 2004-917937	20040813

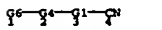
PRIORITY APPLN. INFO.: US 2003-497181P 20030822
GI



AB A2A1ACN A = Q1, Q2, Q3; [A1 = (substituted) aryl, heteroaryl; A2 =

L6 ANSWER 5 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
(substituted) cycloalkyl, cycloalkenyl, aryl, heteroaryl], were prepd. Thus, title compd. (I) (prepn. outlined) showed a min. inhibitory concn. of 0.5 μg/mL against Staphylococcus aureus SAUR 9213.

NSTR 1



G1 = 6-2 9-4

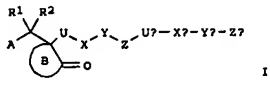
G4 = phenylene (opt. substd. by (1-3) G5)
G6 = quinolinyl
Patent location: claim 1
Note: or pharmaceutically acceptable salts
Note: additional ring formation and subsitutions also claimed

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

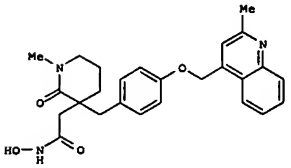
L6 ANSWER 6 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 142:93695 MARPAT
TITLE: Preparation of quinolinylmethoxyphenyl-substituted lactam derivatives as inhibitors of matrix metalloproteinases and/or TNF-alpha converting enzyme
INVENTOR(S): King, Bryan W.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 32 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004266751	A1	20041230	US 2004-869197	20040616

PRIORITY APPLN. INFO.: US 2003-479308P 20030618
GI



I



II

AB Title compds. I [A = carboxamic acid ester, hydroxylamino, etc.; B = (un)substituted (hetero)cycle; U = absent, O, amino, etc.; X = absent, alkylene, alkenylene, etc.; Y = absent, O, amino, etc.; Z = (un)substituted heterocycle; Ua = absent, O, amino, etc.; Xa = absent, alkylene, alkenylene, etc.; Ya = absent, O, amino, SO0-2, etc.; Za = (un)substituted carbocycle, etc.; R1-2 = alkylene, alkenylene, etc.] are prepared For instance, II is prepared in 6 steps from 1-methylpiperidin-2-one and 2-methyl-4-chloromethylquinoline. A number of example compds. exhibit Ki ≤ 10 μM in recombinant MMP assays. I are useful as inhibitors of matrix metalloproteinases (MMP) and/or TNF-α converting enzyme (TACE).

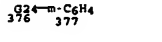
NSTR 1

L6 ANSWER 6 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

G15 = 56-1 57-3

G17 = 95

G18 = 376-56 377-3



G20 = quinolinyl
G24 = bond
Patent location: claim 1
Note: or pharmaceutically acceptable salts or solvates
Note: additional oxo substitution also claimed
Note: substitution is restricted
Stereochemistry: or stereoisomers

L6 ANSWER 7 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 142:56307 MARPAT
TITLE: Preparation of hydantoin derivatives as inhibitors of tumor necrosis factor-α converting enzyme (tace)
INVENTOR(S): Duan, Jingwu; Xue, Chu-Biao; Sheppeck, James; Jiang, Bin; Chen, Lihua
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 101 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004108086	A2	20041216	WO 2004-US17538	20040603
WO 2004108086	A3	20050331		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004254231	A1	20041216	US 2004-858978	20040602
EP 1628974	A2	20060301	EP 2004-776254	20040603
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
PRIORITY APPLN. INFO.:			US 2003-476287P	20030605
			WO 2004-US17538	20040603

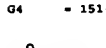
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The authors prepared hydantoin derivs. I [R1 = Q, C1-C6 alkylene-Q, (CRaRa1)tNRaSO2NRa(CRaRa1)s-Q, etc.; L = bond, CO, (CR2R3)m, R2 = Q1, C2-C6 alkenylene-Q1, C2-C6 alkynylene-Q1, (CRaRa1)rOC(O)NRa(CRaRa1)s-Q1, etc.; R3 = Q, C1-C6 alkylene-Q, C2-C6 alkenylene-Q, C2-C6 alkynylene-Q, (CRaRa1)rO(CRaRa1)s-Q, etc.; Q = H, CHF2, CH2F, CF3, carbocycle, heterocycle; Q1 = H, carbocycle, heterocycle; Z0 = heterocycle; R11 = W-U-X-Y-Z-Ua-Xa-Ya-Za; W = bond, (CRaRa1)m, C2-C3 alkylene, C2-C3 alkynylene; U = none, O, NRa1, CO, CO2, CONRa1, etc.; X = none, C1-C3 alkylene, C2-C3 alkenylene, C2-C3 alkynylene; Y = none, O, NRa1, S(O)p, CO; Z = C3-C13 carbocycle, heterocycle; Ua = none, O, NRa1, CO, S(O)pNRa1, etc.; Xa = none, C1-C10 alkylene, C2-C10 alkenylene, C2-C10 alkynylene; Ya

L6 ANSWER 7 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
= none, O, NRa1, S(O)p, CO; Za = C3-C13 carbocycle, heterocycle; Ra = H, C1-C6 alkyl, Ph, PhCH2; Ra1 = H, C1-C6 alkyl, C2-C6 alkenyl, C2-C6 alkylnyl, etc.; R4, R5 = H, C1-C4 alkyl, C2-C4 alkenyl, C2-C4 alkynyl; m = 1-3; p = 0-2; r = 0-4; s = 0-4; t = 1-4] to be used as inhibitors of matrix metalloproteinases (MMP), TNF-α converting enzyme (TACE), and aggrecanase and for treating inflammatory disorders. For example, hydantoin deriv. II was prepd. starting from 4-HOC6H4CHO and 4-chloromethyl-2-methylquinoline which upon reaction gave aldehyde III. III was reacted with hydroxylamine to give the oxime which added to acrolein to give isoxazolecarbaldehyde IV. IV was then converted to the hydantoin II upon treatment with KCN/(NH4)2CO3/EtOH/H2O.

MSTR 1



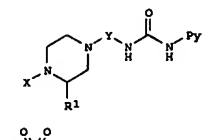
G4 = 151-11 154-13

G8 = phenylene (opt. substd.)
G12 = quinolinyl
Patent location: claim 1
Note: substitution is restricted
Note: or pharmaceutically acceptable salts or solvates
Note: additional oxo substitution also claimed
Stereochemistry: or stereoisomers

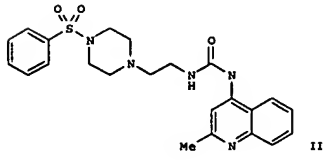
L6 ANSWER 8 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 141:410965 MARPAT
TITLE: Preparation of 1-(piperazinylalkyl)-3-quinolinylurea derivatives as urotensin II antagonists
INVENTOR(S): Aissaoui, Hamed; Binkert, Christoph; Clozel, Martine; Mathys, Boris; Mueller, Claus; Nayler, Oliver; Scherz, Michael; Velker, Jorg; Weller, Thomas
PATENT ASSIGNEE(S): Actelion Pharmaceuticals Ltd, Switz.
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004099179	A1	20041118	WO 2004-EP4716	20040504
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2523566	AA	20041118	CA 2004-2523566	20040504
PRIORITY APPLN. INFO.:			WO 2003-EP4774	20030507
			WO 2004-EP4716	20040504

GI



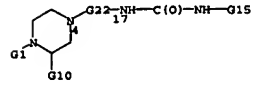
I



II

L6 ANSWER 8 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
AB Title compds. I [wherein Py = (un)substituted pyridinyl, quinolinyl; X = (un)substituted aryl(alkyl), alkylsulfonyl, aryl(alkyl)sulfonyl, (aryl)alkanoyl, aroyl, substituted carbamoyl; Y = CR4R5CH2, CH2CR4R5; R1 = H, Me; R4 = H, (aryl)alkyl, aryl; R5 = H, Me; or CR4R5 = carbocyclic ring; and enantiomers, diastereomers, racemates, pharmaceutically acceptable salts, solvate complexes, and morphol. forms thereof] were prepared as neurohormonal antagonists. For example, II was synthesized in four steps starting from 4-amino-2-methylquinoline, 2-chloroethyl isocyanate, piperazine-1-carboxylic acid tert-Bu ester, and benzenesulfonyl chloride (no data for intermediates). In binding assays of human [125I]-urotensin II to human-derived TE-671 rhabdomyosarcoma cells, compds. of the invention showed activity with IC50 values ranging from 10 nM to 1000 nM. Thus, I and their pharmaceutical compns., optionally comprising other pharmacol. active compds., are useful for treating a variety of disorders associated with dysregulation of urotensin II, such as heart disease, hypertension, kidney disease, diabetes, asthma, and pulmonary disease (no data).

MSTR 1



G1 = 70

G26 = naphthyl / quinolinyl
G31 = Ph (opt. substd. by 1 or more G26)
Patent location: claim 1
Note: and pharmaceutically acceptable salts, solvents, complexes and morphological forms
Stereochemistry: and optically pure enantiomers or diastereomers, mixtures of enantiomers or diastereomers, diastereomeric racemates, and mixtures of diastereomeric racemates

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 141:410960 MARPAT
TITLE: Preparation of 8-(3-biaryl)phenylquinoline phosphodiesterase-4 inhibitors
INVENTOR(S): Dube, Daniel; Dube, Laurence; Gallant, Michel; Lacombe, Patrick; Deschenes, Denis; MacDonald, Dwight
PATENT ASSIGNEE(S): Merck Frosst Canada & Co., Can.
SOURCE: PCT Int. Appl., 129 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004096220	A1	20041111	WO 2004-CA622	20040427
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2523336	AA	20041111	CA 2004-2523336	20040427
PRIORITY APPLN. INFO.:			US 2003-466542P	20030430
			WO 2004-CA622	20040427

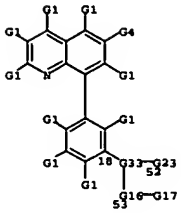
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title 8-phenylquinolines I [S1-S3 = H, OH, halo, alkyl, etc.; R1 = CO2aryl, CONHaryl, CONHheteroaryl, etc.; Ar1, Ar2 = (hetero)aryl or an N-oxide thereof; R2 = H, aryl, haloaryl, heterocyclyl, etc.; R3 = H, alkyl, hydroxyalkyl, etc.; R4 = H, halo, CN, alkyl, etc.] which are PDE4 inhibitors, were prepared E.g., a multi-step synthesis of II (no characterization data given for intermediates), which showed IC50 of 0.155 μM in LPS and FMLP-induced TNF-α and LTB4 assays in human whole blood, was given. The pharmaceutical compns. comprising the compound I are claimed.

NSTR 1

L6 ANSWER 9 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G33 = 92-18 91-53 94-52

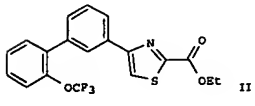
Patent location: claim 1
Note: or pharmaceutically acceptable salts

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 141:395555 MARPAT
TITLE: Biaryl-substituted thiazoles, oxazoles, and imidazoles as sodium channel blockers, and their preparation, pharmaceutical compositions, and use in the treatment of pain
INVENTOR(S): Chakravarty, Prasun K.; Fisher, Michael H.; Parsons, William H.; Tyagarajan, Sriram; Zhou, Bishan
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004094395	A2	20041104	WO 2004-US11271	20040414
WO 2004094395	A3	20050224		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2522476	AA	20041104	CA 2004-2522476	20040414
EP 1618099	A2	20060125	EP 2004-759832	20040414
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
PRIORITY APPLN. INFO.:			US 2003-463775P	20030418
			WO 2004-US11271	20040414

GI

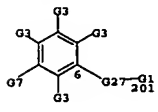


II

AB Biaryl-substituted azole compds., which are sodium channel blockers, useful for the treatment of pain and other conditions, are disclosed. The compds. generally conform to the structure Ar1-Ar2-Ar3 [I; Ar1 = Ph with 0-3 selected substituents, typically H, Cl, CF3, OCF3, etc.; Ar2 = 1,3-phenylene with 0-2 selected substituents, typically unsubstituted; Ar3 = thiazol-2-yl, thiazol-4-yl, oxazol-2-yl, oxazol-4-yl, imidazol-2-yl, or

L6 ANSWER 10 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
imidazol-4-yl, with 0-2 selected substituents, typically H, CO2H, CONH2, CO2Me, CO2Et, Me, etc.; including pharmaceutically acceptable salts]. Pharmaceutical compns. comprise an effective amt. of I, either alone, or in combination with one or more therapeutically active compds., and a pharmaceutically acceptable carrier. Methods of treatment of conditions, including acute pain, chronic pain, visceral pain, inflammatory pain, and neuropathic pain, comprise administering an effective amt. of I, either alone, or in combination with one or more therapeutically active compds. I displayed sodium channel blocking activity at concns. ranging from about <0.1 μM to about <50 μM in several described in vitro assays, e.g., in an electrophysiol. assay using an HEK-293 cell line stably expressing the PN1 sodium channel subtype. Approx 90 specific invention compds. were prepd. and listed individually in examples and/or claims. Several prepns. are described in detail. For instance, invention compd. II was prepd in 3 steps. Thus, Suzuki coupling of 2-BrC6H4OCF3 with 3-AcC6H4B(OH)2 using Pd acetate and PPh3 gave 79% 1-[2'-(trifluoromethoxy)-1,1'-biphenyl-3-yl]ethanone. Bromination of this ketone with Br2 in MeOH in the presence of HBr gave 75% α-bromo deriv., which was cyclized with Et thioxamate in refluxing EtOH to give 86% title compd. II.

NSTR 1



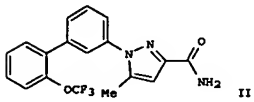
G3 = pyridyl
G7 = quinolinyl
Patent location: claim 1
Note: or pharmaceutically acceptable salts

L6 ANSWER 11 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 141:379921 MARPAT
TITLE: Biaryl-substituted pyrazoles as sodium channel blockers, and their preparation, pharmaceutical compositions, and use in the treatment of pain
INVENTOR(S): Chakravarty, Prasun K.; Fisher, Michael H.; Parsons, William H.; Tyagarajan, Sriram; Zhou, Bishan
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 104 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004092140	A1	20041028	WO 2004-US9713	20040330
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2520804	AA	20041028	CA 2004-2520804	20040330
EP 1615895	A1	20060118	EP 2004-759062	20040330
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				

PRIORITY APPLN. INFO.: US 2003-460106P 20030403
WO 2004-US9713 20040330

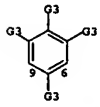
GI



II

AB Biaryl-substituted pyrazole compds., which are sodium channel blockers, useful for the treatment of pain and other conditions, are disclosed. The compds. generally conform to the structure Ar1-Ar2-Ar3 [I; Ar1 = Ph with 0-3 selected substituents, typically H, Cl, CF3, OCF3, etc.; Ar2 = 1,3-phenylene, 3,5-, 2,4-, 2,6-, or 4,2-pyridinediyl, or 2,6-pyrazinediyl, all with 0-2 selected substituents, typically H, F, OCF3; Ar3 = pyrazol-1-yl or pyrazol-3(5)-yl, with 0-3 selected substituents, typically H, CO2H, CONH2, CO2Me, CO2Et, Me, etc.; including pharmaceutically acceptable salts]. Pharmaceutical compns. comprise an effective amt. of I, either alone, or in combination with one or more therapeutically active compds., and a pharmaceutically acceptable carrier. Methods of treatment of conditions, including acute pain, chronic pain, visceral pain, inflammatory pain, and neuropathic pain, comprise administering an effective amt. of I, either alone, or in combination with one or more therapeutically active compds. I displayed sodium channel blocking activity at concns. ranging from about <0.1 μM to about <50 μM in several described in vitro assays, e.g., in an electrophysiol. assay using an HEK-293 cell line stably expressing the PN1 sodium channel subtype. Approx 300 specific invention compds. were prepd. and listed individually in examples and/or claims. Several prepns. are described in detail. For instance, invention compd. II was prepd in 4 steps. Thus, cyclocondensation of 3-BrC6H4NHNH2.HCl with Et 2,4-dioxovalerate in refluxing AcOH gave 84% Et 1-(3-bromophenyl)-5-methyl-1H-pyrazole-3-carboxylate. Alk. hydrolysis of this ester with 2N NaOH gave 89% of the corresponding acid, which was activated with 1,1-carbonyldiimidazole and amidated with NH4OAc to give 82% 1-(3-bromophenyl)-5-methyl-1H-pyrazole-3-carboxamide. Suzuki coupling of this bromide with 2-CF3OC6H4B(OH)2 (prepn. given) gave 88% II.

MSTR 1



G3 = pyridyl
G7 = quinolinyl
G26 = 9-198 6-200

Patent location: claim 1
Note: or pharmaceutically acceptable salts

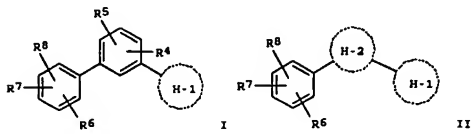
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 12 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 141:332206 MARPAT
TITLE: Preparation of biaryl substituted 6-membered heterocycles as sodium channel blockers
INVENTOR(S): Chakravarty, Prasun K.; Fisher, Michael H.; Parsons, William H.; Liang, Jun; Zhou, Bishan
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 125 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

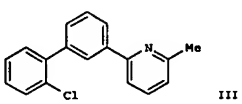
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004084824	A2	20041007	WO 2004-US8532	20040319
WO 2004084824	A3	20050331		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2519677	AA	20041007	CA 2004-2519677	20040319
EP 1608622	A2	20051228	EP 2004-757920	20040319
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				

PRIORITY APPLN. INFO.: US 2003-456312P 20030324
WO 2004-US8532 20040319

GI



I II



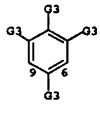
III

L6 ANSWER 11 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

L6 ANSWER 12 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

AB The title biaryl substituted pyridine, pyrimidine and pyrazine compds. [I or II; H-1 = (un)substituted pyridyl, pyrimidyl, pyrazinyl; H-2 = (un)substituted pyridyl, pyrimidyl, pyrazinyl; R4, R5 = H, alkyl, alkoxy, aryloxy, etc.; R6-R8 = H, alkyl, cycloalkyl, alkoxy, etc.] which are sodium channel blockers useful for the treatment of pain (no data), were prepared E.g., a 2-step synthesis of III, starting from 2-bromo-6-methylpyridine and 3-bromophenylboronic acid, was given. Claimed pharmaceutical compns. comprise an effective amount of the instant compds. I, either alone, or in combination with one or more therapeutically active compds., and a pharmaceutically acceptable carrier. Methods of treating conditions associated with, or caused by, sodium channel activity, including, for example, acute pain, chronic pain, visceral pain, inflammatory pain, neuropathic pain, epilepsy, irritable bowel syndrome, depression, anxiety, multiple sclerosis, and bipolar disorder, comprise administering an effective amount of the present compds., either alone, or in combination with one or more other therapeutically active compds.

MSTR 1



G3 = pyridyl
G7 = quinolinyl
G26 = 9-198 6-200

Patent location: claim 1
Note: or pharmaceutically acceptable salts

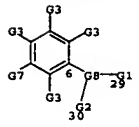
L6 ANSWER 13 OF 67 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 141:296026 MARPAT
TITLE: Preparation of biaryl substituted triazoles as sodium channel blockers
INVENTOR(S): Chakravarty, Prasun K.; Fisher, Michael H.; Palucki, Brenda; Park, Min K.; Parsons, William H.; Zhou, Bishan; Carey, James P.; Frantz, Douglas E.; Kress, Michael H.; Weaver, Damian
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004083189	A1	20040930	WO 2004-US7597	20040312
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2519252	AA	20040930	CA 2004-2519252	20040312
US 2005119261	A1	20050602	US 2004-799230	20040312
EP 1606269	A1	20051221	EP 2004-720360	20040312
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
PRIORITY APPLN. INFO.:			US 2003-455952P	20030318
			WO 2004-US7597	20040312

GI

L6 ANSWER 13 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

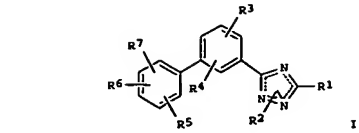


G3 = pyridyl
G7 = quinolinyl
Patent location: claim 1
Note: or pharmaceutically acceptable salts
Note: also incorporates claim 2

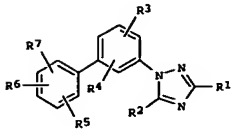
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

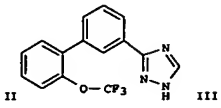
L6 ANSWER 13 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



I



II



III

AB Title compds. I and II [wherein R1 = H, NO2, (un)substituted (cyclo)alkyl, alkenyl, alkynyl, amino, ureido, carboxy, carbamoyl, heterocyclyl, etc.; R2 = H, (un)substituted (cyclo)alkyl, (hetero)aryl, carbamoyl, carboxy, etc.; R3, R4 = independently H, CN, NH2, NO2, halo, (un)substituted (cyclo)alkyl, alkenyl, alkynyl, alkoxy, (hetero)aryloxy, etc.; R5-R7 = independently H, CN, NH2, NO2, halo, (un)substituted (cyclo)alkyl, alkenyl, alkynyl, alkoxy, (hetero)aryloxy, ureido, carbamoyl, etc.; with provisos; and pharmaceutically acceptable salts thereof] were prepared as sodium channel blockers. For example, 2-(trifluoromethoxy)phenylboronic acid (preparation given) was coupled with Et 3-bromobenzoate, and the resulting biphenylcarboxylate saponified and amidated to give 3-(2-trifluoromethoxyphenyl)benzamide. Reaction of the amide with N,N-dimethylformamide di-Me acetal, followed by heating with NH2NH2•H2O provided the triazole III. Compds. of the invention displayed sodium channel blocking activity against HEK cells stably transfected with PN1 Na channels from about <0.1 mM to about <50 mM by causing cell depolarization when sodium ions permeated through the agonist-modified channels. Pharmaceutical compns. comprising I or II, either alone or in combination with one or more other therapeutically active compds., are useful for treating conditions associated with or caused by Na channel activity, including acute pain, chronic pain, visceral pain, inflammatory pain, neuropathic pain, epilepsy, irritable bowel syndrome, depression, anxiety, multiple sclerosis, and bipolar disorder (no data).

MSTR 1

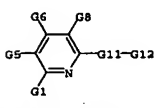
L6 ANSWER 14 OF 67 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 141:167823 MARPAT
TITLE: Selective mGlu5 antagonists for treatment of neuromuscular dysfunction of the lower urinary tract
INVENTOR(S): Leonardi, Amedeo; Testa, Rodolfo; Poggesi, Elena
PATENT ASSIGNEE(S): Recordati S.A., Switz.; Recordati Industria Chimica E Farmaceutica S.P.A.
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004067002	A2	20040812	WO 2004-EP951	20040130
WO 2004067002	A3	20041125		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
EP 1599204	A2	20051130	EP 2004-706676	20040130
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRIORITY APPLN. INFO.:			IT 2003-MI151	20030130
			WO 2004-EP951	20040130

AB Antagonists that are selective for the metabotropic mGlu5 receptor over at least one of the metabotropic mGlu1 receptor, mGlu2 receptor and mGlu3 receptor, and preferably selective over all three thereof, are useful for the preparation of medicaments for the treatment of neuromuscular dysfunction of the lower urinary tract in mammals. A wide variety of suitable compds. is described. The medicament may contain the selective mGlu5 antagonist as the sole active agent, or may also contain one or more addnl. therapeutic agents for the treatment of neuromuscular dysfunction of the lower urinary tract in mammals. Also provided are methods of identifying selective mGlu5 antagonists that are useful for treating neuromuscular dysfunction of the lower urinary tract in mammals.

MSTR 1



Patent location: claim 1
Note: or N-oxides, crystalline forms, hydrates, solvates, pharmacologically active metabolites, prodrugs, or pharmaceutically acceptable salts
Stereochemistry: or enantiomers, diastereoisomers

L6 ANSWER 14 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

L6 ANSWER 15 OF 67 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 141:54209 MARPAT
TITLE: Preparation of substituted dihydrophenanthridine sulfonamides as estrogen receptor (ER) ligands for treatment of inflammatory diseases
INVENTOR(S): Molinari, Albert John; Ashwell, Mark Anthony; Ridgway, Brian Hugh; Failli, Amedeco Arturo; Moore, William Jay
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 203 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004050631	A1	20040617	WO 2003-US38290	20031202
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004167155	A1	20040826	US 2003-718461	20031120
US 6894061	B2	20050517		
CA 2508329	AA	20040617	CA 2003-2508329	20031202
AU 2003298819	A1	20040623	AU 2003-298819	20031202
EP 1567502	A1	20050831	EP 2003-796577	20031202
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003016196	A	20050927	BR 2003-16196	20031202
NO 2005003204	A	20050905	NO 2005-3204	20050630
PRIORITY APPLN. INFO.:			US 2002-430949P	20021204
			US 2003-718461	20031120
			WO 2003-US38290	20031202

GI

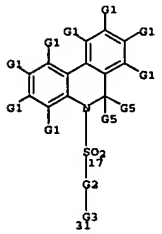
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I and II [wherein R1-R12, R14-R15, R21-R31, R33-R35 = independently H, monofluoroalkyl, monofluoroalkenyl, hydroxyalkyl, CN, NO2, halo, OH and deriva., SH and derivs., SO3H and derivs., SO2NH2 and derivs., CO2H and derivaitves, etc.; R5, R25 = H, monofluoroalkyl, monofluoroalkenyl, hydroxyalkyl, etc.; R6, R26 = H, monofluoroalkyl, monofluoroalkenyl, etc.; R13, R32 = H, alk(en/yn)yl, formyl, SO3H and

L6 ANSWER 15 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

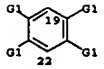
derivs., SO2NH2 and derivs., D-glucuronidate; and pharmaceutically acceptable salts thereof] were prepd. as antiinflammatory agents. Thus, III was prepd. by reacting phenanthridine with 4-methoxybenzenesulfonyl chloride in ether in the presence of MeLi, followed by demethylation. Compds. of the invention potently and efficaciously inhibited transcription factor nuclear factor κB (NF-κB) and interleukin 6 (IL-6) expression in ERα infected immortalized human aortic endothelial (HAECT-1) cells (IC50 values about 1 nM) without inducing creatine kinase (CK) expression in an ER-dependent manner, demonstrating antiinflammatory activity in the absence of classic estrogenic activity. Thus, I, II, and their pharmaceutical compns. are useful for the treatment of the inflammatory component of diseases and are particularly useful in treating atherosclerosis, myocardial infarction, congestive heart failure, inflammatory bowel disease, arthritis, type II diabetes, and autoimmune diseases, such as multiple sclerosis and rheumatoid arthritis (no data).

MSTR 1



G1 = 3-pyridyl / 125

G2 = 19-17 22-31



Patent location: claim 1
Note: or pharmaceutically acceptable salts
Note: additional ring formation also claimed

L6 ANSWER 15 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

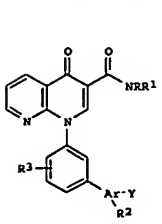
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 16 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 141:38596 MARPAT
TITLE: Preparation of biphenylnaphthyridonecarboxamides as phosphodiesterase-4 inhibitors
INVENTOR(S): Dube, Daniel; Gallant, Michel; Lacombe, Patrick; Aspiotis, Renee; Dube, Laurence; Girard, Yves; MacDonald, Dwight
PATENT ASSIGNEE(S): Merck Frosst Canada & Co., Can.
SOURCE: PCT Int. Appl., 116 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

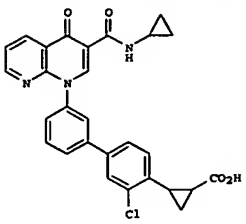
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004048374	A1	20040610	WO 2003-CA1800	20031119
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2506648	AA	20040610	CA 2003-2506648	20031119
AU 2003283167	A1	20040618	AU 2003-283167	20031119
EP 1565464	A1	20050824	EP 2003-775029	20031119
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003016458	A	20051011	BR 2003-16458	20031119
CN 1738819	A	20060222	CN 2003-80108952	20031119
US 2005107402	A1	20050519	US 2004-764229	20040123
NO 2005003046	A	20050727	NO 2005-3046	20050621
PRIORITY APPLN. INFO.:			US 2002-428611P	20021122
			WO 2003-CA1800	20031119

GI

L6 ANSWER 16 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



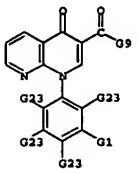
I



II

AB Title compds. [I; Ar = Ph, pyridyl, pyrimidyl, indolyl, quinolyl, thienyl, pyridonyl, oxazolyl, oxadiazolyl, thiadiazolyl, imidazolyl; Y = CO2R4, ACO2R4, etc.; A = alkyl; R, R4 = H, alkyl; R1 = H, (substituted) alkyl, cycloalkyl, alkoxy, alkenyl, alkynyl, heteroaryl, heterocyclyl; R2 = H, halo, cyano, NO2, (substituted) alkyl, cycloalkyl, alkoxy, Ph, heteroaryl, amino, etc.; R3 = H, halo, cyano, NO2, (substituted) alkyl, cycloalkyl, etc.], were prepared Thus, title compound (II) (preparation outlined) inhibited PDE4-mediated hydrolysis of cAMP to AMP with IC50 = 0.1 nM.

MSTR 1



G1 = quinolinyl (substd. by G4)
Patent location: claim 1
Note: or pharmaceutically acceptable salts
Note: additional ring formation, substitution and oxo formation also claimed

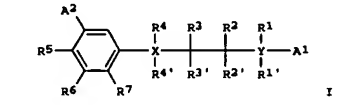
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS

L6 ANSWER 16 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

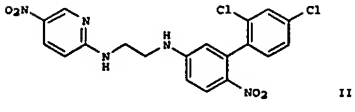
L6 ANSWER 17 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 140:391201 MARPAT
TITLE: Preparation of 2-[2-(phenylamino)ethylamino]pyridine derivatives as inhibitors of glycogen synthase kinase 3
INVENTOR(S): Nuss, John M.; Subramanian, Sharadha; Wagman, Allan S.
PATENT ASSIGNEE(S): Chiron Corporation, USA
SOURCE: PCT Int. Appl., 76 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004037791	A1	20040506	WO 2003-US33370	20031020
WO 2004037791	B1	20040708		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2502819	AA	20040506	CA 2003-2502819	20031020
AU 2003282976	A1	20040513	AU 2003-282976	20031020
US 2004138273	A1	20040715	US 2003-690497	20031020
US 6989382	B2	20060124		
EP 1556355	A1	20050727	EP 2003-774908	20031020
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006506383	T2	20060223	JP 2004-546978	20031020
PRIORITY APPLN. INFO.:			US 2002-420432P	20021021
			WO 2003-US33370	20031020

GI



I

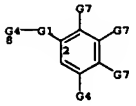


II

L6 ANSWER 17 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

AB The title compds. I [wherein X and Y = independently N, O, and (un)substituted carbon; A1 and A2 = independently (un)substituted aryl, arylamino, aryloxy, or heteroaryl; R1-R4 = independently H, OH, (un)substituted alkyl, cycloalkyl, etc.; R1'-R4' = independently H or (un)substituted alkyl; R5-R7 = independently H, OH, halo, CO2H, NO2, amino, etc.] or pharmaceutically acceptable salts thereof are prepared as glycogen synthase kinase 3 (GSK3) inhibitors. For example, 2-(2,4-dichlorophenyl)-4-fluoro-1-nitrobenzene (preparation given) was reacted with 2-[(2-aminoethyl)amino]-5-nitropyridine in MeCN in the presence of i-Pr2NEt to give II (90%). Some of compds. I showed inhibitory activity with IC50 of 1 μM or less against human GSK3. I are useful for the treatment of disorders mediated by GSK3 activity, such as for the treatment of diabetes, Alzheimer's disease, other neurodegenerative disorders, such as Parkinson's disease, Huntington's disease, obesity, atherosclerotic cardiovascular disease, essential hypertension, polycystic ovary syndrome, syndrome X, ischemia, traumatic brain injury, bipolar disorder, immunodeficiency, or cancer (no data).

MSTR 1



G4 = quinolinyl
G7 = Ph (opt. substd. by 1 or more G16)
Patent location: claim 1
Note: and pharmaceutically acceptable salts
Note: substitution is restricted
Note: additional ring formation also claimed

L6 ANSWER 18 OF 67 MARPAT COPYRIGHT 2006 ACS on STN

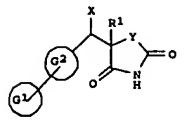
ACCESSION NUMBER: 140:287384 MARPAT
TITLE: Preparation of biaryl(methyl)-substituted hydantoins as metalloproteinase inhibitors
INVENTOR(S): Gabos, Balint; Lundkvist, Michael; Munck Af Rosenschoeld, Magnus; Shamovsky, Igor
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004024060	A2	20040325	WO 2003-SE1406	20030910
WO 2004024060	A3	20040624		

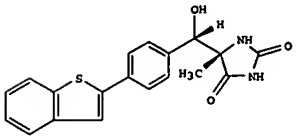
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: SE 2002-2692 20020911
GI

L6 ANSWER 18 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



I



II

AB Title compds. I [X = OH, NH2, NH(alkyl), SH; Y = N(alkyl, H); R1 = H, alkyl, etc.; G2 = 5-6 membered (hetero)aryl monocyclic ring; G1 = optionally fused 5-6 membered (hetero)aryl monocyclic ring] are prepared For instance, rel-(5R)-5-[(R)-(4-iodophenyl)(hydroxy)methyl]-5-methylimidazolidine-2,4-dione (preparation given) is protected as the THP derivative (THF, PPTS, DHP) and coupled to benzothiophene-2-boronic acid (PhMe, Na2CO3, EtOH, Pd(dppf)Cl2, 90°, 5 h) to give II after acidic work-up. Selected example compds. showed inhibitory activity against MMP 12 (IC50 = 1.0-7.0 nM) and MMP 9 (IC50 = 7.0-70.0 nM).

MSTR 1

G10 = phenylene (opt. substd. by 1 or more G12)
G11 = quinolinyl
G12 = Ph
Patent location: claim 1
Note: or pharmaceutically acceptable salts
Note: additional ring and ring oxo formation also claimed
Note: also incorporates claim 11, structures II and VI

L6 ANSWER 19 OF 67 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 140:287373 MARPAT
TITLE: Preparation of aryloxyaryl, arylheteroaryl, and biaryl methylenethiazolidinediones as sodium channel blockers for the treatment of pain
INVENTOR(S): Kuo, Howard C. H.; Ayer, Michelle B.; Chakravarty, Prasun K.; Meinke, Peter T.; Parsons, William H.; Tyagarajan, Sriram
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 103 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004024061	A2	20040325	WO 2003-US12910	20030425
WO 2004024061	A3	20040610		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

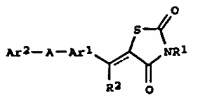
CA 2483771	AA	20040325	CA 2003-2483771	20030425
EP 1501509	A2	20050202	EP 2003-768499	20030425

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

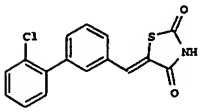
US 2005165072	A1	20050728	US 2003-512924	20030425
JP 2006500399	T2	20060105	JP 2004-535393	20030425

PRIORITY APPLN. INFO.: US 2002-376816P 20020430
WO 2003-US12910 20030425
GI

L6 ANSWER 19 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



I



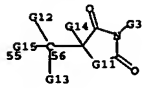
II

AB Stereoisomeric aryloxyaryl-, biaryl- and arylheteroaryl methylenethiazolidinediones I [A = bond, O, S, CH2, RN; Ar1 = (un)substituted phenylene, pyridinediyl, pyrimidinediyl, furandiyl, thiophenediyl, pyrroledlyl, etc.; Ar2 = (un)substituted Ph, pyridyl, pyrimidinyl, furyl, thienyl, pyrrolyl, etc.; R, R1, R2 = H, C1-C4 alkyl; the dashed bond may either be single or double, with either (E)- or (Z)-stereochem.] such as II are prepared as sodium channel blocking agents for the treatment of pain alone or in concert with other analgesics. I are claimed as treatments for irritable bowel syndrome, Crohn's disease, epilepsy, tonic seizures, multiple sclerosis, bipolar depression, and tachyarrhythmia; I are also claimed as potential local anesthetic and neuroprotective agents. I are found to block sodium channels in vitro with Ki vales of <5 μM (no data). Suzuki coupling of 1-bromo-2-chlorobenzene and 3-formylbenzeneboronic acid yields 2'-chloro-1,1'-biphenyl-3-carboxaldehyde; condensation of the aldehyde with 2,4-thiazolidinedione yields II.

MSTR 1

G1 = quinolinyl
G2 = 55

L6 ANSWER 19 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G15 = 424-1 428-56

Patent location: claim 1
Note: also incorporates claim 2
Note: additional ring formation also claimed
Note: or pharmaceutically acceptable salts

L6 ANSWER 20 OF 67 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 140:59526 MARPAT
TITLE: Preparation of 8-(biaryl)quinolines as PDE4 inhibitors
INVENTOR(S): Deschenes, Denis; Dube, Daniel; Dube, Laurence; Gallant, Michel; Girard, Yves; Lacombe, Patrick; MacDonald, Dwight
PATENT ASSIGNEE(S): Merck Frosst Canada & Co., Can.
SOURCE: PCT Int. Appl., 122 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004000814	A1	20031231	WO 2003-CA957	20030623
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2490043	AA	20031231	CA 2003-2490043	20030623
AU 2003243870	A1	20040106	AU 2003-243870	20030623
EP 1517895	A1	20050330	EP 2003-760540	20030623
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006502104	T2	20060119	JP 2004-514482	20030623
US 2005234238	A1	20051020	US 2004-517416	20041208
PRIORITY APPLN. INFO.:			US 2002-391364P	20020625
			US 2002-428313P	20021122
			WO 2003-CA957	20030623

GI

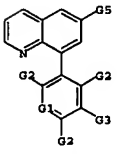
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein A = C or N; X = Ph, pyridyl, pyrazinyl, thiaphenyl, quinolinyl, benzofuranyl, oxadiazolyl, diazolylpyridinyl, imidazolylpyridinyl, oxadiazolylphenyl, benzodioxolyl; R1 = H, halo, or (un)substituted alkanoyl, cyclo/alkyl, alkenyl; R2, R3 = independently H, halo, OH, CN, NO2, or dialkenyl/dicycloalkyl/alkyl, alkenyl, wide variety of C-containing and heteroat. groups and/or functional groups optionally linked by C1-4alkyl; R2 optionally forms a double bond with an adjoining bond; R4 = H, halo; any ring nitrogen optionally forms N-oxide and N-chloride; and pharmaceutically acceptable salts thereof] were prepared as phosphodiesterase IV (PDE4) inhibitors. For example, II was prepared by Suzuki cross-coupling of quinoline III with 2-bromo-3-chlorothiophene. One hundred fifty-five invention compds. suppressed PDE4 with IC50 values ranging from 36 μM to 0.005 μM in assays evaluating LPS- and FMLP-induced inhibition of tumor necrosis factor α (TNF-α) and

L6 ANSWER 20 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

leukotriene B4 (LTB4) in human whole blood. In a test measuring IgE-mediated allergic pulmonary inflammation induced by inhalation of antigen by sensitized guinea pigs, administration of I resulted in a significant redn. in the eosinophilia and the accumulation of other inflammatory leukocytes and effected less inflammatory lung damage. One hundred fifty-five invention compds. also inhibited the hydrolysis of cAMP to AMP by human recombinant phosphodiesterase IVa with IC50 values ranging from 160 nM to 0.086 nM. Thus, I and their pharmaceutical compns. are useful for the treatment or prevention of a variety of allergic, inflammatory, CNS, and other conditions (no data).

MSTR 1



G1 = 19

G3 = Ph (opt. substd. by 1 or more G4)
Patent location: claim 1
Note: or pharmaceutically acceptable salts, N-oxides or N-chlorides

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

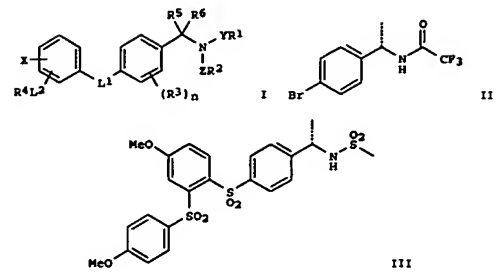
L6 ANSWER 21 OF 67 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 140:27654 MARPAT
TITLE: Preparation of N-(α-methylbenzyl) sulfonamides as cannabinoid receptor ligands
INVENTOR(S): Kozlowski, Joseph A.; Shih, Neng-Yang; Lavey, Brian J.; Rizvi, Razia K.; Shankar, Bandarpalle B.; Spitler, James M.; Tong, Ling; Wolin, Ronald L.; Wong, Michael K.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: U.S. Pat. Appl. Publ., 68 pp., Cont.-in-part of U.S. Ser. No. 72,354.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003232859	A1	20031218	US 2002-214897	20020807
US 2003096844	A1	20030522	US 2002-72354	20020206
ZA 2003005933	A	20041101	ZA 2003-5933	20030731
CA 2494827	AA	20040219	CA 2003-2494827	20030805
WO 2004014825	A1	20040219	WO 2003-US24398	20030805
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NI, NO, NZ, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003257172	A1	20040225	AU 2003-257172	20030805
EP 1539662	A1	20050615	EP 2003-784905	20030805
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005534715	T2	20051117	JP 2004-527741	20030805
US 2006009528	A1	20060112	US 2005-203946	20050815

PRIORITY APPLN. INFO.: US 2001-267375P 20010208
US 2002-72354 20020206
US 2001-292600P 20010522
US 2002-214897 20020807
WO 2003-US24398 20030805

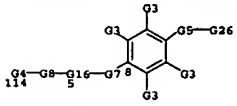
GI



AB Title compds. [I; R1 = H, alkyl, haloalkyl, cycloalkyl, cycloalkylamino, aralkyl, heteroaryl, amino, (substituted) aryl, etc.; R2, R5, R6 = H, alkyl; R3 = H, alkyl, Cl, F, CF3, OCF2H, OCF3, OH, alkoxy; R4 = H, (substituted) alkyl, alkoxy, cycloalkyl, alkenyl, aryl, PhCH2, heteroaryl, arylamino, heteroarylamino, cycloalkylamino, etc.; L1 = alkylene, alkenylene, CO, C(R2)2, CHOR2, NOR5, SO2, SO, S, O, NR2, NR2CO, CHCF3, CF2; L2 = bond, alkylene, CO, C(R2)2, NR2, NR2SO2, CONR2, S, SO, SO2, NOR5, CR2OH, etc.; X = H, halo, CF3, cyano, OCF2H, OCF3, alkyl, cycloalkyl, cycloalkoxy, alkoxy, heteroalkyl, CO2R2, NHR2, arylamino, OSO2R2, etc.; Y, Z = bond, CH2, SO2, CO; R1YNZR2 = atoms to form a heterocycle; n = 0-4], were prepared for treatment of cancer, inflammatory disease, immunomodulatory disease, or respiratory disease (no data). Thus, (S)-α-methylbenzylamine was stirred with (F3CCO)2O in CH2Cl2; the mixture was then treated with MeSO3H and dibromodimethylhydantoin to give 32% intermediate (II). II in THF at -78° was treated with MeLi and then with 4-MeOC6H4SO2Cl followed by warming to room temperature to give 65% di-Ph sulfone derivative The latter in THF at -78° was treated with BuLi then with bis(4-methoxyphenyl)disulfide to give crude disulfide coupling product, which was treated with MCPBA in CH2Cl2 to give 45% bissulfone. This was deprotected with LiOH in H2O/dioxane followed by treatment with MeSO2Cl to give title compound (III). Pharmaceutical compns. comprising the compound I are claimed.

MSTR 1A

L6 ANSWER 21 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



Patent location: claim 1
Note: or pharmaceutically acceptable salts, or solvates
Note: substitution is restricted
Note: additional ring formation also claimed
Note: or N-oxides or quaternary amines

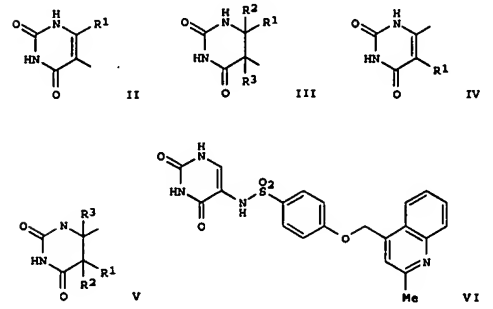
L6 ANSWER 22 OF 67 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 140:16741 MARPAT
TITLE: Preparation of uracil derivatives as inhibitors of TNF-α converting enzyme (TACE) and matrix metalloproteinases
INVENTOR(S): Maduskuie, Thomas P.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 31 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003229081	A1	20031211	US 2003-389529	20030314

PRIORITY APPLN. INFO.: US 2002-365334P 20020318

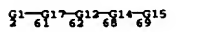
GI



AB The title compds. A-W-U-X-Y-Z-Ua-Xa-Ya-Za [I; A = II-V; W = a bond, O, CO, CO2, (un)substituted NH, etc.; X = a bond, alkylene, alkenylene, alkynylene; Y = a bond, O, (un)substituted NH, SOp, CO; Z = carbocycle, heterocycle; Ua = O, CO, OCO, CO2, etc.; Xa = a bond, alkylene, alkenylene, alkynylene; Ya = a bond, O, CO, SOp, (un)substituted NH; Za = H, carbocyle, heterocycle; provided that U, Y, Z, Ua, Ya, and Za do not combine to form NN, NO, ON, OO, SOpO, OSOp, SOpSOp group; R1 = H, CF3, alkyl, etc.; R2 = H, alkyl, alkenyl, alkynyl; R3 = H, alkyl, alkenyl, alkynyl; p = 0-2; with the provisos], useful as inhibitors of TNF-α converting enzyme (TACE), matrix metalloproteinases (MMP), aggrecanase or a combination thereof, were prepared E.g., a 3-step synthesis of VI.TFA

L6 ANSWER 22 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
(starting from 4-hydroxybenzenesulfonic acid sodium salt and 4-chloromethyl-2-methylquinoline), was given. A no. of compds. I were found to exhibit Ki's of ≤ 10 μM in MMP assays. The pharmaceutical compn. comprising the compd. I is claimed.

MSTR 1A



Patent location: claim 1
Note: substitution is restricted
Note: or pharmaceutically acceptable salts

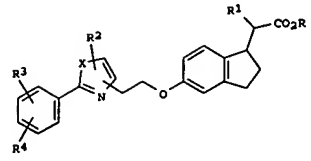
L6 ANSWER 23 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 139:350727 MARPAT
TITLE: Preparation of indaneacetic acid derivatives for treating diabetes or diabetes-related disorders
INVENTOR(S): Wickens, Philip; Cantin, Louis-David; Kumarasinghe, Elilalabewage; Chuang, Chih-Yuan; Liang, Sidney X.
PATENT ASSIGNEE(S): Bayer Pharmaceuticals Corporation, USA
SOURCE: PCT Int. Appl., 119 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003089418	A1	20031030	WO 2003-US11725	20030416
WO 2003089418	C1	20050303		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW		
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG		
CA 2482714	AA	20031030	CA 2003-2482714	20030416
AU 2003221960	A1	20031103	AU 2003-221960	20030416
EP 1497271	A1	20050119	EP 2003-718423	20030416
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
US 2005107392	A1	20050519	US 2003-506270	20030416
JP 2005526834	T2	20050908	JP 2003-586139	20030416
US 2005075338	A1	20050407	US 2004-949119	20040922

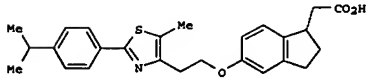
PRIORITY APPLN. INFO.:
US 2002-373048P 20020416
US 2001-308500P 20010727
US 2002-205839 20020725
WO 2003-US11725 20030416

GI

L6 ANSWER 23 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



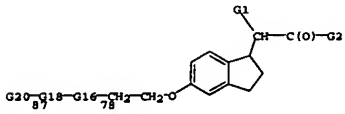
I



II

AB The title compds. [I; R, R1 = H, alkyl; R2 = H, alkyl, (un)substituted Ph; R3 = H, halo, NO2, etc.; R4 = cycloalkyl, alkenyl, NO2, etc.; X = O, S], useful in the treatment of diseases such as diabetes, obesity, hyperlipidemia, and atherosclerotic diseases, were prepared and formulated.
E.g., a multi-step synthesis of (1S)-II, was given.

MSTR 1



G16 = 86-87 82-78

G17 = O
G18 = phenylene (opt. substd. by 1 or more G6)
G20 = quinolinyl
Patent location: claim 1
Note: and pharmaceutically acceptable salts and esters

L6 ANSWER 23 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

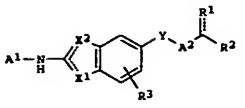
L6 ANSWER 24 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 139:307759 MARPAT
TITLE: Preparation of substituted benzazoles as Raf kinase inhibitors
INVENTOR(S): Renhowe, Paul A.; Ramurthy, Savithri; Amiri, Peyman; Levine, Barry Haskell; Poon, Daniel J.; Subramanian, Sharadha; Sung, Leonard; Fantl, Wendy
PATENT ASSIGNEE(S): Chiron Corporation, USA
SOURCE: PCT Int. Appl., 259 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003082272	A1	20031009	WO 2003-US10117	20030331
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2480638	AA	20031009	CA 2003-2480638	20030331
AU 2003226211	A1	20031013	AU 2003-226211	20030331
EP 1499311	A1	20050126	EP 2003-745683	20030331
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003008854	A	20050222	BR 2003-8854	20030331
JP 2005529089	T2	20050929	JP 2003-579810	20030331
NO 2004004617	A	20041228	NO 2004-4617	20041026

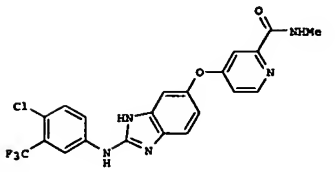
PRIORITY APPLN. INFO.: US 2002-369066P 20020329
WO 2003-US10117 20030331

GI

L6 ANSWER 24 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



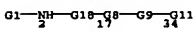
I



II

AB The title compds. [I; X1, X2 = N, NR4, O, S (with the provisos); Y = O, S; A1 = (un)substituted alkyl, cycloalkyl, aryl, etc.; A2 = (un)substituted heteroaryl; R1 = O, H, and R2 = NR5R6, OH; or CR1R2 = (un)substituted heterocycloalkyl, heteroaryl; R3 = H, halo, alkyl, alkoxy; R4 = H, OH, (di)alkylamino, alkyl; R5, R6 = H, (un)substituted alkyl, alkoxyalkyl, etc.; or R5 and R6 are taken together to form (un)substituted heterocyclyl or heteroaryl], useful for inhibition of Raf kinase activity in a human or animal subject, were prepared E.g., a 3-step synthesis of the benzimidazole II (starting from 4-amino-3-nitrophenol and (4-chloropyridin-2-yl)-N-methylcarboxamide), was given. The compds. of examples 1-1094 showed a Raf kinase inhibitory activity at an IC50 of less than 5 μM. A composition comprising the compound I is claimed. The new compds. compns. may be used either alone or in combination with at least one addnl. agent for the treatment of a Raf kinase mediated disorder, such as cancer.

MSTR 1



G1 = Ph (opt. substd. by 1 or more G19)
G19 = Ph (opt. substd.) / quinolinyl (opt. substd.)

L6 ANSWER 24 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
Patent location: claim 1
Note: additional ring oxo formation also claimed
Note: and pharmaceutically acceptable salts, esters and prodrugs

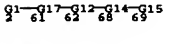
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 25 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 139:296920 MARPAT
TITLE: Uracil derivatives as inhibitors of TNF-α converting enzyme (TACE) and matrix metalloproteinases
INVENTOR(S): Maduskuie, Thomas P.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 105 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003079986	A2	20031002	WO 2003-US8412	20030314
WO 2003079986	A3	20040513		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2002-365334P 20020318
AB The present application describes novel uracil derivs. of formula I: A-W-U-X-Y-Z-Ua-Xa-Ya-Za or pharmaceutically acceptable salt or prodrug forms thereof, wherein A, W, U, X, Y, Z, Ua, Xa, Ya, and Za are defined in the present specification, which are useful as inhibitors of TNF-α converting enzyme (TACE), matrix metalloproteinases (MMP), aggrecanase or a combination thereof.

MSTR 1A

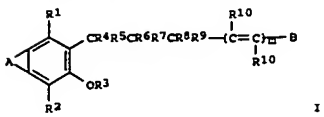


Patent location: claim 1
Note: substitution is restricted
Note: or pharmaceutically acceptable salts

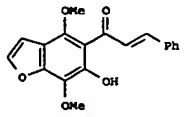
L6 ANSWER 26 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 139:261160 MARPAT
TITLE: Preparation of benzofuryl methyl ketone chalcone derivatives as potassium channel modulators.
INVENTOR(S): Baell, Johathan B.; Wulff, Heike; Chandy, George K.; Norton, Raymond S.
PATENT ASSIGNEE(S): The Walter and Eliza Hall Institute of Medical Research, Australia
SOURCE: PCT Int. Appl., 98 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003076407	A1	20030918	WO 2003-AU308	20030314
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2478921	AA	20030918	CA 2003-2478921	20030314
AU 2003209828	A1	20030922	AU 2003-209828	20030314
EP 1490339	A1	20041229	EP 2003-743769	20030314
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1649843	A	20050803	CN 2003-809678	20030314
US 2005176813	A1	20050811	US 2003-507782	20030314
JP 2005527518	T2	20050915	JP 2003-574628	20030314
ZA 2004007709	A	20050624	ZA 2004-7709	20040923
PRIORITY APPLN. INFO.:			AU 2002-1103	20020314
			WO 2003-AU308	20030314

GI



I



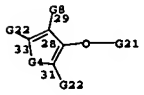
II

AB A method of intentionally modulating K ion channel activity of T-cells comprises administration of title compds. [I; A = (substituted) fused carbocyclyl, heterocyclyl; B = (substituted) aryl, heteroaryl; R1, R2 = H, cyano, halo, NO2, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, OR, COR, CO2R, O2CR (R = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, aryl group), CONR'R'', NR'COR", NR'R" (R', R" = H, alkyl); R3 = H, (substituted) alkyl, alkenyl, alkynyl; R4, R5 = H, OH, alkyl, alkenyl, alkynyl, alkoxy; R4R5 = O, S, NR, NOR, (R = H, alkyl); R6, R7 = H, cyano, halo, NO2, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, OR, COR, CO2R, O2CR (R = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, aryl), CONR'R", NR'R" (R', R" = H, alkyl); R3R7 = atoms to form (substituted) 5-6 membered heterocyclyl; R8, R9 = H, cyano, halo, NO2, 5-6 membered N-heterocyclyl, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, arylalkyl, heterocyclylalkyl, OR, COR, CO2R, O2CR (R = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, aryl), CONR'R'', NR'COR", NR'R" (R', R" = H, alkyl); R8R9 = O, S, NR, NOR (R = H, alkyl); R6R8 = bond; R4, R5, R6, R8, R9 together with the atoms to which they are attached = aryl, heteroaryl; or R6, R7, R8 and the atoms to which they are attached, together with a ring atom of B = 6 membered aryl, heteroaryl fused to ring B; m = 0-2; R10 = H, cyano, halo, NO2, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl; with provisos]. Thus, khellinone and PhCHO were stirred overnight in 2M NaOH to give 78% title compound (II). II blocked K+ channels with Kd (Kv1.3) = 0.17 mM.

NSTR 1

L6 ANSWER 26 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

G1 = quinolinyl
G3 = 29



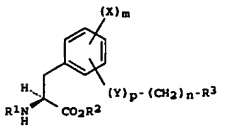
G4 = any ring <containing zero or more heteroatoms, zero or more N, zero or more O, zero or more S (no other heteroatoms), 2 or more C, attached through 2 or more C, 1 or more double bonds> (opt. substd.)
G8 = m-C6H4
Patent location: claim 1
Note: or salts or pharmaceutically acceptable derivatives
Note: substitution is restricted
Note: additional ring formation also claimed
Note: also incorporates claim 35

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 27 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 139:180343 MARPAT
TITLE: Preparation of aromatic amino acid derivatives as anticancer agents
INVENTOR(S): Endo, Hitoshi; Kanai, Yoshikatsu; Tsujihara, Kenji; Saito, Kunio
PATENT ASSIGNEE(S): Japan
SOURCE: PCT Int. Appl., 124 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003066574	A1	20030814	WO 2003-JP1081	20030203
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2475434	AA	20030814	CA 2003-2475434	20030203
AU 2003208105	A1	20030902	AU 2003-208105	20030203
EP 1481965	A1	20041201	EP 2003-703151	20030203
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005119256	A1	20050602	US 2003-503125	20030203
PRIORITY APPLN. INFO.:			JP 2002-31216	20020207
			WO 2003-JP1081	20030203

GI

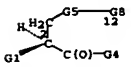


I

AB Aromatic amino acid derivs. represented by the following general formula (I) or pharmacol. acceptable salts thereof [wherein R1 represents hydrogen or an amino-protecting group; R2 represents hydrogen, alkylaralkyl or aryl; R3 represents (1) halogeno, (2) aroylamino, (3) Ph substituted by lower alkyl, Ph, phenoxy, etc., (4) naphthyl or tetrahydronaphthyl optionally substituted by hydroxy, lower alkoxy or di(lower alkyl)amino, (5) an N-, O- and/or S-containing unsatd. monocyclic heterocycle group substituted by

L6 ANSWER 27 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
lower alkyl, Ph, naphthyl or tetrahydroquinolyl, or (6) an N-, O- and/or S-contg. fused heterocycle group, which may be unsatd. or partly satd., optionally substituted by oxo, carboxy, amino, lower alkyl, etc.; X represents halogeno, alkyl or alkoxy; Y represents oxygen or nitrogen; p is 0 or 1; m is 0, 1 or 2; and n is an integer of from 0 to 5] are prepd. These compds. inhibit a transporter (LAT1) of essential amino acids which are one of the main nutrients for cancer cells and induce depletion of the essential amino acids in the cancer cells, thereby inhibit the proliferation of the cancer cells. Thus, 0.2 mL pyridine was added to a suspension of N-trifluoroacetyl-3-hydroxy-L-phenylalanine Et ester 159, 2-naphthaleneboronic acid 186, mol. sieve 4A 204, and Cu(OAc)2 153 mg in 7 mL CH2Cl2, stirred at room temp. for 16 h in air to give, after workup and silica gel chromatog., 89% N-trifluoroacetyl-3-(2-naphthyloxy)-L-phenylalanine Et ester (II). 0.5 N aq. NaOH was added to a soln. of II (94 mg) in 2 mL THF at 5°, stirred at 5° for 69 h, acidified with 1 N aq. HCl to pH 3-4, and filtered to give 78% 3-(2-naphthyloxy)-L-phenylalanine (III). In an assay for a LAT1 inhibitory activity, III and 3-[3-(6-dimethylaminopyridyl)phenoxy]-L-phenylalanine in vitro showed IC50 of 0.1 and 0.01 μg/mL, resp., for inhibiting the uptake of [14C]-L-tyrosine by human prostatic cancer T24 cells.

MSTR 1



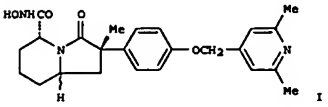
G8 = Ph (opt. substd. by G12)
G12 = Ph (opt. substd. by 1 or more G13) / quinolinyl (opt. substd.)
Patent location: claim 1
Note: or pharmacologically acceptable salts
Note: substitution is restricted

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 28 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 139:101025 MARPAT
TITLE: Preparation of bicyclic lactam derivatives as inhibitors of matrix metalloproteinases and/or TNF-α converting enzyme (tace)
INVENTOR(S): Decicco, Carl; Song, Ying; Duan, Jingwu; Voss, Matthew
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 111 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003055856	A2	20030710	WO 2002-US33143	20021016
WO 2003055856	A3	20040108		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003181438	A1	20030925	US 2002-271441	20021016
US 6884806	B2	20050426		

PRIORITY APPLN. INFO.: US 2001-329636P 20011017
GI



I

AB R6CHAN(BR4R5)COCR1R2R3 [A = acyl, (un)substituted CO2H, CONHOH, NH2, N(OH)CHO, SH, CH2SH, S(O)NH2, S(:NH)2H, SCHO, P(O)(OH)2, P(O)(OH)NH2; R1, R2 = substituent; R3R4 = atoms required to complete an (un)substituted 5-7-membered heterocyclic ring; R5R6 = atoms required to complete an (un)substituted 4-8-membered heterocyclic ring; B = N, C, α-HC] were prepared for use as metalloproteinase, TNF-α, and aggrecanase inhibitors (no data). Thus, 4-PhCH2OC6H4CHMeCO2Me was alkylated with 2-chloromethylpyridine, debenzylated, lactamized, followed by O-silylation and separation of the diastereomers which were desilylated and treated with

L6 ANSWER 28 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
2,6-dichloro-4-bromomethylpyridine to give the diastereomers of the indolizine I.

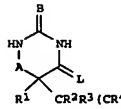
MSTR 1A

Patent location: claim 1
Note: or pharmaceutically acceptable salts
Stereochemistry: or stereoisomers

L6 ANSWER 29 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 139:85368 MARPAT
TITLE: Preparation of barbituric acids as inhibitors of TNF-α converting enzyme (TACE), aggrecanase and/or matrix metalloproteinases
INVENTOR(S): Duan, Jingwu; Jiang, Bin; Chen, Lihua; Lu, Zhonghui; Barbosa, Joseph; Pitts, William
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 267 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003053941	A2	20030703	WO 2002-US40458	20021217
WO 2003053941	A3	20030814		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002357312	A1	20030709	AU 2002-357312	20021217
US 2003229084	A1	20031211	US 2002-321144	20021217

PRIORITY APPLN. INFO.: US 2001-342658P 20011220
WO 2002-US40458 20021217
GI

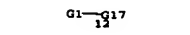


I

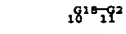
AB The present application describes novel barbituric acid derivs. (shown as I; variables defined below; e.g. 5-methyl-5-[3-[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]-3-oxopropyl]-2,4,6(1H,3H,5H)-pyrimidinetrione) or pharmaceutically acceptable salt or prodrug forms thereof, which are useful as TNF-α converting enzyme (TACE), aggrecanase and matrix metalloproteinases (MMP) inhibitors. Although the methods of preparation are not claimed, 60 example prepns. are included. Some examples of I (specific compds. not stated) inhibit matrix metalloproteinases with Ki ≤10 μM. For I: A is C(O), C(S) or CH2; B is O or S; L is O or S; W = (CRaRa1)m, C2-3 alkenylene, and C2-3 alkynylene; U = C(O), CRa(OH), C(O)O, OC(O), C(O)NRa1, NRa1C(O), OC(O)O, OC(O)NRa1, NRa1C(O)O, and

L6 ANSWER 29 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
NRa1C(O)NRa1; X is absent or C1-3 alkylene, C2-3 alkenylene, and C2-3 alkynylene; Y is absent or O, NRa1, S(O)p, and C(O); Z = C3-13 carbocycle substituted with 0-5 Rb, and a 5-14 membered heterocycle comprising C atoms and 1-4 heteroatoms N, O, and S(O)p, and substituted with 0-5 Rb; Ua is absent or O, NRa1, C(O), CRa(OH), C(O)O, OC(O), C(O)NRa1, NRa1C(O), OC(O)O, OC(O)NRa1, NRa1C(O)O, NRa1C(O)NRa1, S(O)p, S(O)pNRa1, NRa1S(O)p, and NRa1SO2NRa1; Xa is absent or C1-10-alkylene, C2-10 alkenylene, and C2-10 alkynylene; Ya is absent or O, NRa1, S(O)p, and C(O); Za = C3-13 carbocycle substituted with 0-5 Rc and a 5-14 membered heterocycle comprising C atoms and 1-4 heteroatoms N, O, and S(O)p, and substituted with 0-5 Rc. R1 = CHF2, CH2F, CF3, C1-6 alkylene-Q (Q = H, CF3, etc.), etc.; R2 = Q1 (Q1 = H, carbocyclyl, heterocyclyl), C1-6 alkylene-Q1, etc.; R3 = Q, C1-6 alkylene-Q, etc.; R4, R5 = H, C1-6 alkyl, etc.; addnl. details including provisos are given in the claims.

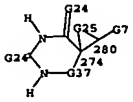
MSTR 1A



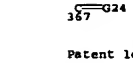
G1 = 11



G2 = m-C6H4
G17 = quinolinyl
G18 = 280



G25 = R <"moiety to complete a ring">
G37 = 367



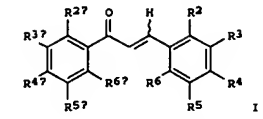
Patent location: claim 1
Note: or pharmaceutically acceptable salts
Note: also incorporates claims 8 and 15
Note: substitution is restricted
Note: additional derivatization also claimed

L6 ANSWER 29 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
Stereochemistry: or stereoisomers

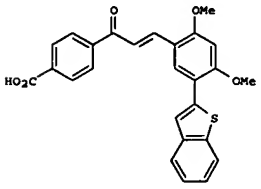
L6 ANSWER 30 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 139:85160 MARPAT
TITLE: Preparation of chalcone derivatives for the treatment of inflammation and cardiovascular disease
INVENTOR(S): Ni, Liming; Worsencroft, Kimberly J.; Weingarten, M. david; Meng, Charles Q.; Sikorski, James A.
PATENT ASSIGNEE(S): Atherogenics, Inc., USA
SOURCE: PCT Int. Appl., 411 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003053368	A2	20030703	WO 2002-US41336	20021219
WO 2003053368	A3	20030918		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2470931	AA	20030703	CA 2002-2470931	20021219
US 2004048858	A1	20040311	US 2002-324987	20021219
EP 1465854	A2	20041013	EP 2002-796045	20021219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002015240	A	20041026	BR 2002-15240	20021219
JP 2005516941	T2	20050609	JP 2003-554128	20021219
PRIORITY APPLN. INFO.:			US 2001-342034P	20011219
			US 2002-386482P	20020605
			WO 2002-US41336	20021219

GI



I



II

AB Chalcone derivs. of formula I [R2-R6, R2a-R6a = H, halo, nitro, alkyl, cycloalkyl, aryl, heteroaryl, etc.] are prepared for treating diseases including inflammation and cardiovascular disease. The compds. inhibit the expression of VCAM-1, which is a mediator of chronic inflammatory disorders. Thus, II was prepared from 5-bromo-2,4-dimethoxybenzaldehyde, benzo[b]thiophene-2-boronic acid and 4-acetylbenzoic acid. Compound II showed a dose dependent inhibition of LPS-stimulated IL-1β secretion.

L6 ANSWER 30 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

MSTR 1

G1 = 9

G3 = 30

G21 = quinolinyl
Patent location: claim 1

L6 ANSWER 30 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
Note: or pharmaceutically acceptable salts or esters
Note: substitution is restricted

L6 ANSWER 31 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 138:73184 MARPAT
TITLE: Preparation of substituted 8-arylquinoline phosphodiesterase-4 (PDE4) inhibitors
INVENTOR(S): Dube, Daniel; Girard, Yves; MacDonald, Dwight; Mastracchio, Anthony; Gallant, Michel; Lacombe, Patrick; Deschenes, Denis
PATENT ASSIGNEE(S): Merck Frosst Canada & Co., Can.
SOURCE: PCT Int. Appl., 204 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003002118	A1	20030109	WO 2002-CA953	20020626
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2450686	AA	20030109	CA 2002-2450686	20020626
EP 1404330	A1	20040407	EP 2002-742600	20020626
EP 1404330	B1	20050601		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2005501822	T2	20050120	JP 2003-508357	20020626
AT 296630	E	20050615	AT 2002-742600	20020626
ES 2242036	T3	20051101	ES 2002-2742600	20020626
US 2004162314	A1	20040819	US 2003-478791	20031125
US 6919353	B2	20050719		

PRIORITY APPLN. INFO.: US 2001-301220P 20010627
US 2001-303472P 20010706
WO 2002-CA953 20020626

GI

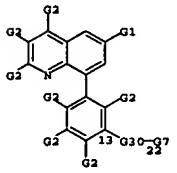
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB 8-Arylquinolines (shown as I; variables defined below; e.g. both enantiomers of 4-hydroxy-1-[3-[6-(1-methanesulfonyl-1-methylethyl)quinolin-8-yl]phenyl]-2-(4-methanesulfonylphenyl)-4-methylpentan-3-one) wherein the aryl group at the 8-position contains a meta two atom bridge to an optionally substituted Ph or pyridyl group, are PDE4 inhibitors useful to treat asthma, chronic bronchitis, chronic obstructive pulmonary disease, arthritis, respiratory distress syndrome, allergic rhinitis, neurogenic

L6 ANSWER 31 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
inflammation, psin, rheumatoid arthritis, and other diseases. R1-R7 and Ar are as in claim 1. For I: Ar is Ph, pyridinone, pyridyl, or pyridyl N-oxide, optionally substituted with 1-5 independent -C1-6-alkyl, -OH, -CN, halogen, -CF3, -(C0-6-alkyl)-SOn-(C1-6-alkyl), -(C0-6-alkyl)-SOn-NH-(C1-6-alkyl) or 5-membered heteroaryl ring contg. 1-4 heteroatoms = O, S or N, wherein the 5-membered-ring is optionally substituted. R1 is H, halogen; or a -C1-6-alkyl, -cycloC3-6alkyl, -C1-6-alkenyl, -C0-4alkyl-C(O)-C0-4alkyl, -C1-6-alkoxy, aryl, heteroaryl, -CN, -heterocycloC3-6-alkyl, -amino, -C1-6-alkylamino, -(C1-6-alkyl)(C1-6-alkyl)amino, -C1-6-alkyl(oxy)C1-6-alkyl, -C(O)NH(aryl), -C(O)NH(heteroaryl), -SOnNH(aryl), -SOnNH(heteroaryl), -SOnNH(C1-6-alkyl), -C(O)N(C0-6alkyl)(C0-6-alkyl), -NH-SOn-(C1-6-alkyl), -carbamoyl, -(C1-6-alkyl)-O-C(CN)dialkylamino, or -(C0-6-alkyl)-SOn-(C1-6-alkyl) group, wherein any of the groups is optionally substituted with = 1-5 substituents. R2, R3, R6, and R7 = H, halogen, hydroxy, -C1-6-alkyl, or -C1-6-alkoxy, wherein the alkyl and alkoxy are optionally substituted independently with 1-3 halogen or OH; R4 is H, halogen, -CN, Ph, oxadiazolyl, or -C(O)-O-C0-6alkyl, wherein the alkyl and latter three possibilities are optionally substituted. R5 is H, hydroxy, -CN; or a -C1-6-alkyl, -C(O)C1-6alkyl, -C(O)aryl, -C(O)pyridyl, -C(O)-O-C0-6-alkyl, -C(O)-C3-7-cycloalkyl, -C1-6-alkyl-C3-7cycloalkyl, -C1-6-alkyl(C3-7-cycloalkyl)2, -C1-6-alkylaryl, -C(O)-N(C0-6alkyl)2, -SOnaryl, -SOn-C1-6-alkyl, -SOn-C3-7-cycloalkyl, -SOn-N(C0-6-alkyl)2, -P(O)(C1-6-alkyl)2, -P(O)(C1-6-alkoxy)2, Ph, pyridyl, -SOnimidazolyl, -SOnthiazolyl, 5-membered heteroaryl ring contg. 1-4 heteroatoms = O, S or N or oxoisoxaphosphinanyl group, any of which group optionally substituted; or R5 and R6 form :O; or R6 and R3 form -CH2- or -O-; and n is 0-2. Although the methods of prepn. are not claimed, >100 example prepns. are included. The IC50 values for PDE4 inhibition of Examples 1-113 generally are 0.02-26 μM as measured using LPS and FMLP-induced TNF-α and LTB4 assays in human whole blood. I were tested for effects on an IgE-mediated allergic pulmonary inflammation induced by inhalation of antigen by sensitized guinea pigs;. Administration of I (0.001-10 mg/kg i.p. or p.o.), up to three times during the 48 h following antigen challenge, lead to a significant redn. in the eosinophilia and the accumulation of other inflammatory leukocytes. There was also less inflammatory damage in the lungs of animals treated with I. Compds. which inhibit the hydrolysis of cAMP to AMP by the type-IV cAMP-specific phosphodiesterases were screened in a 96-well plate format; IC50 values of I generally ranged 0.1-25 nM.

MSTR 1

L6 ANSWER 31 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G30 = 196-13 197-22

G31 = O
Patent location: claim 1
Note: or pharmaceutically acceptable salts

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

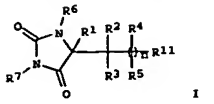
L6 ANSWER 32 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 138:14059 MARPAT
TITLE: Preparation of spiro-fused hydantoin derivatives as inhibitors of matrix metalloproteinases
INVENTOR(S): Sheppeck, James E.; Duan, Jingwu; Xue, Chu-Biao; Wasserman, Zelda
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 350 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002096426	A1	20021205	WO 2002-US16381	20020523
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2447475	AA	20021205	CA 2002-2447475	20020523
US 2003130273	A1	20030710	US 2002-155575	20020523
US 6890915	B2	20050510		
EP 1397137	A1	20040317	EP 2002-741724	20020523
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004535411	T2	20041125	JP 2002-592936	20020523
US 2004209874	A1	20041021	US 2004-844219	20040512
US 6906053	B2	20050614		
US 2005171096	A1	20050804	US 2005-93670	20050330

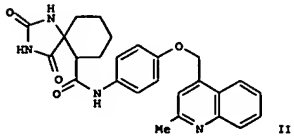
PRIORITY APPLN. INFO.: US 2001-293571P 20010525
US 2002-155575 20020523
WO 2002-US16381 20020523
US 2004-844219 20040512

GI

L6 ANSWER 32 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



I



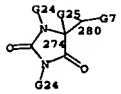
II

AB Title compds. I [R11 = W-U-X-Y-Z-Ua-Xa-Ya-Za; W = alkyl, alkenylene, alkynylene; U = absent, amino, CO, alkyl, carboxy, etc.; X = absent, alk(en/yn)ylene; Y = absent, O, amino, SO0-2, CO; Z = (hetero)cycle; Ua = absent, O, amino, CO, alkyl, carboxy, etc.; Xa = absent, alk(en/yn)ylene; Ya = absent, O, amino, SO0-2, CO; Za = (hetero)cycle; R1-2 together with the carbon atoms to which they are attached, combine to form a 3-8 membered carbocyclic or heterocyclic ring; R3 = H, CHF2, CH2F, CF3, alk(en/yn)ylene, etc.; R4-7 = H, alk(en/yn)yl; n = 0-1] were prepared
For
instance, 2-(ethylcarboxy)cyclohexanone was treated with ammonium carbonate and potassium cyanide (EtOHaq, 50°, 24 h) to afford the corresponding hydantoin ester which was hydrolyzed to the carboxylic acid and coupled to 4-[(2-methyl-4-quinolinyl)methoxy]aniline•2HCl (DMSO, PyBOP) to give II which was isolated as the trifluoroacetate. I are useful as inhibitors of matrix metallproteinases (MMP), TNF-α converting enzyme (TACE), aggrecanase, or a combination thereof.

MSTR 1

G1 = phenylene (opt. subst.)
G17 = quinolinyl
G18 = 280

L6 ANSWER 32 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G25 = R <"moiety to complete a ring">
Patent location: claim 1
Note: or pharmaceutically acceptable salts
Note: also incorporates claim 9
Note: substitution is restricted
Note: additional ring formation also claimed
Stereochemistry: or stereoisomers

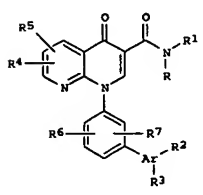
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L6 ANSWER 33 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 138:4594 MARPAT
TITLE: Preparation of 1-biaryl-[1,8]naphthyridin-4-one phosphodiesterase IV inhibitors for treatment of asthma and inflammation
INVENTOR(S): Gusy, Daniel; Girard, Mario; Hamel, Pierre; Laliberte, Sebastien; Friesen, Richard; Girard, Yves; Li, Chun
PATENT ASSIGNEE(S): Merck Frosst Canada & Co., Can.
SOURCE: PCT Int. Appl., 166 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

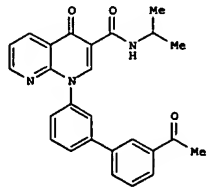
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002094823	A1	20021128	WO 2002-CA746	20020522
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2447765	AA	20021128	CA 2002-2447765	20020522
EP 1397359	A1	20040317	EP 2002-727127	20020522
EP 1397359	B1	20050831		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004534773	T2	20041118	JP 2002-591496	20020522
AT 303384	E	20050915	AT 2002-727127	20020522
US 2003096829	A1	20030522	US 2002-154591	20020524
US 6677351	B2	20040113		

PRIORITY APPLN. INFO.: US 2001-293247P 20010524
WO 2002-CA746 20020522

GI



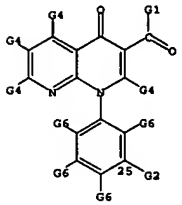
I



II

L6 ANSWER 33 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
AB Title compds. I [wherein Ar = Ph, pyridyl, pyrimidyl, indolyl, quinolinyl, thienyl, pyridonyl, oxazolyl, oxadiazolyl, thiadiazolyl, imidazolyl, or heteroaryl oxides; R = H or alkyl; R1 = H or (un)substituted (cyclo)alkyl, alkoxy, alkenyl, alkynyl, heteroaryl, or heterocyclyl; R2 = H, halo, (cyclo)alkyl, alkoxy, amino, acyl, alkoxycarbonyl, alkylsulfamoyl, alkylsulfonyl, or (un)substituted Ph, heteroaryl, or heterocyclyl, etc.; R3 = H, OH, NH2, halo, (un)substituted alkyl; R4-R7 = independently H, halo, NH2, or (un)substituted alkyl or alkoxy; or pharmaceutically acceptable salts thereof] were prepared as phosphodiesterase IV (PDE4) inhibitors for the treatment of asthma and inflammation. For instance, Et 3-(3-bromoanilino)-2-(2-chloronicotinoyl)acrylate was cyclized using NaH in THF and the resulting ester saponified to give 1-(3-bromophenyl)-1,4-dihydro-[1,8]naphthyridin-4-one-3-carboxylic acid. Amidation with isopropylamine, followed by treatment with 3-acetylphenylboronic acid in the presence of trans-PdBr2(PPh3)2 and Na2CO3 in toluene and EtOH gave II. I demonstrated PDE4 inhibitory activity by suppression of TNF-α secretion in LPS stimulated human blood with IC50 values generally ranging from 0.005 μM to 15.4 μM. In a SPA based PDE activity assay, I inhibited the hydrolysis of cAMP to AMP by human recombinant phosphodiesterase IVa with IC50 values between 34.3 nM and 134.0 nM.

MSTR 1



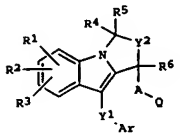
G2 = quinolinyl
Patent location: claim 1
Note: or pharmaceutically acceptable salts

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

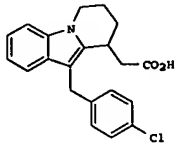
L6 ANSWER 34 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 138:4518 MARPAT
TITLE: Preparation of dihydropyrrolo[1,2-a]indole and tetrahydropyrido[1,2-a]indole derivatives as prostaglandin D2 receptor antagonists for treatment of allergic rhinitis, nasal congestion, and asthma
INVENTOR(S): Wang, Zhaoyin; Dufresne, Claude; Guay, Daniel; Leblanc, Yves
PATENT ASSIGNEE(S): Merck Frosst Canada & Co., Can.; Beaulieu, Christian
SOURCE: PCT Int. Appl., 225 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002094830	A2	20021128	WO 2002-CA745	20020522
WO 2002094830	A3	20030306		
WO 2002094830	C1	20030410		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2447779	AA	20021128	CA 2002-2447779	20020522
EP 1395590	A2	20040310	EP 2002-729708	20020522
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004534774	T2	20041118	JP 2002-591503	20020522
US 2004180934	A1	20040916	US 2003-474929	20031015
PRIORITY APPLN. INFO.:			US 2001-293077P	20010523
			WO 2002-CA745	20020522

GI



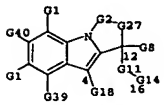
I



II

L6 ANSWER 34 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
AB Title compds. I [wherein R1, R2, and R3 = independently H, halo, CN, CORa, CO2Ra, CONRaRb, OCONRaRb, SOO-2-(hetero)aryl, NRaSOO-2Rb, NRaRb, NRaCORb, NRaCO2Rb, NRaCONRaRb, SOO-2NRaRb, NO2, cycloalkenyl, or (un)substituted alkyl, alkenyl, alkoxy, heterocyclyl, (hetero)aryl(oxy), or SOO-2-alkyl; Ra and Rb = independently H or (un)substituted alkyl, alkenyl, alkynyl, heterocyclyl, or (hetero)aryl; or NRaRb = heterocyclyl; R4 = H, CN, (halo)alkyl, ORa, or SOO-2-alkyl; R5 = H or (halo)alkyl; or CR4R5 = (un)substituted 3- or 4-membered (hetero)cycloalkyl; R6 = H or (un)substituted alkyl; Ar = (un)substituted (hetero)aryl; A = (un)substituted alkyl; Q = CO2H, CONRaRb, CONHSO2Rc, SO2NHRa, SO2NHRa, SO3H, PO3H2, or tetrazolyl; Rc = (un)substituted alkyl; Y1 = (un)substituted alkylidene optionally interrupted by O, S, NRa, CO, OCO, etc.; Y2 = (un)substituted methylene, ethylene, or ethenylene; and pharmaceutically acceptable salts and hydrates thereof] were prepared as non-steroidal D2 prostaglandin receptor antagonists (no data). For example, 4-[2-bromo-3-(4-chlorobenzyl)-1H-1-indolyl]butanal (4-step preparation given) was coupled with (carbethoxymethylene)triphenylphosphorane to give the Et (E)-2-hexenoate. Cyclization using Bu4NCl, TEA, and Pd(AcO)2 in DMF afforded Et 2-[10-(4-chlorobenzyl)-6,7,8,9-tetrahydropyrido[1,2-a]indol-9-yliden]acetate. Reduction with Pd/C (5%, weight/weight) followed by saponification with LiOH in MeOH provided II. I are useful for the treatment of prostaglandin-mediated diseases such as allergic rhinitis, nasal congestion, and asthma (no data).

MSTR 1



G2 = 22

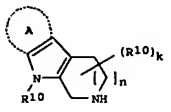
G9 = quinolinyl
G18 = 15

G27 = CH=CH
G42 = phenylene
Patent location: claim 1
Note: and pharmaceutically acceptable salts and hydrates

L6 ANSWER 35 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 137:353007 MARPAT
TITLE: Preparation of β-carbolines and other inhibitors of BACE-1 aspartic proteinase useful against Alzheimer's and other BACE-mediated diseases
INVENTOR(S): Bhisetti, Govinda R.; Saunders, Jeffrey O.; Murcko, Mark A.; Lepre, Christopher A.; Britt, Shawn D.; Cone, Jon H.; Deninger, David D.; Wang, Tianshang
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 208 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002088101	A2	20021107	WO 2002-US13741	20020429
WO 2002088101	A3	20030103		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003095958	A1	20030522	US 2002-136576	20020429
EP 1389194	A2	20040218	EP 2002-725881	20020429
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004534017	T2	20041111	JP 2002-585403	20020429
PRIORITY APPLN. INFO.:			US 2001-287169P	20010427
			US 2001-301049P	20010626
			US 2001-342263P	20011218
			WO 2002-US13741	20020429

GI



I

AB The present invention relates to a wide variety of inhibitors (e.g. naphthalene-1-carboxylic acid N-[2-(3,4-dichlorophenyl)-4-(piperazin-1-yl)pyrimidin-5-yl]amide; 9-[(naphthalen-2-yl)methyl]-6-[(3-

L6 ANSWER 35 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
Note: additional ring formation also claimed

L6 ANSWER 35 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
trifluoromethylbenzyl)oxy]-2,3,4,9-tetrahydro-1H-β-carboline; 4-(biphenyl-4-yl)piperidine-3-carboxylic acid N-(1-(naphthalen-2-yl)ethyl]amide) of aspartic proteinases, particularly, BACE. The present invention also relates to coepns. thereof and methods therewith for inhibiting BACE activity in a mammal, and for treating Alzheimer's Disease and other BACE-mediated diseases. The inhibitors have the following structural features: HB-1, HPB-4; and at least one of HPB-2 and HPB-3, wherein: HB-1 is a 1st H bonding moiety capable of forming up to four H bonds with the carboxylate O atoms of Asp-228 and Asp-32 of BACE-1; HPB-2 is a 2nd hydrophobic moiety capable of assocg. with substantially all residues in the flap binding pocket; HPB-3 is a 3rd hydrophobic moiety capable of assocg. with substantially all residues in the P2' binding pocket; HPB-4 is a 4th hydrophobic moiety capable of inducing favorable interactions with the Ph ring of at least two of Tyr-71, Phe-108 and Trp-76. In I (e.g. [6-(2-difluoromethoxybenzyloxy)-1,2,3,4-tetrahydro-β-carbolin-9-yl]naphthalen-1-ylmethanone), one set of the claimed compds., A is a five or six membered aryl ring having 0-2 heteroatoms independently selected from N, O or S, wherein: A has at least one R10 substituent and up to three more substituents selected from R10 or J; k is 0 or 1; n is 0-2; J is halogen, -R', -OR', -NO2, -CN, -CF3, -OCF3, oxo, 1,2-methylenedioxy, -N(R')2, -SR', -S(O)R', -S(O)N(R')2, -SO2R', -C(O)R', -CO2R', -C(O)N(R')2, -N(R')C(O)R', -N(R')C(O)OR', -N(R')C(O)N(R')2, or -OC(O)N(R')2, wherein R' is H, aliph., heterocyclyl, heterocyclyl-alkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl; wherein R' is optionally substituted with up to 3 substituents selected independently from -R11, -OR11, -NO2, -CN, -CF3, -OCF3, oxo, 1,2-methylenedioxy, -N(R11)2, -SR11, -S(O)R11, -S(O)N(R11)2, -SO2R11, -C(O)R11, -CO2R11, -C(O)N(R11)2, -N(R11)C(O)R', -N(R11)C(O)OR11, -N(R11)C(O)N(R11)2, or -OC(O)N(R11)2.

R11 is H, (C1-C6)-alkyl, (C2-C6)-alkenyl or alkynyl, or (C3-C6)cycloalkyl;

R10 is P1-R1-P2-R2-W; P1 and P2 each are independently: absent or aliph.; R1 and R2 each are independently: absent or R; R is a suitable linker; W is a five to eleven membered monocyclic or bicyclic, arom. or nonarom. ring having zero to three heteroatoms independently selected from O, S, N, or NH, wherein W has up to 3 substituents independently selected from J. Ranges of Ki values (>30, 3-30 and <3 μM) for inhibition of BACE-1 are tabulated for .apprx.500 compds. Although the methods of prepn. are not claimed, 30 example prepns. are included.

MSTR 1

Patent location: claim 26
Note: or pharmaceutically acceptable salts
Note: substitution is restricted

L6 ANSWER 36 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 137:325443 MARPAT
TITLE: Preparation of novel tricyclic benzodiazepine carboxamides as tocolytic oxytocin receptor antagonists
INVENTOR(S): Failli, Amedeo Arturo; Shumsky, Jay Scott; Caggiano, Thomas Joseph; Sabatucci, Joseph Peter; Memoli, Kevin Anthony; Trybulski, Eugene John
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 158 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083683	A1	20021024	WO 2002-US11534	20020411
WO 2002083683	C2	20040226		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003055047	A1	20030320	US 2002-120025	20020410
CA 2443567	AA	20021024	CA 2002-2443567	20020411
EP 1377581	A1	20040107	EP 2002-723834	20020411
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004526769	T2	20040902	JP 2002-581438	20020411
CN 1531537	A	20040922	CN 2002-808035	20020411
BR 2002009017	A	20050111	BR 2002-9017	20020411
PRIORITY APPLN. INFO.:			US 2001-283262P	20010412
			WO 2002-US11534	20020411

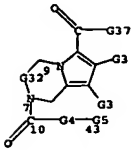
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

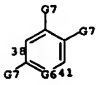
AB The title compds. [I; ring containing Z = II, III (wherein R1, R2 = H, alkyl, halo, etc.); R3 = H, alkyl, alkoxy, etc.; R4 = BC (B = IV, V; C = (un)substituted Ph, 1-naphthyl, 1-pyrrolyl, etc.; A = CH, N; R5-R7 = H, alkyl, alkoxy, etc.); R = pyridylmethylamino, 2-(pyridyl)ethylamino, 4-(pyridyl)piperazino, etc.] which act as oxytocin receptor competitive antagonists, and therefore are useful in treatment, inhibition, suppression or prevention of preterm labor, dysmenorrhea, endometritis, suppression of labor at term prior to Cassarian delivery, and to facilitate antinatal transport to a medical facility, were prepared Thus, a 7-step synthesis of VI which showed IC50 of 11.2 nM against human oxytocin

L6 ANSWER 36 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
receptor binding, was given. The compds. I are also useful in enhancing fertility rates, enhancing survival rates and synchronizing estrus in farm
animals, and may be useful in the prevention and treatment of dysfunctions
of the oxytocin system in the central nervous system including obsessive compulsive disorder (OCD) and neuropsychiatric disorders.

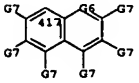
MSTR 1



G4 = 38-10 41-43



G5 = 417



G6 = N / 44

G7 = Ph
Patent location: claim 1
Note: or pharmaceutically acceptable salts

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS

L6 ANSWER 36 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L6 ANSWER 37 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 137:325440 MARPAT
TITLE: Preparation of novel tricyclic benzodiazepine carboxamides as tocolytic oxytocin receptor antagonists
INVENTOR(S): Failli, Amedeo Arturo; Shumsky, Jay Scott; Caggiano, Thomas Joseph; Sabatucci, Joseph Peter; Memoli, Kevin Anthony; Trybulski, Eugene John; Sanders, William Jennings
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 149 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083680	A1	20021024	WO 2002-US11530	20020411
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003018026	A1	20030123	US 2002-120100	20020410
US 6900200	B2	20050531		
CA 2443805	AA	20021024	CA 2002-2443805	20020411
EP 1377583	A1	20040107	EP 2002-728748	20020411
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CN 1501931	A	20040602	CN 2002-808036	20020411
JP 2004527537	T2	20040909	JP 2002-581435	20020411
BR 2002009016	A	20050111	BR 2002-9016	20020411
PRIORITY APPLN. INFO.:			US 2001-283261P	20010412
			WO 2002-US11530	20020411

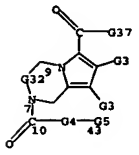
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

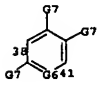
AB The title compds. [I; ring containing Z = II, III (wherein R1, R2 = H, alkyl,
halo, etc.); R3 = H, alkyl, alkoxy, etc.; R4 = BC (B = IV, V; C = (un)substituted Ph, 1-naphthyl, 1-pyrrolyl, etc.; A = CH, N; R5-R7 = H, alkyl, alkoxy, etc.)] which act as oxytocin receptor competitive antagonists, and therefore are useful in treatment, inhibition, suppression or prevention of preterm labor, dysmenorrhea and endometritis,
suppression of labor at term prior to Caesarian delivery, and to facilitate antinatal transport to a medical facility, were prepared E.g., a
7-step synthesis of VI which showed IC50 of 1.37 nM against human

L6 ANSWER 37 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
receptor binding (CHO cell line), was given. The compds. I are also useful in enhancing fertility rates, enhancing survival rates and synchronizing estrus in farm animals, and may be useful in the prevention and treatment of disfunctions of the oxytocin system in the central nervous system including obsessive compulsive disorder (OCD) and neuropsychiatric disorders.

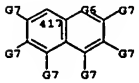
MSTR 1



G4 = 38-10 41-43



G5 = 417



G6 = N / 44

G7 = Ph
Patent location: claim 1
Note: and pharmaceutically acceptable salts, or prodrugs

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L6 ANSWER 38 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 137:310939 MARPAT
TITLE: Preparation of tricyclic diazepines as tocolytic oxytocin receptor antagonists
INVENTOR(S): Failli, Amedeo Arturo; Shumsky, Jay Scott; Caggiano, Thomas Joseph; Sabatucci, Joseph Peter; Memoli, Kevin Anthony; Trybulski, Eugene John
PATENT ASSIGNEE(S): Wyeth, John and Brother Ltd., USA
SOURCE: PCT Int. Appl., 220 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083678	A1	20021024	WO 2002-US11527	20020411
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003008863	A1	20030109	US 2002-119971	20020410
CA 2443490	AA	20021024	CA 2002-2443490	20020411
EP 1377586	A1	20040107	EP 2002-731343	20020411
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CN 1501932	A	20040602	CN 2002-808039	20020411
JP 2004526768	T2	20040902	JP 2002-581433	20020411
BR 2002009014	A	20050111	BR 2002-9014	20020411
PRIORITY APPLN. INFO.:			US 2001-283264P	20010412
			WO 2002-US11527	20020411

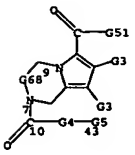
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; ring containing Z = II, III; R1, R2 = H, alkyl, halo, CN, etc.; R3 = H, alkyl, alkoxy, etc.; R4 = BC (wherein B = IV, V; C = (un)substituted Ph, 1-naphthyl, 1-pyrrolyl, etc.; A = CH, N; R5-R7 = H, alkyl, alkoxy, etc.); R = OH, NR11R12, (un)substituted 4-oxopiperidin-1-yl, etc. (R11, R12 = H, alkyl, cycloalkyl, etc.)], useful for the treatment and/or prevention and/or suppression of disorders which may be remedied or alleviated by oxytocin antagonist activity, including treatment of preterm labor, dysmenorrhea, endometritis, and for suppressing labor prior to Caesarian delivery, were prepared Thus,

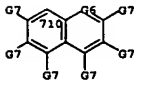
L6 ANSWER 38 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
amidation of VI [R = OH] (multi-step synthesis given) with 1-(tert-butoxycarbonyl)piperazine afforded VI [R = 4-tert-butoxycarbonylpiperazin-1-yl] which showed 56% inhibition of binding to membranes of CHO cell line stably transfected with human oxytocin receptor at 100 nM vs. 2% and 13% inhibition of binding to membranes of CHO cell line stably transfected with human vasopressin V1a and V2 receptor subtypes, resp. The compds. I are also useful in enhancing fertility rates, enhancing survival rates and synchronizing estrus in farm animals, and may be useful in the prevention and treatment of dysfunctions of the oxytocin system in the central nervous system including obsessive compulsive disorder (OCD) and neuropsychiatric disorders.

MSTR 1

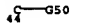


G4 = 38-10 41-43

G5 = 710



G6 = N / 44



L6 ANSWER 38 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
G7 = Ph
Patent location: claim 1
Note: and pharmaceutically acceptable salts, or prodrugs

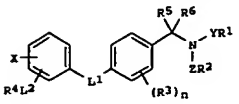
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 39 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 137:169310 MARPAT
TITLE: Preparation of α-methylbenzylsulfonamides as cannabinoid receptor ligands
INVENTOR(S): Kozlowski, Joseph A.; Shih, Neng-Yang; Lavey, Brian J.; Rizvi, Razia K.; Shankar, Bandarpalle B.; Spitler, James M.; Tong, Ling; Wolin, Ronald; Wong, Michael K.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 134 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

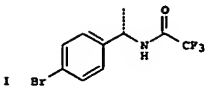
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002062750	A1	20020815	WO 2002-US3672	20020207
WO 2002062750	C2	20030918		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2436659	AA	20020815	CA 2002-2436659	20020207
EP 1368308	A1	20031210	EP 2002-740074	20020207
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002006955	A	20040309	BR 2002-6955	20020207
JP 2004530649	T2	20041007	JP 2002-562710	20020207
NZ 526782	A	20050527	NZ 2002-526782	20020207
ZA 2003005933	A	20041101	ZA 2003-5933	20030731
NO 2003003505	A	20031007	NO 2003-3505	20030807
US 2006009528	A1	20060112	US 2005-203946	20050815
PRIORITY APPLN. INFO.:			US 2001-267375P	20010208
			US 2001-292600P	20010522
			US 2002-72354	20020206
			WO 2002-US3672	20020207

GI

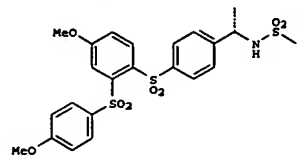
L6 ANSWER 39 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



I



II

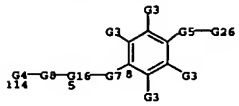


III

AB Title compds. [I; R1 = H, alkyl, haloalkyl, cycloalkyl, cycloalkylamino, aralkyl, heteroaryl, amino, (substituted) aryl, etc.; R2, R5, R6 = H, alkyl; R3 = H, alkyl, Cl, F, CF3, OCF2H, OCF3, OH, alkoxy; R4 = H, (substituted) alkyl, alkoxy, cycloalkyl, alkenyl, aryl, PhCH2, heteroaryl, arylamino, heteroarylamino, cycloalkylamino, etc.; L1 = alkylene, alkenylene, CO, C(R2)2, CHOR2, NOR5, SO2, SO, S, O, NR2, NR2CO, CHCF3, CF2; L2 = bond, alkylene, CO, C(R2)2, NR2, NR2SO2, CONR2, S, SO, SO2, NOR5, CR2OH, etc.; X = H, halo, CF3, cyano, OCF2H, OCF3, alkyl, cycloalkyl, cycloalkoxy, alkoxy, heteroalkyl, CO2R2, NHR2, arylamino, OSO2R2, etc.; Y, Z = bond, CH2, SO2, CO; R1YNZR2 = atoms to form a heterocycle; n = 0-4], were prepared for treatment of cancer, inflammatory disease, immunomodulatory disease, or respiratory disease (no data). Thus, (S)-α-methylbenzylamine was stirred with (F3CCO)2O in CH2Cl2; the mixture was then treated with MeSO3H and dibromodimethylhydantoin to give 32% intermediate (II). II in THF at -78° was treated with MeLi and then with 4-MeOC6H4SO2Cl followed by warming to room temperature to give 65% di-Ph sulfone derivative The latter in THF at -78° was treated with BuLi then with bis(4-methoxyphenyl)disulfide to give crude disulfide coupling product, which was treated with MCPBA in CH2Cl2 to give 45% bissulfone. This was deprotected with LiOH in H2O/dioxane followed by treatment with MeSO2Cl to give title compound (III).

MSTR 1A

L6 ANSWER 39 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



Patent location: claim 1
Note: or prodrugs, pharmaceutically acceptable salt, or solvates
Note: substitution is restricted
Note: additional interruptions in G9 alkyl chain also claimed
Note: or N-oxides or quaternary amines
Stereochemistry: or stereoisomers

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 40 OF 67 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 136:341005 MARPAT
TITLE: Preparation of cyclic peptide antifungal agents
INVENTOR(S): Burkhardt, Frederick J.; Debono, Manuel; Nissen, Jeffrey S.; Turner, William W., Jr.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: U.S., 33 pp., Cont.-in-part of U.S. 5,965,525. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

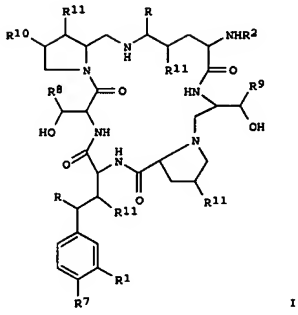
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6384013	B1	20020507	US 1999-291900	19990414
ZA 9301830	A	19940915	ZA 1993-1830	19930315
IL 122315	A1	20020310	IL 1993-122315	19930315
JP 2002226500	A2	20020814	JP 2002-3969	19930318
JP 3520071	B2	20040419		
US 5965525	A	19991012	US 1995-449056	19950524
US 5932543	A	19990803	US 1997-873480	19970612
US 6743777	B1	20040601	US 2002-87088	20020227
US 2003220236	A1	20031127	US 2003-378004	20030227
US 6916784	B2	20050712		
JP 2004115540	A2	20040415	JP 2003-412638	20031210
US 2005181984	A1	20050818	US 2005-78791	20050310

PRIORITY APPLN. INFO.:

US 1992-854117	19920319
US 1992-992390	19921216
US 1993-32228	19930317
US 1995-449056	19950524
IL 1993-105048	19930315
JP 1993-58529	19930318
US 1999-291900	19990414
US 2002-87088	20020227
US 2003-378004	20030227

GI

L6 ANSWER 40 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

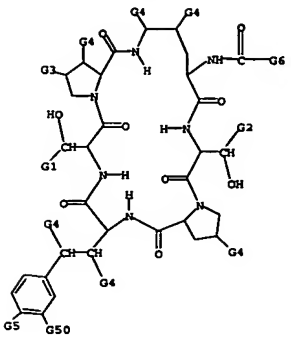


I

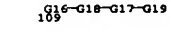
AB Acyl cyclic peptides I (R, R11 = H, OH; R1 = H, OH, OSO3H; R2 = an acyl side chain; R7 = R1, phosphonooxy; R8 = H, Me, H2NCOCH2; R9, R10 = Me, H) were prepared as fungicides. Thus, I [R = R11 = OH, R1 = H, R2 = p-(pentyoxy)-p-terphenyl, R8 = R9 = R10 = Me, R7 = phosphonooxy] was prepared in chiral form (echinocandin B derivative) by N-acylation and selective O-phosphonylation. Compds. I are especially active against the infectious fungi Candida albicans and Candida parasilosis and inhibit the growth of Pneumocystis carinii, the causative organism of pneumocystis pneumonia in AIDs sufferers.

MSTR 3

L6 ANSWER 40 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G6 = 109



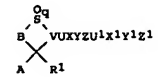
G16 = phenylene
G17 = phenylene
G18 = bond
G19 = quinolinyl
G25 = bond
Patent location: disclosure
Note: substitution is restricted
Note: and pharmaceutically acceptable non-toxic salts

REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L6 ANSWER 41 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 136:309923 MARPAT
TITLE: Preparation of cyclic sulfones as inhibitors of metalloproteases.
INVENTOR(S): Cherney, Robert J.; King, Bryan W.
PATENT ASSIGNEE(S): Dupont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 183 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002028846	A1	20020411	WO 2001-US30890	20011003
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002086853	A1	20020704	US 2001-954379	20010917
US 6927216	B2	20050809		
CA 2424243	AA	20020411	CA 2001-2424243	20011003
AU 2001096515	A5	20020415	AU 2001-96515	20011003
EP 1322627	A1	20030702	EP 2001-977390	20011003
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRIORITY APPLN. INFO.:			US 2000-237607P	20001003
			WO 2001-US30890	20011003

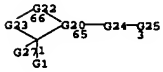
GI



AB Title compds. [I; A = COR5, CO2H, CO2R6, CONHOH, CONHOR6, N(OH)COR5, SH, SONHRa, PO(OH)2, PO(OH)NHRa, etc.; V = CR2b, N; B = atoms to form a 4-8 membered nonarom. heterocycle; U, U1 = null, O, NRa1, CO, CO2, CONRa1, OCO2, etc.; X, X1 = null, alkylene, alkenylene, alkynylene; Y, Y1 = null, O, NRa1, CO, CO2, CONRa1, NRa1CO, OCO2, SOp, SOpNRa1, etc.; Z = null, (substituted) (hetero)cyclyl; Z1 = (substituted) (hetero)cyclyl; R1 = H, alkyl, ORa, NRaRa1, CN, CF3, SOpRa, Ph, PhCH2; R2 = Q, (substituted) A1Q, etc.; Ra1, R2b, R8 = H, alkyl; Q = H, (substituted) (hetero)cyclyl; R3 = Q1, A1Q1, etc.; A1 = alkylene, alkenylene, alkynylene; R2R3 = atoms to form 5-7 membered carbocyclyl, heterocyclyl; Q1, Q2 = H, (substituted) Ph,

L6 ANSWER 41 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
naphthyl, heteroaryl; R4 = Q2, A1Q2, A2Q2, A3Q2, etc.; Ra = H, alkyl, Ph, PhCH2; RaRa1N = 5-6 membered ring; Ra2 = alkyl, Ph, PhCH2; Rb = alkyl, ORa, halo, CN, NO2, CORa, CO2Ra, SO2NRaRa1, CF3, CF2CF3, etc.; Rb1 = ORa, halo, O, CN, NO2, NRaRa1; Rc, Rd = Rb, (hetero)cyclyl; R5 = (substituted) alkyl; Re = (substituted) Ph, biphenyl; R6 = naphthyl, alkylphenylalkyl, cycloalkyl, alkylcarbonyloxyalkyl, alkoxycarbonyl, cycloalkoxycarbonyl, PhO2C, etc.; R7 = H, alkyl, alkenyl, cycloalkylalkyl, phenylalkyl; R7a = H, alkyl, alkenyl, cycloalkylalkyl, phenylalkyl; R9 = H, (substituted) (cyclo)alkyl, Ph; Rf = alkyl, cycloalkyl, alkoxy, (substituted) Ph; p, q = 0-2; r, r1 = 0-4], were prepd. Thus, homocystine disulfide in EtOH/CHCl3 at 0° was treated with Cl2 over 10 min. The mixt. was concd. in vacuo overnight the residue in CHCl3 at -5° was treated with Et3N followed by warming to room temp. to give a sultam. The sultam in DMF was kept with 4-benzyloxybenzyl chloride, K2CO3, and Bu4NI for 5 h to give the alkylated sultam, which was stirred 1 h with NH2OH in MeOH to give 2-[1,1'-biphenyl-4-ylmethyl]-N-OH-3-isothiazolidinecarboxamide 1,1-dioxide. Several I inhibited matrix metalloproteinases with Ki≤10 μM.

NSTR 1



G20 = 68

G22 = S
G23 = R <"moiety to complete a ring">
G24 = phenylene (opt. substd.)
G25 = quinolinyl (opt. substd.)
Patent location: claim 1
Note: or pharmaceutically acceptable salts
Note: substitution is restricted
Note: additional substitution also claimed
Stereochemistry: or stereoisomers

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

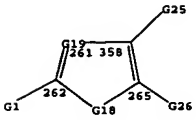
L6 ANSWER 42 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 136:304071 MARPAT
TITLE: Modulation of CCR4 function for disease therapy
INVENTOR(S): Collins, Tassie; Dairaghi, Daniel J.; Mahmud, Hoosen; McMaster, Brian E.; Medina, Julio C.; Schall, Thomas J.; Xu, Peng; Wang, Xuemei
PATENT ASSIGNEE(S): Tularik Inc., USA; Chemocentryx, Inc.
SOURCE: PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002030358	A2	20020418	WO 2001-US42625	20011011
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2425259	AA	20020418	CA 2001-2425259	20011011
AU 2002013467	A5	20020422	AU 2002-13467	20011011
US 2002173524	A1	20021121	US 2001-975566	20011011
EP 1578341	A2	20050928	EP 2001-981850	20011011
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
WO 2002094264	A1	20021128	WO 2002-US16393	20020522
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003018022	A1	20030123	US 2002-155605	20020522
US 2004039035	A1	20040226	US 2003-654112	20030902
PRIORITY APPLN. INFO.:			US 2000-240022P	20001011
			US 2001-293781P	20010523
			US 2001-975566	20011011
			WO 2001-US42625	20011011

AB The present invention is directed to compds. which are modulators of CCR4 chemokine receptor function and are useful in the prevention or treatment of inflammatory conditions and diseases such as allergic diseases, psoriasis, atopic dermatitis and asthma. The invention is also directed to pharmaceutical compns. comprising these compds. and the use of these compds. and compns. in the prevention or treatment of diseases in which CCR4 chemokine receptors are involved. Compds. and compns. are provided that bind to the CCR4 chemokine receptor and which are useful for treating diseases associated with CCR4 activity, such as contact hypersensitivity.

L6 ANSWER 42 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

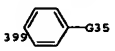
MSTR 2



G1 = quinolinyl (opt. substd.)
G18 = 256-262 257-265

G19 = 236

G25 = 399



G29 = 291

Patent location: claim 52
Note: or pharmaceutically acceptable salts
Note: substitution is restricted

L6 ANSWER 43 OF 67 MARPAT COPYRIGHT 2006 ACS on STN

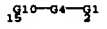
ACCESSION NUMBER: 135:107148 MARPAT
TITLE: Preparation of N-cyanomethyl amides as cysteine protease inhibitors
INVENTOR(S): Oballa, Renata Marcella; Prasit, Petpiboon; Robichaud, Joel Stephane; Isabel, Elise; Mendonca, Rohan V.; Venkatraman, Shankar; Setti, Eduardo; Wang, Dan-Xiong
PATENT ASSIGNEE(S): Merck Frosst Canada & Co., Can.; Axys Pharmaceuticals, Inc.
SOURCE: PCT Int. Appl., 157 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001049288	A1	20010712	WO 2001-US341	20010105
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2396257	AA	20010712	CA 2001-2396257	20010105
US 2002052378	A1	20020502	US 2001-754962	20010105
US 6525036	B2	20030225		
EP 1248612	A1	20021016	EP 2001-900903	20010105
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003525874	T2	20030902	JP 2001-549656	20010105
AU 779855	B2	20050217	AU 2001-26314	20010105

PRIORITY APPLN. INFO.: US 2000-174978P 20000106
US 2000-256793P 20001219
WO 2001-US341 20010105

AB The title compds. R3X1CONHCR1R2CN [I; X1 = CR4R5, CR6R7, NR7 (wherein CR4R5 = (un)substituted cyclohexyl; R6 = H, alkyl; R7 = alkyl, (CH2)1-3 cyclopropyl); R1 = H, alkyl; R2 = H, (un)substituted alkyl; R3 = aryl, cycloalkyl, heterocycloalkyl, etc.] which showed cathepsin B, K, L, and S inhibitory activity (no data), were prepared Thus, reacting 2-(biphenyl-3-yl)-4-methylpentanoic acid (preparation given) with aminoacetonitrile in the presence of PyBOP and Et3N in DMF afforded I [X1 = CH(CH2CHMe2); R1, R2 = H; R3 = 3-biphenyl].

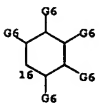
MSTR 1A



L6 ANSWER 43 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

G4 = 13-2 14-15

G5 = 16



G10 = 68

G17 = 201

Patent location: claim 1
Note: and N-oxides derivatives, protected derivatives, prodrug derivatives and pharmaceutically acceptable salts
Note: substitution is restricted
Note: also incorporates claim 26
Stereochemistry: and individual stereoisomers and mixtures of stereoisomers

L6 ANSWER 44 OF 67 MARPAT COPYRIGHT 2006 ACS on STN

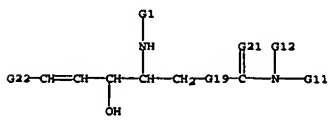
ACCESSION NUMBER: 135:19492 MARPAT
TITLE: Preparation of sphingosine derivatives as preventive or therapeutic remedies for cerebrovascular disorders
INVENTOR(S): Kobori, Takeo; Sugimoto, Kikuo; Goda, Kenichi; Taguchi, Minoru
PATENT ASSIGNEE(S): Taisho Pharmaceutical Co.,ltd., Japan; Sagami Chemical Research Center
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001038295	A1	20010531	WO 2000-JP8229	20001122
W: AU, CA, CN, KR, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
JP 2001213858	A2	20010807	JP 2000-355117	20001122

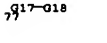
PRIORITY APPLN. INFO.: JP 1999-332165 19991124

AB Title compds. [CnH2n+1CH:CHCHOHCH(NHR1)CH2YC(:W)ZR2; R1 = H, (CH3)3CCO, (CH3)2CHCO, BOC, COCH2NHBOC, COCH2NH2, COCOOEt, COCOOH; R2 = H, OH, CH2CH2N(CH3)2, CH2COOH, 4-HOOCC6H4, heterocycle; W = O, S; Y = O, NH; Z = NH, NCH3, NOH; n = an integer of 1 to 20] and pharmaceutically acceptable salts are prepared and biol. tested. Title derivs. and salts are useful as preventive or therapeutic drugs for cerebrovascular disorders such as cerebral hemorrhage and cerebral infarction; head injuries; senile dementia; degenerative diseases of cranial nerve such as Alzheimer disease and Parkinson disease; diabetes; obesity; arteriosclerosis; inflammatory diseases; immunol. diseases; cancers; kidney diseases; and heart diseases.

MSTR 1



G11 = 77



G14 = Ph (opt. substd. by 1 or more G16) / quinolinyl
G18 = Ph (opt. substd. by 1 or more G14)
Patent location: claim 1

L6 ANSWER 44 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
Note: or pharmaceutically acceptable salts

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L6 ANSWER 45 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 133:335167 MARPAT
TITLE: Preparation of diaryl carboxylic acids and derivatives as peroxisome proliferator-activated receptor ligands.
INVENTOR(S): Jayyosi, Zaid; McGeehan, Gerard M.; Kelley, Michael F.; Labaudiniere, Richard F.; Zhang, Litao; Groneberg, Robert D.; McGarry, Daniel G.; Caulfield, Thomas J.; Minnich, Anne; Bobko, Mark
PATENT ASSIGNEE(S): Aventis Pharmaceuticals Products Inc., USA
SOURCE: PCT Int. Appl., 167 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

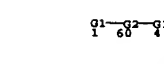
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000064888	A1	20001102	WO 2000-US11833	20000428
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2370250	AA	20001102	CA 2000-2370250	20000428
EP 1177187	A1	20020206	EP 2000-928698	20000428
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000010605	A	20020213	BR 2000-10605	20000428
EE 200100556	A	20030217	EE 2001-556	20000428
NZ 515086	A	20031031	NZ 2000-515086	20000428
AU 781266	B2	20050512	AU 2000-46895	20000428
RU 2267484	C2	20060110	RU 2001-132080	20000428
US 6635655	B1	20031021	US 2000-662649	20000914
NO 2001005075	A	20011123	NO 2001-5075	20011018
ZA 2001008798	A	20030305	ZA 2001-8798	20011024
HR 2001000795	A1	20030228	HR 2001-795	20011026

PRIORITY APPLN. INFO.: US 1999-131455P 19990428
WO 2000-US11833 20000428

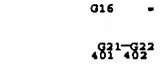
AB Ar1(CR1R2)aA(CR3R4)bAr2(CR5R6)cB(CR7R8)dEZ[Ar1, Ar2 = aryl, fused arylcycloalkenyl, fused arylcycloalkyl, fused arylheterocycloalkenyl, fused arylheterocyclyl, heteroaryl, fused heteroarylcycloalkenyl, fused heteroarylcycloalkyl, fused heteroarylheterocyclyl, etc.; A = O, S, SO, SO2, NR13, CO, NR14CO, CONR15, NR14CONR15, CR14:N, bond, etc.; B = O, S, NR19, bond, CO, NR20CO, CONR20; E = bond, CH2CH2; Z = R21O2C, R21OC, cycloimide, cyano, R2102SHNCO, R2102SHN, (R21)2NCO, R210-substituted 2,4-thiazolidinedionyl, tetrazolyl; a, d = 0-6; b, c = 0-4; R1, R3, R5, R7 = H, halo, alkyl, CO2H, alkoxycarbonyl, aralkyl; R2, R4, R6, R8 = (CH2)qX;

L6 ANSWER 45 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
q = 0-3; R14, R15, R20 = H, alkyl, aralkyl, CO, alkoxycarbonyl; R14R15 = atoms to form a 5-6 membered azaheterocyclyl; R19, R21 = H, aryl, alkyl, cycloalkyl, aralkyl], were prepd. as agonists or antagonists of the PPAR receptor (no data). Thus, 3-(quinolin-2-ylmethoxy)propan-1-ol in DMPU/THF at 0° was treated with NaH and then with Me 2-bromomethyl-6-methylbenzoate followed by stirring overnight at room temp. to give Me 2-methyl-6-[3-(quinolin-2-ylmethoxy)propoxymethyl]benzoate.

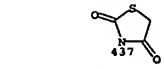
MSTR 1



G1 = quinolinyl
G2 = phenylene
G16 = G22 / 401



G22 = 437



Patent location: claim 1
Note: additional ring formation and substitution also claimed
Note: or pharmaceutically acceptable salts, N-oxides, hydrates or solvates

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

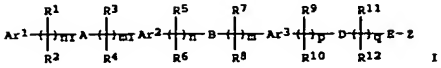
L6 ANSWER 46 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 133:335164 MARPAT
TITLE: Tri-aryl acid derivatives as PPAR receptor ligands
INVENTOR(S): Jayyosi, Zaid; McGeehan, Gerard M.; Kelley, Michael F.; Labaudiniere, Richard F.; Zhang, Litao; Caulfield, Thomas J.; Minnich, Anne; Bobko, Mark; Morris, Robert; Groneberg, Robert D.; Mcgarry, Daniel G.
PATENT ASSIGNEE(S): Aventis Pharmaceuticals Products Inc., USA
SOURCE: PCT Int. Appl., 257 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000064876	A1	20001102	WO 2000-US11490	20000428
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2371308	AA	20001102	CA 2000-2371308	20000428
EP 1177176	A1	20020206	EP 2000-930210	20000428
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000010126	A	20020226	BR 2000-10126	20000428
EE 200100558	A	20021216	EE 2001-558	20000428
NZ 515087	A	20031128	NZ 2000-515087	20000428
AU 782404	B2	20050728	AU 2000-48070	20000428
US 7005440	B1	20060228	US 2000-724496	20001128
ZA 2001008800	A	20030210	ZA 2001-8800	20011024
NO 2001005226	A	20011205	NO 2001-5226	20011025
HR 2001000793	A1	20030228	HR 2001-793	20011026
HK 1047098	A1	20050520	HK 2002-108625	20021129

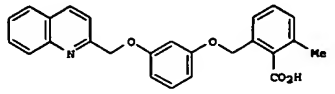
PRIORITY APPLN. INFO.: US 1999-131454P 19990428
WO 2000-US11490 20000428

GI

L6 ANSWER 46 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



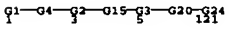
I



II

AB This invention is directed to triaryl acid derivs. I and their salts, N-oxides, hydrates, solvates, and pharmaceutical compns. [wherein: Ar1, Ar2, Ar3 = aryl, fused arylcycloalkenyl, fused arylcycloalkyl, fused arylheterocyclenyl, fused arylheterocyclyl, heteroaryl, fused heteroarylcycloalkenyl, fused heteroarylcycloalkyl, fused heteroarylheterocyclenyl, or fused heteroarylheterocyclyl; A = bond, O, S, SO, SO2, CO, (un)substituted NH, NHCO, CONH, NHCONH, CH:N, etc.; B = bond, O, S, SO, SO2, C.tplbond.C, CO, (un)substituted NH, NHCO, or CONH; D = bond, O, S, C.tplbond.C, CO, (un)substituted NH, NHCO, or CONH; E = bond, CH2CH2; Z = (un)substituted CO2H, CHO, cyclo-imide, cyano, sulfonylaminocarbonyl, sulfonylamino, carbamoyl, tetrazolyl, etc.; R1, R3, R5, R7, R9, R11 = H, halo, alkyl, CO2H, alkoxycarbonyl, aralkyl; R2, R4, R6, R8, R10, R12 = (CH2)0-3X (where X = H or various substituents); n1 = 0-4; m1 = 0-4; n = 0-4; m = 0-5; p = 0-4; q = 0-6; with numerous provisos]. The compds. are PPAR receptor ligands, useful as agonists or antagonists thereof (no data). For instance, 2,6-dimethylbenzoic acid underwent a sequence of: (1) Me esterification, (2) benzylic monobromination, (3) etherification with 3-(quinolin-2-ylmethoxy)phenol, and (4) alkaline hydrolysis with NaOH in aqueous EtOH, to give title compound II.

MSTR 1



G1 = quinolinyl (opt. substd.)
G2 = phenylene (opt. substd.)
G3 = o-C6H4 (opt. substd.)
G4 = bond
G15 = bond
G20 = bond
Patent location: claim 1

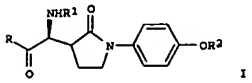
L6 ANSWER 46 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
Note: additional ring formation also claimed
Note: or pharmaceutically acceptable salts, N-oxides, hydrates or solvates

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 47 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 133:296371 MARPAT
TITLE: Novel lactam inhibitors of matrix metalloproteinases, TNF-α, and aggrecanase
INVENTOR(S): Duan, Jingwu
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Co., USA
SOURCE: PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000059285	A2	20001012	WO 2000-US8363	20000330
WO 2000059285	A3	20010118		
W: AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2361848	AA	20001012	CA 2000-2361848	20000330
EP 1165546	A2	20020102	EP 2000-921501	20000330
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6495548	B1	20021217	US 2000-540056	20000331
PRIORITY APPLN. INFO.:			US 1999-127594P	19990402
			WO 2000-US8363	20000330

GI

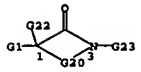


I

AB Lactams were prepared for use as inhibitors of matrix metalloproteinases, TNF-α, and aggrecanase (no data). Thus, Me3CO2CNH-L-Asp(OMe)-OH was esterified with MeI, allylated, the allyl substituent ozonolyzed to the aldehyde, and cyclized with 4-PhCH2OC6H4NH2 to give the pyrrolidinone I [R = OMe, R1 = CO2CMe3, R2 = CH2Ph]. This compound was converted to the free phenol, treated with 4-chloromethyl-2-methylquinoline-HCl, followed by deblocking and pivaloylation of the amine and treatment with NH2OH-KOH to give the hydroxamic acid I [R = HONH, R1 = COCMe3, R2 = 2-methyl-4-quinolinyl].

MSTR 1

L6 ANSWER 47 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G20 = R <"moiety to complete a 4-8 membered ring">
G23 = 70

G24 = phenylene (opt. substd.)
G25 = 281

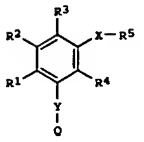
Patent location: claim 1
Note: or pharmaceutically acceptable salts
Note: additional oxo substitution also claimed
Note: substitution is restricted
Stereochemistry: or stereoisomers

L6 ANSWER 48 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 131:58657 MARPAT
TITLE: Thioureas and benzamide compounds, compositions and methods of treating or preventing inflammatory diseases and atherosclerosis
INVENTOR(S): Connor, David Thomas; Roark, William Howard; Sexton, Karen; Sorenson, Roderick Joseph
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: PCT Int. Appl., 226 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

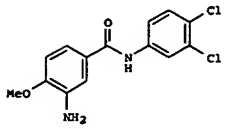
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9932433	A1	19990701	WO 1998-US24688	19981120
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2300197	AA	19990701	CA 1998-2300197	19981120
AU 9915297	A1	19990712	AU 1999-15297	19981120
BR 9814327	A	20001003	BR 1998-14327	19981120
EP 1042276	A1	20001011	EP 1998-959510	19981120
EP 1042276	B1	20041117		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2001526255	T2	20011218	JP 2000-525370	19981120
NZ 502963	A	20020628	NZ 1998-502963	19981120
AT 282591	E	20041215	AT 1998-959510	19981120
ES 2234169	T3	20050616	ES 1998-959510	19981120
ZA 9811805	A	19990629	ZA 1998-11805	19981222
MX 200001870	A	20001109	MX 2000-1870	20000223
US 6268387	B1	20010731	US 2000-529135	20000405
US 2001031874	A1	20011018	US 2001-858089	20010515
US 6528528	B2	20030304		
PRIORITY APPLN. INFO.:			US 1997-68604P	19971223
			WO 1998-US24688	19981120
			US 2000-529135	20000405

GI

L6 ANSWER 48 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



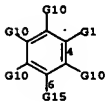
I



II

AB The invention provides compds. I [X = NH, O, S, NHC(:S)NH, CONH, NHCO, (CH2)n, etc., or their alkyl derivs.; n = 0-3; Y = NH, CONH, NHCO, CH2CH2, NHSO2, etc., or their alkyl derivs.; Q = alkyl, (un)substituted Ph or heteroaryl, (di)(alkyl)amino, or cycloalkyl; R1-R4 = H, alkoxy, alkyl, halo, OH, CF3, cyano, (un)substituted (hetero)aryl, etc.; R5 = H, alkyl, (un)substituted heteroaryl, naphthyl, benzyl, or dansyl; with several provisos]. The invention also provides methods of treating or preventing inflammation or atherosclerosis, and a pharmaceutical composition that contains a compound I. The compds. are inhibitors of 15-lipoxygenase (15-LO), and act as inhibitors of the chemotaxis of monocytes. Approx. 280 synthetic examples are given. For instance, amidation of 3-nitro-4-methoxybenzoic acid with 3,4-dichloroaniline using oxalyl chloride and DMF catalyst in THF/CH2Cl2 mixture, followed by hydrogenation over Raney Ni, gave title compound II. The latter had an IC50 of 10 nM against human 15-LO in vitro.

MSTR 1



G1 = 8

G9 = Ph (substd. by quinolinyl)
Derivative: or pharmaceutically acceptable salts
Patent location: claim 1
Note: substitution is restricted
Note: also incorporates all later claims

L6 ANSWER 48 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

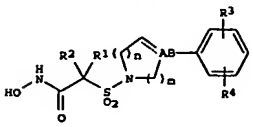
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L6 ANSWER 49 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 131:44740 MARPAT
TITLE: Preparation of N-hydroxytetrahydropyridylsulfonylacetamides and related compounds as matrix metalloprotease inhibitors.
INVENTOR(S): Dack, Kevin Neil; Whitlock, Gavin Alistair
PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.
SOURCE: PCT Int. Appl., 149 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9929667	A1	19990617	WO 1998-EP6640	19981009
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2312935	AA	19990617	CA 1998-2312935	19981009
AU 9912301	A1	19990628	AU 1999-12301	19981009
AU 741859	B2	20011213		
EP 1036062	A1	20000920	EP 1998-955494	19981009
EP 1036062	B1	20040102		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
BR 9813360	A	20001017	BR 1998-13360	19981009
TR 200001611	T2	20001023	TR 2000-200001611	19981009
JP 2001525396	T2	20011211	JP 2000-524264	19981009
JP 3445242	B2	20030908		
NZ 504421	A	20020201	NZ 1998-504421	19981009
AT 257151	E	20040115	AT 1998-955494	19981009
PT 1036062	T	20040430	PT 1998-955494	19981009
ES 2212373	T3	20040716	ES 1998-955494	19981009
AP 930	A	20010126	AP 1998-1412	19981203
W: BW, GM, GH, KE, MW, SD, UG, ZM, ZW				
ZA 9811112	A	20000605	ZA 1998-11112	19981204
NO 2000002826	A	20000726	NO 2000-2826	20000602
HR 2000000373	A1	20001231	HR 2000-373	20000605
BG 104506	A	20010131	BG 2000-104506	20000605
US 6495568	B1	20021217	US 2001-423359	20011012
PRIORITY APPLN. INFO.:			GB 1997-25782	19971205
			WO 1998-EP6640	19981009

GI

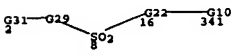
L6 ANSWER 49 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



I

AB Title compds. [I; dotted line = optional double bond; A = C, CH; B = CH2, O, null; R1, R2 = H, (substituted) alkyl, alkenyl; R1R2C = (benzo-fused) C3-6 cycloalkyl group optionally incorporating O, SO, SO2, NR6; R3 = H, halo, R7, OR7; R4 = H, alkyl, alkoxy, CF3, halo; R6 = H, alkyl; R7 = (substituted) mono- or bicyclic ring system; m = 1, 2; n = 0-2; with the proviso that B is not O when A is C], were prepared as MMP inhibitors useful in the treatment of tissue ulceration, wound repair and skin diseases. Thus, Me 2-[4-(3-methyl-4-phenylphenyl)-1,2,3,6-tetrahydropyridin-1-ylsulfonyl]acetate (preparation given) was refluxed with NH2OH.HCl and K2CO3 in THF/MeOH to give N-hydroxy-2-[4-(3-methyl-4-phenylphenyl)-1,2,3,6-tetrahydropyridin-1-ylsulfonyl]acetamide. The latter inhibited matrix metalloproteinase 3 with IC50 = 16 nM.

MSTR 1



G1 = (1-2) CH2
G2 = (0-2) CH2
G10 = 17

G12 = quinolinyl (opt. substd.)
G17 = phenylene (opt. substd. by (1) G11)
G22 = 347-8 350-341

L6 ANSWER 49 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

Derivative: or pharmaceutically or veterinarily acceptable salts or solvates
Patent location: claim 1
Note: substitution is restricted
Note: also incorporates claim 15

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

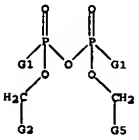
L6 ANSWER 50 OF 67 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 130:139659 MARPAT
TITLE: Phosphonylation agents for synthesis of cyclic peptide antifungal agents
INVENTOR(S): Grutsch, John Leo, Jr.; Hansen, Marvin Martin; Harkness, Allen Robert; Udodong, Uko Effiong; Verral, Daniel Edward, II
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9906062	A1	19990211	WO 1998-US16195	19980803
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2301184	AA	19990211	CA 1998-2301184	19980803
AU 9886877	A1	19990222	AU 1998-86877	19980803
EP 906915	A1	19990407	EP 1998-306195	19980804
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6043341	A	20000328	US 1998-129062	19980804
PRIORITY APPLN. INFO.:			US 1997-54538P	19970804
			WO 1998-US16195	19980803

AB Phosphonylation agents [R1CH2OPR(O)]2O [R = alkyl, Ph, benzyl; R1 = (un)substituted Ph, naphthyl, cyclohexyl] were prepared for use in the synthesis of phosphonate derivs. of cyclic peptides antifungal agents. Thus, bis(4-bromobenzyl) dimethylpyrophosphonate was prepared as a syn/anti mixture and applied to the phosphonylation of the phenol residue of an echinocandin B-related cyclic peptide.

MSTR 1



Derivative: or pharmaceutically acceptable salts
Patent location: claim 1
Note: substitution is restricted

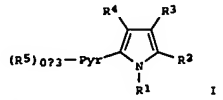
L6 ANSWER 50 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

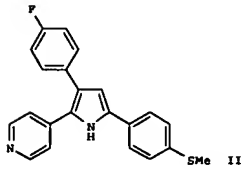
L6 ANSWER 51 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 129:122578 MARPAT
TITLE: Preparation of pyridylpyrroles and analogs as cytokine inhibitors and glucagon antagonists
INVENTOR(S): De Laszlo, Stephen E.; Chang, Linda L.; Kim, Dooseop; Mantlo, Nathan B.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 59 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5776954	A	19980707	US 1996-742428	19961030

PRIORITY APPLN. INFO.: US 1996-742428 19961030
GI



I

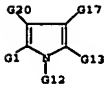


II

AB The invention provides substituted pyridylpyrroles I [Pyr = pyridine nucleus; R1 = H, (un)substituted alkyl, heterocyclyl, aryl, etc.; R2 = (un)substituted alkyl, (hetero)aryl, heterocyclyl, etc.; R3 = H, halo, alkyl, aryl, etc.; R4 = acyl, aryl, heterocyclyl, alkoxycarbonyl, etc.; R5 = halo, (un)substituted (hetero)aryl, etc.], as well as compns. containing such compds. and methods of treatment. I are glucagon antagonists and inhibitors of the biosynthesis and action of TNF-α, IL-1, IL-8, and other cytokines. The compds. block the action of glucagon at its receptors, and thereby decrease the levels of plasma glucose, making the

L6 ANSWER 51 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
compds. useful as antidiabetic agents. For instance, 4-FC6H4COCHBrMe(OMe) was condensed with 4-[[(tert-butyldimethylsilyl)oxy]methyl]pyridine, and the product ketone was cyclized with 4-(MeS)C6H4COMe using KCN and then NH4OAc in refluxing aq. EtOH, to give title compd. II. In a glucagon receptor binding assay, I typically showed IC50 < 2.0 μM.

NSTR 1



G20 = 220

G27—G28
220

G27 = phenylene
G28 = quinolinyl
Derivative: or pharmaceutically acceptable salts, solvates, hydrates or tautomers
Patent location: claim 1
Note: additional substitution also disclosed
Note: substitution is restricted

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 52 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 128:257328 MARPAT
TITLE: Preparation of 7a-heteroarylhexahydro-1H-pyrrolizines as cholinergic synaptic transmission modulators
INVENTOR(S): Wasicak, James T.; Garvey, David S.; Holladay, Mark W.; Lin, Nan-Horng; Ryther, Keith B.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: U.S., 24 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5733912	A	19980331	US 1997-802978	19970219
CA 2281800	AA	19980827	CA 1998-2281800	19980205
WO 9837082	A1	19980827	WO 1998-US2032	19980205
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9863188	A1	19980909	AU 1998-63188	19980205
EP 970083	A1	20000112	EP 1998-907359	19980205
EP 970083	B1	20030416		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2001512479	T2	20010821	JP 1998-536654	19980205
AT 237618	E	20030515	AT 1998-907359	19980205
PT 970083	T	20030930	PT 1998-907359	19980205
ES 2196548	T3	20031216	ES 1998-907359	19980205
ZA 9801301	A	19980828	ZA 1998-1301	19980217
TW 513425	B	20021211	TW 1998-87102354	19980317
MX 9907626	A	20000131	MX 1999-7626	19990818
HK 1026416	A1	20040305	HK 2000-104266	20000711

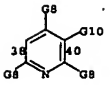
PRIORITY APPLN. INFO.: US 1997-802978 19970219
WO 1998-US2032 19980205

AB RR1 (I; R = hexahydro-1H-pyrrolizin-7a-yl; R1 = heteroaryl group selected from, e.g., variously substituted 5-isoxazolyl, 5-pyrazolyl, 3-pyridyl, etc.) were prepared Thus, Me hexahydro-1H-pyrrolizine-7a-carboxylate (preparation given) was cyclocondensed with Me2C:NOH to give 7a-(3-methyl-5-isoxazolyl)hexahydro-1H-pyrrolizine. Data for biol. activity of I were given.

NSTR 1

G1 = 38

L6 ANSWER 52 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G10 = quinolinyl
Derivative: or pharmaceutically acceptable salts or pro-drugs
Patent location: claim 1
Note: substitution is restricted

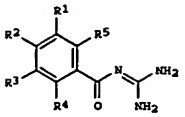
REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 53 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 128:48062 MARPAT
TITLE: Preparation of ortho-substituted benzoylguanidine sodium channel blockers
INVENTOR(S): Weichert, Andreas; Brendel, Joachim; Kleemann, Heinz Werner; Lang, Hans Jochen; Schwark, Jan Robert; Albus, Udo; Scholz, Wolfgang
PATENT ASSIGNEE(S): Hoechst Aktiengesellschaft, Germany
SOURCE: Eur. Pat. Appl., 13 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 811610	A1	19971210	EP 1997-108258	19970522
EP 811610	B1	20011128		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI				
DE 19622370	A1	19971211	DE 1996-19622370	19960604
CN 1153773	A	19970709	CN 1996-122811	19960926
AT 209630	E	20011215	AT 1997-108258	19970522
ES 2166487	T3	20020416	ES 1997-108258	19970522
PT 811610	T	20020531	PT 1997-108258	19970522
AU 9724650	A1	19971211	AU 1997-24650	19970602
AU 723665	B2	20000831		
CN 1175572	A	19980311	CN 1997-105479	19970602
CN 1064956	B	20010425		
TW 429243	B	20010411	TW 1997-86107502	19970602
CZ 289411	B6	20020116	CZ 1997-1696	19970602
SK 282628	B6	20021008	SK 1997-696	19970602
ZA 9704869	A	19971204	ZA 1997-4869	19970603
NO 9702527	A	19971205	NO 1997-2527	19970603
NO 310188	B1	20010605		
JP 10067731	A2	19980310	JP 1997-144393	19970603
US 6011063	A	20000104	US 1997-868077	19970603
HR 970304	B1	20021031	HR 1997-970304	19970603
PL 185757	B1	20030731	PL 1997-320327	19970603
RU 2214397	C2	20031020	RU 1997-109913	19970603
CA 2206758	AA	19971204	CA 1997-2206758	19970604
BR 9703440	A	19980929	BR 1997-3440	19970604

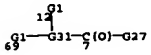
PRIORITY APPLN. INFO.: DE 1996-19622370 19960604
GI

L6 ANSWER 53 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

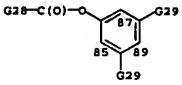


AB The title compds. [I; R1-R3 = H, halogen, CN, NO2, (un)substituted alkyl, (un)substituted cycloalkyl, biphenylyl, (un)substituted naphthyl, etc.; R4, R5 = H, halogen, CN, alkyl, etc.], useful as sodium channel blocks for the treatment diseases amenable to sodium channel blockade (no data), are prepared by the reaction of guanidine with benzene derivs. (II; L = nucleophile-substitutable leaving group). Thus, 2-chloro-4-hydroxy-5-(trifluoromethyl)benzoylguanidine was acetylated with AcCl, producing 4-acetyloxy-2-chloro-5-(trifluoromethyl)benzoylguanidine hydrochloride.

NSTR 1



G1 = Ph (opt. substd. by (1-3) G11) / quinolinyl
G31 = 89-7 87-12 85-69

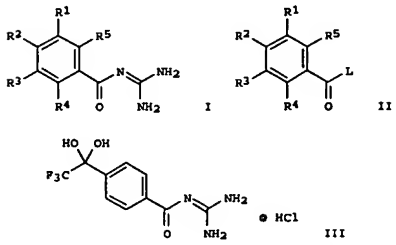


Derivative: and pharmaceutically acceptable salts
Patent location: claim 1

L6 ANSWER 54 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 126:250995 MARPAT
TITLE: Preparation of (1,1-dihydroxyperfluoroalkyl)benzoylguanidine sodium channel blocker antiarrhythmics and diagnostic agents
PATENT ASSIGNEE(S): Hoechst A.-G., Germany
SOURCE: Eur. Pat. Appl., 13 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 765867	A1	19970402	EP 1995-115240	19950927
R: DE				
EP 765868	A1	19970402	EP 1996-114800	19960916
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AU 9665846	A1	19970410	AU 1996-65846	19960925
ZA 9608091	A	19970327	ZA 1996-8091	19960926
CA 2186580	AA	19970328	CA 1996-2186580	19960926
NO 9604053	A	19970401	NO 1996-4053	19960926
JP 09124584	A2	19970513	JP 1996-254316	19960926
BR 9603911	A	19980609	BR 1996-3911	19960926
US 5747541	A	19980505	US 1997-873825	19970612

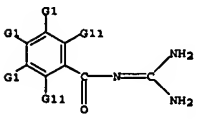
PRIORITY APPLN. INFO.: EP 1995-115240 19950927
US 1996-715685 19960918
GI



AB The title compds. [I; R1-R3 = H, OH, F, Cl, Br, I, alkyl, cycloalkyl, alkoxy, PhO; R4, R5 = H, F, Cl, Br, alkyl, CN, (un)substituted NH2, etc.; such that ≥1 of R1-R3 = R6C(OH)2; R6 = (un)branched C1-3 perfluoroalkyl; etc.], useful as Na+/H+ channel blocker antiarrhythmics, antifibrotics (no data), antiatherosclerotics (no data), anticancer agents (no data), etc. (no data), are prepared by the reaction of benzoyl

L6 ANSWER 54 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
(II; L = nucleophile-substitutable leaving group) with guanidine. Thus, 4-(1,1-dihydroxy-2,2,2-trifluoroethyl)benzoylguanidine hydrochloride was prepd. and demonstrated a Na+/H+ channel exchange IC50 of 1.5 μm/L.

NSTR 1



G1 = Ph (opt. substd. by (1-3) G7) / quinolinyl (opt. substd.)
Derivative: and pharmacologically acceptable salts
Patent location: claim 1

L6 ANSWER 55 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 126:75252 MARPAT
TITLE: Semisynthesis of cyclic peptide antifungal agents
INVENTOR(S): Jamison, James Andrew; Rodriguez, Michael John; Lagrandeur, Lisa Marie Hammond; Turner, William Wilson, Jr.; Zweifel, Mark James
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: Eur. Pat. Appl., 55 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 744405	A2	19961127	EP 1996-303602	19960521
EP 744405	A3	19980527		
EP 744405	B1	20030716		
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
US 5652213	A	19970729	US 1996-613949	19960311
CA 2220728	AA	19961128	CA 1996-2220728	19960520
WO 9637510	A1	19961128	WO 1996-US7244	19960520
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9657991	A1	19961211	AU 1996-57991	19960520
ZA 9604014	A	19971120	ZA 1996-4014	19960520
JP 11505845	T2	19990525	JP 1996-535782	19960520
AT 245162	E	20030815	AT 1996-303602	19960521
ES 2201154	T3	20040316	ES 1996-303602	19960521
PRIORITY APPLN. INFO.:			US 1995-453052	19950526
			WO 1996-US7244	19960520

GI

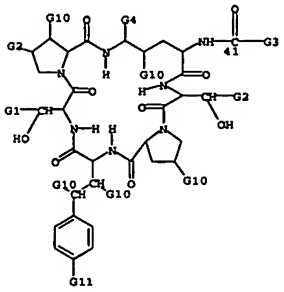
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Provided are pharmaceutical formulations, and methods of inhibiting fungal and parasitic activity using compds. I [R1 = H, Me, CH2CONH2; R2, R3 = independently H, Me; R4 = H, OH, OR; R = C1-6 alkyl, CH2Ph, (CH2)2SiMe3, CH2CH(OH)CH2OH, CH2CH:CH2, (CH2)aCO2H, (CH2)bNR12R13, (CH2)cPOR14R15, (CH2CH2O)d(C1-6 alkyl); a, b, c = independently 1-6; R12, R13 = independently H, C1-6 alkyl; R12R13 = (CH2)e; R14, R15 = independently OH, C1-6 alkoxy; d = 1, 2; e = 3-5; R5, R6, R7, R8, R9 = independently H, OH; R10 = OH, OPO3H2, OP(O)(OH)R1, OP(O)(OH)OR16; R16 = C1-6 alkyl, Ph, 4-halophenyl, 4-O2NC6H4, PhCH2, 4-halobenzyl, 4-O2NC6H4CH2; R11 = substituted Ph, naphthyl, Q, (un)substituted benzo[c]phenanthrenyl, (C1-12

L6 ANSWER 55 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
Derivative: or pharmaceutically acceptable salts
Patent location: claim 1
Note: substitution is restricted
Note: also incorporates claim 9

L6 ANSWER 55 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
alkyl)-OC6H4Ph-4; R17 = C1-12 alkoxy, O(CH2)m[O(CH2)n]pO(C1-12 alkyl), m = 2-4; n = 2-4; p = 0, 1], or a pharmaceutically acceptable salt thereof. Thus, acylation of 348.1 g antibiotic A-30912A nucleus (II; R18 = R19 = H) with 26.0 g terphenyl active ester 2,4,5-Cl3C6H2O-Q1 (prepn. given) in 8 L DMF gave 18 g. title compd. II (R18 = Q1, R19 = H) (III). III was converted into O-alkylated derivs. I [R18 = Q1, R19 = CH2CH:CH2, CH2CH(OH)CH2OH, CH2CO2H, (CH2)4NH2, (CH2)6NH2, CH2CH2NH2, etc.]. Selected compds. II inhibited C. albicans in vitro with MIC values of 0.625 to 0.0098 μg/mL, and in vivo in mice with ED50 values of >2.5 to 0.312 mg/kg.

MSTR 1



G3 = 266

G21-G22
266 267

G21 = 833-41 834-267

G57-G58
833 834

G22 = quinolinyl
G57 = phenylene
G58 = phenylene

L6 ANSWER 56 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 125:329474 MARPAT
TITLE: Preparation of cyclic hexapeptide antifungal agents.
INVENTOR(S): Borromeo, Peter Stanley; Jamison, James Andrew; Rodriguez, Michael John; Turner, William Wilson, Jr.; Vasudevan, Venkatraghavan
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: Eur. Pat. Appl., 57 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 736541	A1	19961009	EP 1996-302362	19960403
EP 736541	B1	20021127		
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
US 5646111	A	19970708	US 1996-612208	19960307
ZA 9602598	A	19971001	ZA 1996-2598	19960401
IL 117749	A1	20000601	IL 1996-117749	19960401
IN 181897	A	19981024	IN 1996-CA591	19960402
CA 2217048	AA	19961010	CA 1996-2217048	19960403
WO 9631228	A1	19961010	WO 1996-US4543	19960403
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9653834	A1	19961023	AU 1996-53834	19960403
AU 703841	B2	19990304		
CN 1185739	A	19980624	CN 1996-194199	19960403
BR 9604906	A	19980721	BR 1996-4906	19960403
JP 11504005	T2	19990406	JP 1996-530439	19960403
AT 228535	E	20021215	AT 1996-302362	19960403
CZ 291702	B6	20030514	CZ 1997-3102	19960403
ES 2187617	T3	20030616	ES 1996-302362	19960403
NO 9704562	A	19971128	NO 1997-4562	19971002
PRIORITY APPLN. INFO.:			US 1995-418341	19950407
			WO 1996-US4543	19960403

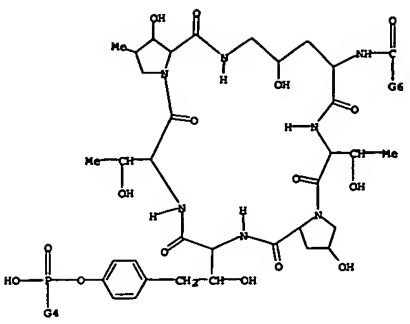
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I; R = OP(:O)(OH)R1; R1 = alkyl, alkoxy, Ph, p-halophenyl, p-nitrophenyl, PhO, PhCO, p-halobenzyl, p-nitrobenzyl; R2 = R3C6H4CO R4C6H4ZC6H4CO, etc.; R3 = alkyl, alkoxy, quinolinyl, etc.; Z = O, C.tplbond.C, CH:CH, CH2CH2, CH2, bond; R4 = H, (substituted) alkyl, alkenyl, alkynyl, alkoxy, cycloalkyl, bicycloalkyl, cycloalkoxy, naphthyl, etc.], were prepared Thus, [I; R = OP(:O)(OH)Bu; R2 = Q1] (preparation given) showed ED50 = 0.39 mg/kg against Candida albicans in mice.

L6 ANSWER 56 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

MSTR 1



G6 = 85

G7 = phenylene
G8 = 104

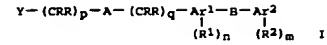
G14 = bond
G15 = phenylene
G16 = quinolinyl
G18 = bond
G20 = bond
G26 = bond
Derivative: or pharmaceutically acceptable salts
Patent location: claim 1

L6 ANSWER 57 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 125:142750 MARPAT
TITLE: Polyarylcarbamoylalka- and -carbamoylalkanedioic acids as squalene synthase inhibitors
INVENTOR(S): Paula, Henry W.; Choi, Yong-Mi; Studt, Robert W.; Maguire, Martin P.; Spada, Alfred P.; Cha, Don D.
PATENT ASSIGNEE(S): Rhone-Poulenc Rorer Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

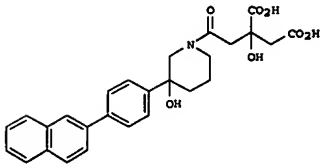
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9618615	A1	19960620	WO 1995-US15364	19951129
W: AL, AM, AT, AU, BB, BG, BR, BY, CA, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5556990	A	19960917	US 1994-357481	19941216
CA 2207429	AA	19960620	CA 1995-2207429	19951129
AU 9643698	A1	19960703	AU 1996-43698	19951129
AU 695852	B2	19980827		
EP 801644	A1	19971022	EP 1995-942489	19951129
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
JP 10511084	T2	19981027	JP 1995-518973	19951129

PRIORITY APPLN. INFO.: US 1994-357481 19941216
WO 1995-US15364 19951129

GI



I



II

L6 ANSWER 57 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

AB This invention relates to a class of novel dicarboxy amide derivs. of lipophilic amines I wherein: A is O, S, NR, SO, SO2, or a bond; B is (CRR)1-2, O, S, NR, SO, SO2, RC:CR, C.tplbond.C, CO, or a bond; Y is, e.g., RNZ(CRR)dCRR, N-Z-piperidyl, where Z is COWCR7[(CR3R4)fCO2R][(CR5R6)gCO2R]; W is a bond, (CRR)h, or NR; R = H, alkyl; R1, R2 are independently H, alkyl, alkoxy, OH, halo, haloalkyl, Ph; R3-R6 are independently H, alkyl; R7 is H, NRR, or OH and when W is (CRR)h then R7 is OH; one of R3-R7 is OH; Ar1 and Ar2 are independently a mono- or diaryl or heteroaryl; p and q are independently 0-3; p + q is 0-4; d is 0-3; p + q + d is 1-3; f is 0-2; g is 0-2; h is 1-2; m and n are independently 0-2; which exhibit squalene synthase inhibition properties. Compds. of this invention reduce levels of serum cholesterol in the body without significantly reducing mevalonic metabolite synthesis. This invention relates also to pharmacol. compns. and method of treatment for lowering serum cholesterol levels using the compds. of this invention. Thus, e.g., coupling of prepared intermediates 3-hydroxy-3-(4-naphth-2-ylphenyl)piperidine with 3-hydroxy-3,4-bis(ethoxycarbonyl)butanoic acid afforded the diester intermediate which was hydrolyzed to the diaryl carbamoyl alkanedioic acid II which exhibited inhibition of squalene synthase with IC50 = 27 nM.

MSTR 1A

Derivative: or pharmaceutically acceptable salts
Patent location: claim 1
Note: substitution is restricted
Stereochemistry: stereoisomers, enantiomers, diastereoisomers, and racemic mixtures

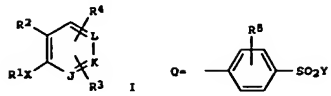
L6 ANSWER 58 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 125:86512 MARPAT
TITLE: Preparation of ortho substituted phenyl compounds as prostaglandin synthase inhibitors
INVENTOR(S): Batt, Douglas Guy; Pinto, Donald Joseph Phillip; Orwat, Michael James; Petraitis, Joseph James; Pitts, William John
PATENT ASSIGNEE(S): Du Pont Merck Pharmaceutical Company, USA
SOURCE: PCT Int. Appl., 95 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9610012	A1	19960404	WO 1995-US12225	19950926
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ, VN				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5593994	A	19970114	US 1994-314991	19940929
CA 2200707	AA	19960404	CA 1995-2200707	19950926
AU 9536409	A1	19960419	AU 1995-36409	19950926
AU 703105	B2	19990318		
EP 783486	A1	19970716	EP 1995-933935	19950926
EP 783486	B1	19991013		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1166167	A	19971126	CN 1995-195420	19950926
CN 1125044	B	20031022		
BR 9509212	A	19980127	BR 1995-9212	19950926
HU 77344	A2	19980330	HU 1997-2017	19950926
JP 10506894	T2	19980707	JP 1995-511934	19950926
AT 185558	E	19991015	AT 1995-933935	19950926
ES 2139943	T3	20000216	ES 1995-933935	19950926
PL 180948	B1	20010531	PL 1995-319385	19950926
RU 2184109	C2	20020627	RU 1997-106776	19950926
SK 283023	B6	20030204	SK 1997-404	19950926
US 5932586	A	19990803	US 1996-753029	19961119
FI 9701312	A	19970327	FI 1997-1312	19970327
FI 116568	B1	20051230		
GR 3031763	T3	20000229	GR 1999-402853	19991105

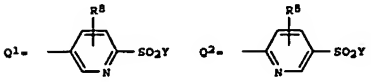
PRIORITY APPLN. INFO.: US 1994-314991 19940929
WO 1995-US12225 19950926

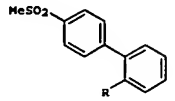
GI

L6 ANSWER 58 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



I



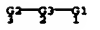


II

AB The title biphenyl and pyridylbenzene compds. [I; J, K, L = (un)substituted CH, N; X = single bond, (CHR5)2, CH:CR5, CR5:CH, C.tplbond.C, (CHR5)pZ, Z(CHR5)p, COCH2, CH2CO; wherein Z = O, S; R5 = C1-2 (halo)alkyl, C1-2 alkoxy; p = 0,1; R1 = (un)substituted Ph, 2-naphthyl, or C5-7 cycloalkyl, or 5- to 10-membered heterocyclyl, C5-7 cycloalkenyl; R2 = Q, Q1, Q2; wherein Y = Me, NH2; R8 = H, F, Br, Cl, iodo, OH, C1-4 alkyl, C1-4 alkoxy, alkoxycarbonyl- or aralkyloxycarbonyl-n-alkyl, 2-alkoxycarbonyl- or 2-aralkyloxycarbonylethenyl; R3 = H, F, Br, Cl, iodo, cyano, (un)substituted C1-4 alkyl or C1-4 alkenyl, C1-4 haloalkyl, NO2, optionally alkylated NH2, alkoxycarbonyl, aryloxycarbonyl, substituted CONH2 or SO2NH2, CHO, PhCO, C1-6 alkylcarbonyl, alkoxy, aryloxy, etc.; R4 = H, F, Br, Cl, iodo, C1-2 (halo)alkyl, C1-2 alkoxy, CF3, (un)substituted SH; or adjacent R3 and R4 are taken together with the carbon atoms to which they are attached to form a 5- to 7-membered carbocyclic or heterocyclic ring containing 1-3 heteroatoms selected form N, O, or S], useful as antiinflammatory and antipyretic agents, are prepared Thus, 2-bromoaniline was coupled with 4-methylthiophenylboronic acid in the presence of Bu4NBr and (Ph3P)4Pd in a mixture of 2 m Na2CO3, EtOH, and toluene under reflux for 5 h to give 56% 2-[4-(methylthio)phenyl]aniline, which was cyclocondensed with 1,5-dibromopentane in EtOH containing Et3N under reflux for 48 h to give 1-[2-(4-methylthiophenyl)phenyl]piperidine. This was oxidized with Oxone in MeOH to give the title compound (II; R = 1-piperidinyl). The latter compound and II (R = 1-pyrrolyl) in vitro showed

L6 ANSWER 58 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
ICS0 of 10-50 and <10 μM, resp., against prostaglandin G/H synthase.

NSTR 1



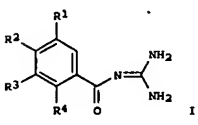
G1 = quinolinyl
G3 = o-C6H4 (opt. substd. by 1 or more G26)
G26 = pyrrolidino
Derivative: or pharmaceutically acceptable salts or prodrugs
Patent location: claim 1
Note: substitution is restricted

L6 ANSWER 59 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 124:342874 MARPAT
TITLE: Fluoroalkylbenzoylguanidines as drugs and diagnostic agents.
INVENTOR(S): Weichert, Andreas; Kleeman, Heinz-Werner; Lang, Hans-Jochen; Schwark, Jan-Robert; Albus, Udo; Scholz, Wolfgang
PATENT ASSIGNEE(S): Hoechst A.-G., Germany
SOURCE: Ger. Offen., 9 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4432105	A1	19960314	DE 1994-4432105	19940909
TW 382621	B	20000221	TW 1995-84101385	19950216
EP 702001	A1	19960320	EP 1995-113846	19950904
EP 702001	B1	20000823		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AT 195725	E	20000915	AT 1995-113846	19950904
ES 2151572	T3	20010101	ES 1995-113846	19950904
PT 702001	T	20010131	PT 1995-113846	19950904
CN 1128752	A	19960814	CN 1995-116262	19950906
CN 1063436	B	20010321		
IL 115194	A1	20030112	IL 1995-115194	19950906
FI 9504191	A	19960310	FI 1995-4191	19950907
AU 9530505	A1	19960321	AU 1995-30505	19950907
AU 698629	B2	19981105		
RU 2159762	C2	20001127	RU 1995-115408	19950907
CA 2157856	AA	19960310	CA 1995-2157856	19950908
NO 9503554	A	19960311	NO 1995-3554	19950908
JP 08099950	A2	19960416	JP 1995-230967	19950908
ZA 9507549	A	19960417	ZA 1995-7549	19950908
HU 72652	A2	19960528	HU 1995-2633	19950908
US 5869531	A	19990209	US 1995-525095	19950908
PL 181206	B1	20010629	PL 1995-310345	19950908
CZ 290027	B6	20020515	CZ 1995-2316	19950908
US 5998481	A	19991207	US 1998-28920	19980224
NO 9805244	A	19960311	NO 1998-5244	19981110
GR 3034512	T3	20001229	GR 2000-402202	20000929

PRIORITY APPLN. INFO.: DE 1994-4432105 19940909
US 1995-525095 19950908

GI

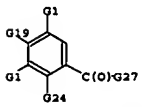


I

L6 ANSWER 59 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

AB Title compds. [I; R1,R3 = H, F, Cl, Br, iodo, cyano, NO2, alkyl, cycloalkyl, Oa(CH2)b(CF2)bCF3, (substituted) Ph, naphthyl, biphenyl, heteroaryl, etc.; a = 0, 1; b = 0-2; c = 0-3; R2 = CF2R14, CFR15R16, etc.; R14 = alkyl, cycloalkyl; R15, R16 = H, alkyl; R4 = H, alkyl, F, Cl, Br, iodo, cyano, (CH2)s(CH2)tCF3; s = 0, 1; t = 0-2], and their use as drugs and diagnostic agents which inhibit Na+/H+ exchangers, are claimed. No synthetic or biol. data is given.

NSTR 1

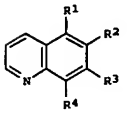


G1 = Ph (opt. substd. by (1-3) G18) / quinolinyl
Derivative: and pharmaceutically acceptable salts
Patent location: claim 1
Note: also incorporates claim 4, structure II

L6 ANSWER 60 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 124:202045 MARPAT
TITLE: 8-Phenylcyclopentenoquinoline and 8-phenylcyclohexenoquinoline derivatives as selective inhibitors of phosphodiesterase type IV
INVENTOR(S): Wilhelm, Robert S.; Axt, Sabine
PATENT ASSIGNEE(S): Syntex (U.S.A.) Inc., USA
SOURCE: U.S., 19 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5475003	A	19951212	US 1994-205666	19940303
US 5530005	A	19960625	US 1995-452632	19950525
PRIORITY APPLN. INFO.:			US 1994-205666	19940303

GI

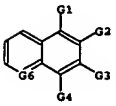


I

AB The disclosed derivs. of 8-phenylcyclopentenoquinolines and 8-phenylcyclohexenoquinolines I wherein: R1 and R2 taken together represent CH2CH2CH2 or CH2CH2CH2CH2 and R3 is hydrogen; or R2 and R3 taken together represent CH2CH2CH2 or CH2CH2CH2CH2 and R1 is hydrogen; and R4 is Ph optionally mono-, di-, or tri-substituted independently with, e.g., lower alkyl, lower alkoxy, hydroxy, nitro, trifluoromethyl, halo, thiol, amino, nitro, lower alkylthio, mono-lower-alkylamino, di-lower alkylamino, hydroxycarbonyl, lower alkoxycarbonyl, methylcarbonyl, hydroxysulfonyl, lower alkoxysulfonyl, lower alkylsulfonyl, lower alkylsulfinyl, cyano, carbamoyl, lower alkylcarbamoyl, di-lower alkylcarbamoyl and methylenedioxy; provided that no more than one methylenedioxy substituent, no more than two nitro or no more than two iodo substituents are present, or a pharmaceutically acceptable salt or N-oxide thereof, are useful as anti-inflammatory agents, immunosuppressive agents, anti-allograft, rejection agents, anti-graft-vs-host disease agents, anti-allergic agents, bronchodilation agents, anti-autoimmune agents, and analgetic agents (no data). Thus, e.g., coupling of 8-bromo-5,6-cyclopentenoquinoline (preparation

L6 ANSWER 60 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
given) with benzeneboronic acid afforded 67% 5,6-cyclopenteno-8-phenylquinoline (I; R1R2 = CH2CH2CH2, R3 = H, R4 = Ph). Pharmaceutical formulations were given.

NSTR 1

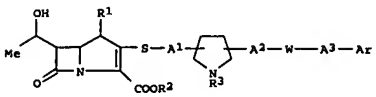


G4 = Ph (opt. substd. by (1-3) G5)
G5 = tetrazolyl
G6 = N
Derivative: or pharmaceutically acceptable salts
Patent location: claim 1

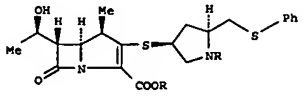
L6 ANSWER 61 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 123:339535 MARPAT
TITLE: Preparation of carbapenem derivatives as antibacterials
INVENTOR(S): Nakagawa, Susumu; Fukatsu, Hiroshi; Ushijima, Ryosuke
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 256 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9523150	A1	19950831	WO 1995-JP280	19950224
W: AU, CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2184101	AA	19950831	CA 1995-2184101	19950224
CA 2184101	C	20051122		
AU 9518240	A1	19950911	AU 1995-18240	19950224
AU 680736	B2	19970807		
EP 747381	A1	19961211	EP 1995-909978	19950224
EP 747381	B1	20011031		
R: AT, BE, DE, DK, FR, GB, IE, IT, LU, MC, NL, PT, SE				
AT 207922	E	20011115	AT 1995-909978	19950224
US 5707987	A	19980113	US 1996-696910	19960823
PRIORITY APPLN. INFO.:			JP 1994-52686	19940225
			JP 1994-64606	19940328
			JP 1994-107568	19940422
			JP 1994-110289	19940426
			JP 1994-114288	19940428
			WO 1995-JP280	19950224

GI



I

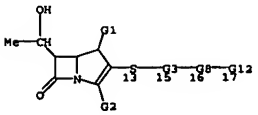


II

AB The title compds. [I; R1 represents hydrogen or lower alkyl; R2 represents hydrogen or a neg. charge; R3 represents hydrogen or lower alkyl; Ar represents lower alkyl, lower alkylsulfamoyl, etc. (each of which may be

L6 ANSWER 61 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
substituted by hydroxyl, di(lower alkyl)sulfonyl, etc.), or Ph, naphthyl or a group of formula α or β (each of which may be substituted by hydroxyl, di(lower alkyl)sulfamoyl, etc.), wherein A4 and A5 represent each a single bond, -NHSO2-, etc., and Het represents pyrrolinyl, 1,4-diazabicyclo[2.2.2]octyl, etc. (each of which may be substituted by hydroxyl, carbamoylated lower alkyl, etc.); A1, A2, and A3 represent each a single bond or lower alkylene which may be substituted by lower alkyl, lower alkylsulfamoyl, etc. (each of which may be substituted by hydroxyl, di(lower alkyl)sulfamoyl, etc.) or may be substituted by pyridyl, pyridino, etc. (each of which may be substituted by lower alkyl, carbamoylated lower alkyl, etc.); and W represents sulfur, a single bond, etc.] and their pharmaceutically acceptable salts are prepd. Thus, a soln. of p-nitrophenyl (1R,5S,6S)-2-diphenoxyphosphoryloxy-6-[(1R)-1-hydroxyethyl]-1-methyl-1-carbapen-2-em-3-carboxylate and (3S,5S)-3-mercapto-1-p-nitrobenzyloxycarbonyl-5-(phenylthiomethyl)-pyrrolidine (prepn. given) in MeCN contg. diisopropylamide was allowed to react at 50° overnight to give 60% the title compd. II (R = p-nitrobenzyloxycarbonyl), which was deprotected to give the monosodium salt of II [R = H]. In an in vitro study, this had an IC50 of 0.39 μg/mL against Staphylococcus aureus.

NSTR 1A



Derivative: or pharmaceutically acceptable salts or esters
Patent location: claim 1

L6 ANSWER 62 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 122:82078 MARPAT
TITLE: Cyclic peptide antifungal agents and process for preparation thereof
INVENTOR(S): Burkhardt, Frederick Joseph; Debono, Manuel; Nissen, Jeffrey Scott; Turner, William Wilson, Jr.
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: Eur. Pat. Appl., 56 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 561639	A1	19930922	EP 1993-302064	19930318
EP 561639	B1	20020515		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CA 2091663	AA	19930920	CA 1993-2091663	19930315
ZA 9301830	A	19940915	ZA 1993-1830	19930315
IL 105048	A1	20010614	IL 1993-105048	19930315
NZ 299314	A	20010928	NZ 1993-299314	19930315
CZ 288974	B6	20011017	CZ 1993-416	19930315
IL 122315	A1	20020310	IL 1993-122315	19930315
NZ 512085	A	20030829	NZ 1993-512085	19930315
NO 9300948	A	19930920	NO 1993-948	19930316
BR 9301232	A	19930921	BR 1993-1232	19930318
HU 63637	A2	19930928	HU 1993-785	19930318
CN 1080926	A	19940119	CN 1993-103587	19930318
CN 1036715	B	19971217		
JP 06056892	A2	19940301	JP 1993-58529	19930318
JP 3519754	B2	20040419		
RU 2129562	C1	19990427	RU 1993-4787	19930318
AT 217635	E	20020615	AT 1993-302064	19930318
JP 2002226500	A2	20020814	JP 2002-3969	19930318
JP 3520071	B2	20040419		
PT 561639	T	20021031	PT 1993-302064	19930318
ES 2174843	T3	20021116	ES 1993-302064	19930318
AU 9335341	A1	19930923	AU 1993-35341	19930319
AU 9665529	A1	19961205	AU 1996-65529	19960909
AU 689391	B2	19980326		
JP 2004115540	A2	20040415	JP 2003-412638	20031210

PRIORITY APPLN. INFO.: US 1992-854117 19920319
US 1992-992390 19921216
IL 1993-105048 19930315
JP 1993-58529 19930318

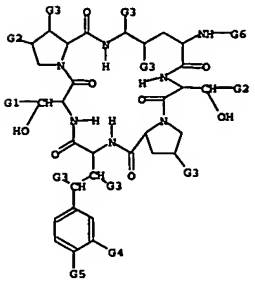
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

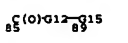
AB Title compds. (I; R, R11 = independently H, OH; R1 = H, OH, OSO3H; R2 = substituted PhCO, biphenylyl, naphthoyl, etc.; R7 = R1, phosphonooxy; R8 =

L6 ANSWER 62 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
H, Me, H2NCOCH2; R9, R10 = Me, H), were prepd. Thus, I (R = R7 = R11 = OH, R1 = H, R2 = Q1, R8 = R9 = R10 = Me), prepd. by enzymic deacylation and then reacylation of echinocandin B, showed ED50 = 0.84 mg/mL for controlling systemic fungal infections in mice. Several I were effective against Pneumocystis carinii in immunosuppressed rats. I in general exhibit oral bioavailability.

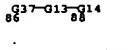
NSTR 1



G6 = 85



G12 = 86-85 88-89



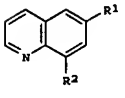
G13 = bond
G14 = phenylene
G15 = quinolinyl
G37 = phenylene
Derivative: or pharmaceutically acceptable non-toxic salts
Patent location: claim 2

L6 ANSWER 63 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 122:55901 MARPAT
TITLE: Preparation of 6,8-disubstituted quinoline phosphodiesterase-IV inhibitors
INVENTOR(S): Wilhelm, Robert Stephen; Fatheree, Paul Ross; Chin, Ronnie Lipp
PATENT ASSIGNEE(S): Syntex (u.s.a.) Inc., USA
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9422852	A1	19941013	WO 1994-US3004	19940323
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, UA, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5455252	A	19951003	US 1993-40731	19930331
CA 2159603	AA	19941013	CA 1994-2159603	19940323
AU 9464129	A1	19941024	AU 1994-64129	19940323
AU 679222	B2	19970626		
EP 691966	A1	19960117	EP 1994-911662	19940323
EP 691966	B1	19980909		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
HU 73181	A2	19960628	HU 1995-2845	19940323
JP 08511238	T2	19961126	JP 1994-522136	19940323
JP 3564133	B2	20040908		
AT 170855	E	19980915	AT 1994-911662	19940323
ES 2120028	T3	19981016	ES 1994-911662	19940323
FI 9504651	A	19950929	FI 1995-4651	19950929
FI 109692	B1	20020930		
NO 9503879	A	19951122	NO 1995-3879	19950929

PRIORITY APPLN. INFO.: US 1993-40731 19930331
WO 1994-US3004 19940323

GI

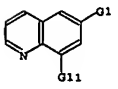


I

AB The title compds. [I; R1 = H, lower alkyl, cycloalkyl, cycloalkyloxy, cycloalkylamino, CHO, carboxyalkyl, (un)substituted aryl, aryloxy, arylamino, (un)substituted heterocycle, etc.; R2 = (un)substituted Ph], useful as antiinflammatory agents, immunosuppressive agents, antiallograft
rejection agents, anti-graft-vs.-host disease agents, antiallergic agents (e.g., asthma, rhinitis and atopic dermatitis), bronchodilation agents, antiautoimmune agents, and analgesics, are prepared and I-containing formulations presented. Thus, 6-(4-pyridylmethyl)-8-(3-

L6 ANSWER 63 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
nitrophenyl)quinoline was prepd. and demonstrated a IC50 against human leukocyte phosphodiesterase IV of 0.023 nM.

NSTR 1

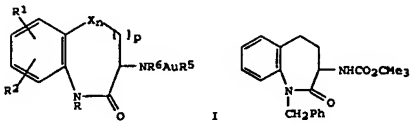


G11 = Ph (opt. substd. by (1-4) G12)
G12 = tetrazolyl
Derivative: or pharmaceutically acceptable salts or N-oxides
Patent location: claim 1
Note: substitution is restricted

L6 ANSWER 64 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 121:300784 MARPAT
TITLE: Preparation of (acylamino)benzazepinones and analogs as growth hormone release inhibitors
INVENTOR(S): Chan, Wanda W. S.; Cheng, Kang; Schoen, William R.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: Brit. UK Pat. Appl., 102 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2272439	A1	19940518	GB 1993-23124	19931109
PRIORITY APPLN. INFO.:			US 1992-976021	19921113

GI

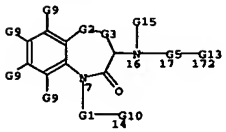


I II

AB Title compds. [I; A = CO(CH2)xCR8R8a(CH2)yNR4; R = (CH2)qLwR3; L = (un)substituted C6H4; R1,R2 = H, halo, (perfluoro)alkyl, cyano, Ph, etc.; R3 = (un)substituted Ph, -naphthyl, -indolyl, etc.; R4 = H, alk(en)yl, Ph, etc.; R5 = CHO, CO2H, CONH2, SO2H, SO2NH2, etc.; R6 = H, alkyl, phenyl(alkyl); R8,R8a = H, alkyl, CF3, Ph, etc.; X = CO, O, SO0-2, CH(OH), NR10, CH:CH; R10 = H, alkyl, Ph, etc.; u,w,n = 0 or 1; p,x,y = 0-3; q = 0-4] were prepared as growth hormone release inhibitors (no data). Thus, 3-azido-2,3,4,5-tetrahydro-1H-benzazepin-2-one was reduced and the product acylated by O(CO2CMe3)2 to give, after PhCH2Br treatment, title compound II.

MSTR 1

L6 ANSWER 64 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

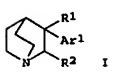


G1 = phenylene
G2 = bond
G3 = (0-3) CH2
G5 = bond
G10 = quinolinyl
Derivative: and pharmaceutically acceptable salts
Patent location: claim 1

L6 ANSWER 65 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 121:300782 MARPAT
TITLE: Preparation of quinuclidine derivatives as squalene synthase inhibitors
INVENTOR(S): Brown, George Robert; Mallion, Keith Blakeney
PATENT ASSIGNEE(S): Zeneca Ltd., UK
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9414803	A1	19940707	WO 1993-GB2614	19931221
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9457086	A1	19940719	AU 1994-57086	19931221
EP 674635	A1	19951004	EP 1994-902924	19931221
EP 674635	B1	20010328		
R: CH, DE, ES, FR, GB, IT, LI				
JP 08504801	T2	19960528	JP 1993-514940	19931221
PRIORITY APPLN. INFO.:			GB 1992-26574	19921221
			GB 1992-26576	19921221
			WO 1993-GB2614	19931221

GI



I

AB Title compds. I (R1 = H, HO; R2 = H; R1R2 = a double bond; Ar1, Ar2 = (substituted) phenylene, (substituted) heterocyclyl; provided that Ar2 is not a 6-membered heteroaryl containing 1 or 2 N; when Ar1 and Ar2 are both H, Ar2 is not an oxadiazolyl) and their pharmaceutically acceptable salts useful as inhibitors of squalene synthase and hence useful for lowering cholesterol, are prepared Me3CLi in pentane was added to 5-bromo-2-phenylpyridine (preparation given) in THF followed by 3-quinuclidinone in THF to give I (R1 = HO, R2 = H, Ar1 = 2-phenylpyrid-5-yl) which at 2.5 μM inhibited 91% squalene synthase. EtCHMe in cyclohexane was added to (4-bromophenyl)boronic acid, N-methyl-O,O-diethylamino ester followed by quinuclidin-3-one and 3-bromoquinoline to give I (R1 = HO, R2 = H, Ar1 = 4-quinol-3-ylphenyl) which in acute rat cholesterol synthesis assay gave an ED50 of 3.8 mg/kg. Pharmaceutical formulations comprising I are given.

MSTR 1

L6 ANSWER 65 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

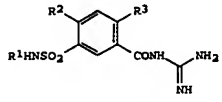
G3 = 12

G4 = phenylene (opt. substd. by 1 or more G5)
G9 = quinolinyl
Derivative: or pharmaceutically acceptable salts
Patent location: claim 1
Note: substitution is restricted

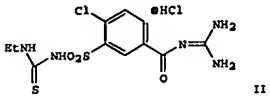
L6 ANSWER 66 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 121:204979 MARPAT
TITLE: 2,4-substituted 5-(N-substituted-sulfamoyl)benzoylguanidine antiarrhythmic agents, inhibitors of the proliferation of cells and inhibitors of sodium-hydrogen exchange
INVENTOR(S): Weichert, Andreas; Mauger, Jacques; Lang, Hans-Jochen; Scholz, Wolfgang; Albus, Udo
PATENT ASSIGNEE(S): Hoechst A.-G., Germany
SOURCE: Eur. Pat. Appl., 17 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 604852	A1	19940706	EP 1993-120374	19931217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CA 2112194	AA	19940629	CA 1993-2112194	19931222
FI 9305825	A	19940629	FI 1993-5825	19931223
AU 9352716	A1	19940707	AU 1993-52716	19931223
NO 9304836	A	19940629	NO 1993-4836	19931227
JP 06234730	A2	19940823	JP 1993-329216	19931227

PRIORITY APPLN. INFO.: DE 1992-4244318 19921228
GI



I

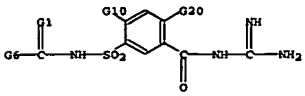


II

AB The title compound [I; R1 = R4(R5)NC(:X), Cl, CF3, methoxy, C1-4 alkyl; R4, R5 = H, C1-8 alkyl, C3-6 alkenyl, etc.; X = S, O, (un)substituted NH; R2 = H, halogen, C1-8 alkyl, 1-alkenyl or 1-alkynyl, C3-8 cycloalkyl, Ph, naphthyl, biphenylyl, pyridyl, furanyl, etc.; R3 = H, F, Cl, Br, I, C1-6 alkyl, etc.], useful as antiarrhythmic agents (no data), antiatherosclerotics, inhibitors of Na+/H+ biol. transport exchange, etc.,

L6 ANSWER 66 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
are prepd. Thus, Me 4-chloro-3-sulfamoylbenzoate was reacted with Et isothiocyanate and the intermediate reacted with guanidine in the presence of HCl, producing benzoylguanidine hydrochloride II, m.p. 175°. II demonstrated IC50 for inhibition of the Na+/H+ exchange in rabbit erythrocytes of 1 x 10-5 mol/L.

MSTR 1



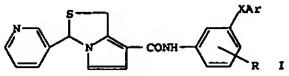
G10 = quinolinyl
G20 = pyrrolidino
Derivative: and pharmaceutically acceptable salts
Patent location: claim 1

L6 ANSWER 67 OF 67 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 109:128993 MARPAT
TITLE: Preparation of 2-(3-pyridyl)-1H,3H-pyrrolo[1,2-c]thiazolecarboxamides as platelet aggregation inhibitors
INVENTOR(S): Fabre, Jean Louis; James, Claude; Leve, Daniel
PATENT ASSIGNEE(S): Rhone-Poulenc Sante, Fr.
SOURCE: Eur. Pat. Appl., 65 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

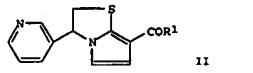
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 253711	A1	19880120	EP 1987-401551	19870702
EP 253711	B1	19900523		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
FR 2601015	A1	19880108	FR 1986-9728	19860704
FR 2601015	B1	19880805		
DK 8703400	A	19880105	DK 1987-3400	19870702
FI 8702931	A	19880105	FI 1987-2931	19870702
FI 84727	B	19910930		
FI 84727	C	19920110		
NO 8702779	A	19880105	NO 1987-2779	19870702
NO 170419	B	19920706		
NO 170419	C	19921014		
AU 8775047	A1	19880107	AU 1987-75047	19870702
AU 597996	B2	19900614		
JP 63022589	A2	19880130	JP 1987-164101	19870702
ZA 8704814	A	19880330	ZA 1987-4814	19870702
HU 44791	A2	19880428	HU 1987-3009	19870702
HU 198727	B	19891128		
US 4783472	A	19881108	US 1987-69520	19870702
DD 263772	A5	19890111	DD 1987-304534	19870702
CS 262692	B2	19890314	CS 1987-5013	19870702
SU 1528323	A3	19891207	SU 1987-4202952	19870702
PL 149434	B1	19900228	PL 1987-266582	19870702
PL 149903	B1	19900331	PL 1987-279923	19870702
AT 53037	E	19900615	AT 1987-401551	19870702
IL 83066	A1	19910131	IL 1987-83066	19870702
CA 1294966	A1	19920128	CA 1987-541133	19870702
CS 262700	B2	19890314	CS 1988-215	19880112
SU 1588284	A3	19900823	SU 1988-4356106	19880714

PRIORITY APPLN. INFO.: FR 1986-9728 19860704
CS 1987-5013 19870702
EP 1987-401551 19870702
OTHER SOURCE(S): CASREACT 109:128993
GI

L6 ANSWER 67 OF 67 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



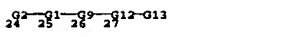
I



II

AB The title compds. [I; Ar = (un)substituted Ph, pyridyl, thienyl, etc.; R = H, halo, alkoxy, (un)substituted NH2, etc.; X = bond, alkylene, O, S, NH, CO, etc.] were prepared (2R,4R)-N-Formyl-2-(3-pyridyl)-4-thiazolidinecarboxylic acid (preparation given) was stirred 1 h with Et3N in (CH2Cl)2 and the mixture added to 4-MeC6H4SO2Cl in (CH2Cl)2. The solution thus formed was added to a mixture of ClCH2CHClCO2Et and Et3N in (CH2Cl)2 and the mixture stirred .apprx.2 h at 40-60° to give pyrrolothiazolecarboxylate II (R1 = OEt) which was saponified and treated with SOCl2 to give II (R1 = Cl). The latter was stirred 16 h at 100° with 3-BzC6H4NH2 in dioxane containing Et3N to give I (R = H, XAr = Bz) (III). Tablets were prepared each containing III 25, starch 60, lactose 5, and Mg stearate 2 mg. I are described as causing 50% inhibition of O-acetyl platelet activating factor at 1-103 nM in vitro.

MSTR 1A



Derivative: and pharmaceutically acceptable salts
Patent location: claim 1
Stereochemistry: and enantiomers and mixtures of enantiomers

```
=> d his

     (FILE 'HOME' ENTERED AT 14:46:58 ON 08 MAR 2006)

     FILE 'REGISTRY' ENTERED AT 14:47:06 ON 08 MAR 2006
L1              STRUCTURE UPLOADED
L2           19 S L1 SAM
L3          350 S L1 FULL

     FILE 'CA' ENTERED AT 14:47:33 ON 08 MAR 2006
L4            8 S L3

     FILE 'MARPAT' ENTERED AT 14:47:51 ON 08 MAR 2006
L5          136 S L1 FULL
L6           67 S L5 AND PHARM?

=>

---Logging off of STN---


=>
Executing the logoff script...


=> LOG Y

STN INTERNATIONAL LOGOFF AT 14:52:04 ON 08 MAR 2006
```